

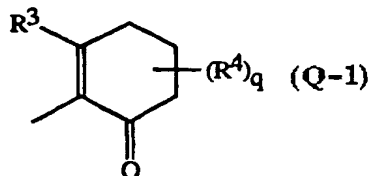
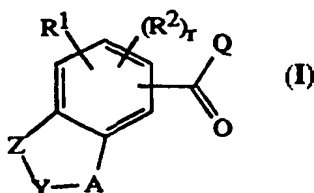


## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

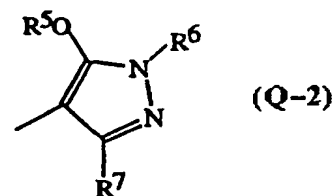
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<b>(21) International Application Number:</b> PCT/US96/13347  <b>(22) International Filing Date:</b> 15 August 1996 (15.08.96)  <b>(30) Priority Data:</b> 60/002,803                      25 August 1995 (25.08.95)                      US  <b>(60) Parent Application or Grant</b> (63) Related by Continuation US    60/002,803 (CIP) Filed on                                      25 August 1995 (25.08.95)  <b>(71) Applicant (for all designated States except US):</b> E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).  <b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only):</b> GEE, Stephen, Kenneth [US/US]; 3339 Morningside Drive, Wilmington, DE 19810- 3206 (US). HANAGAN, Mary, Ann [US/US]; 108 Country Flower Road, Newark, DE 19711-2482 (US). HONG, Wonpyo [KR/US]; 12 Salt Hill Court, Timonium, MD	21093-1960 (US). KUCHARCZYK, Roman [US/US]; 11 Hillendale Road, Chadds Ford, PA 19317-9345 (US).  <b>(74) Agent:</b> KATZ, Elliott, A.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).  <b>(81) Designated States:</b> AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i>	

**(54) Title:** BICYCLIC HERBICIDES**(57) Abstract**

Compounds of Formula (I), and their agriculturally suitable salts, are disclosed which are useful for controlling undesired vegetation, wherein Q is (Q-1) or (Q-2); and A, Y, Z, R<sup>1</sup>-R<sup>7</sup>, q and r are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling undesired vegetation which involves contacting the vegetation or its environment with an effective amount of a compound of Formula (I).



or



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TITLE

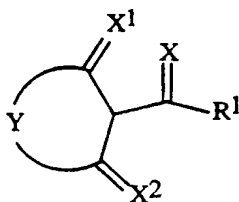
## BICYCLIC HERBICIDES

BACKGROUND OF THE INVENTION

5 This invention relates to certain bicyclic compounds, their agriculturally suitable salts and compositions, and methods of their use for controlling undesirable vegetation.

The control of undesired vegetation is extremely important in achieving high crop efficiency. Achievement of selective control of the growth of weeds especially in such useful crops as rice, soybean, sugar beet, corn (maize), potato, wheat, barley, tomato and plantation crops, among others, is very desirable. Unchecked weed growth in such  
10 useful crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of undesired vegetation in noncrop areas is also important. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

15 EP 283,261 discloses cyclic diones of Formula i as herbicides:



i

wherein

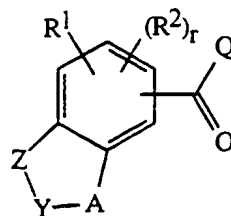
X, X<sup>1</sup> and X<sup>2</sup> are independently O or S;

20 R<sup>1</sup> is a monocyclic or fused-bicyclic heterocyclic group containing up to ten ring atoms up to five of which may be selected from O, N and S, optionally substituted with one or more groups selected from, among others, oxo, halogen, nitro, cyano, alkyl, haloalkyl, haloalkoxy, alkoxy, alkylsulfonyl; and  
Y is, among others, C<sub>2</sub>-C<sub>4</sub> alkylene which is optionally substituted with one or  
25 more groups selected from, among others, halogen or alkyl.

The bicyclic herbicides of the present invention are not disclosed in this publication.

SUMMARY OF THE INVENTION

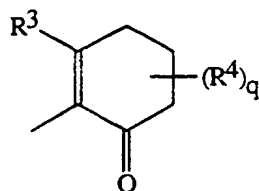
30 This invention is directed to compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts thereof, agricultural compositions containing them and their use for controlling undesirable vegetation:



I

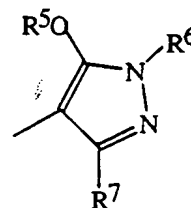
wherein

Q is



Q-1

or



Q-2

A is  $-(CH_2)_m-$ ,  $-CH=CH-$ ,  $-CH_2CH=CH-$ ,  $-CH=CHCH_2-$ ,  $-(CH_2)_n-NR^9-$ ,  $-NR^9-(CH_2)_n-$ ,  $-(CH_2)_n-O-$  or  $-(CH_2)_n-S(O)_2-$ , each group optionally substituted with one to four  $R^8$ , and the directionality of the A linkage is defined such that the moiety depicted on the left side of the linkage is bonded to Y and the moiety on the right side of the linkage is bonded to the phenyl ring;

Y is O;  $NR^9$ ; or  $CH_2$  optionally substituted with one or two groups independently selected from  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl and halogen; provided that when A is  $-NR^9-(CH_2)_n-$ , then Y is  $CH_2$ ;

Z is  $C(=X)$ , O, or  $S(O)_2$ ; provided that when Y is O or  $NR^9$ , then Z is  $C(=X)$ ; X is O or S;

$R^1$  is H,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  haloalkoxy, halogen, cyano, nitro,  $S(O)_2NR^{10}R^{11}$ ,  $C_1$ - $C_6$  alkylsulfonyl,  $C_1$ - $C_6$  haloalkylsulfonyl,  $C_3$ - $C_6$  alkenylsulfonyl,  $C_3$ - $C_6$  haloalkenylsulfonyl,  $C_3$ - $C_6$  alkynylsulfonyl,  $C_3$ - $C_6$  haloalkynylsulfonyl or  $C_3$ - $C_6$  cycloalkylsulfonyl; or  $R^1$  is phenylsulfonyl optionally substituted with  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  haloalkyl,  $C_1$ - $C_3$  alkoxy,  $C_1$ - $C_3$  haloalkoxy, 1-2 halogen, cyano or nitro;

each  $R^2$  is independently  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  haloalkoxy, halogen, cyano or nitro;

R<sup>3</sup> is OR<sup>12</sup>, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> haloalkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl or halogen; each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> alkylthio or halogen; or when two R<sup>4</sup> are attached to the same carbon atom, then said R<sup>4</sup> pair can be taken together to form -OCH<sub>2</sub>CH<sub>2</sub>O-, -OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-, -SCH<sub>2</sub>CH<sub>2</sub>S- or -SCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>S-, each group optionally substituted with 1-4 CH<sub>3</sub>;

R<sup>5</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, formyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>7</sub> dialkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl; or R<sup>5</sup> is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, halogen, cyano or nitro;

R<sup>6</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl or C<sub>3</sub>-C<sub>6</sub> alkynyl; or R<sup>6</sup> is phenyl or benzyl, each optionally substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;

R<sup>7</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, halogen, cyano or nitro;

each R<sup>8</sup> is independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, hydroxy or halogen; or two R<sup>8</sup> groups bonded to the same carbon atom can be taken together with the carbon to which they are attached to form C(=O) or C(=S); provided that when two R<sup>8</sup> groups are attached to a carbon atom which is attached to an O, NR<sup>9</sup> or S(O)<sub>2</sub>, then no more than one of said R<sup>8</sup> groups can be C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, hydroxy or halogen;

each R<sup>9</sup> is independently H; C<sub>1</sub>-C<sub>6</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> haloalkyl; C<sub>3</sub>-C<sub>6</sub> alkenyl; C<sub>3</sub>-C<sub>6</sub> haloalkenyl; C<sub>3</sub>-C<sub>6</sub> alkynyl; C<sub>3</sub>-C<sub>6</sub> haloalkynyl; C<sub>3</sub>-C<sub>6</sub> cycloalkyl; C<sub>1</sub>-C<sub>6</sub> alkoxy; C<sub>1</sub>-C<sub>6</sub> haloalkoxy; C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl; formyl; C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl; C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl; C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl; C<sub>3</sub>-C<sub>7</sub> dialkylaminocarbonyl; or phenyl, benzyl or benzoyl, each optionally substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;

R<sup>10</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> haloalkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy; or R<sup>10</sup> is phenyl or benzyl, each optionally substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;

R<sup>11</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> haloalkyl; or

$R^{10}$  and  $R^{11}$  can be taken together as  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$  or  $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$ , each optionally substituted with 1-4  $\text{C}_1\text{-C}_3$  alkyl;

$R^{12}$  is H,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl,  $\text{C}_2\text{-C}_6$  alkoxyalkyl, formyl,  $\text{C}_2\text{-C}_6$  alkylcarbonyl,  $\text{C}_2\text{-C}_6$  alkoxycarbonyl,  $\text{C}_2\text{-C}_6$  alkylaminocarbonyl,  $\text{C}_3\text{-C}_7$  dialkylaminocarbonyl,  $\text{C}_1\text{-C}_6$  alkylsulfonyl or  $\text{C}_1\text{-C}_6$  haloalkylsulfonyl; or  $R^{12}$  is benzoyl or phenylsulfonyl, each optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl, halogen, cyano or nitro;

$m$  is 1, 2 or 3;

$n$  is 1 or 2;

$q$  is 0, 1, 2, 3 or 4; and

$r$  is 0, 1 or 2;

provided that

(i) when  $Z$  is  $\text{C}(=\text{X})$  or  $\text{O}$ ;  $A$  is  $-(\text{CH}_2)_m-$  optionally substituted with one to four  $\text{R}^8$ ; and  $m$  is 1 or 2; then  $Q$  is  $Q-2$ ;

(ii) when  $Z$  is  $\text{C}(=\text{X})$  or  $\text{O}$ ; and  $A$  is  $-\text{CH}=\text{CH}-$  optionally substituted with one to two  $\text{R}^8$ ; then  $Q$  is  $Q-2$ ;

(iii) when  $Z$  is  $\text{C}(=\text{X})$  or  $\text{O}$ ;  $A$  is  $-(\text{CH}_2)_n\text{-NR}^9-$ ,  $\text{-NR}^9\text{-(CH}_2)_n-$  or  $-(\text{CH}_2)_n\text{-O-}$  each optionally substituted with one to four  $\text{R}^8$ ; and  $n$  is 1; then  $Q$  is  $Q-2$ ;

(iv) when  $A$  is  $-(\text{CH}_2)_n\text{-NR}^9-$ ,  $-(\text{CH}_2)_n\text{-O-}$  or  $-(\text{CH}_2)_n\text{-S(O)}_2-$  each optionally substituted with one to four  $\text{R}^8$ ; and  $Y$  is  $\text{CH}_2$  optionally substituted with one or two groups independently selected from  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl and halogen; then  $Z$  is  $\text{O}$  or  $\text{S(O)}_2$ ;

(v) when  $A$  is  $-(\text{CH}_2)_m-$  optionally substituted with one to four  $\text{R}^8$ ;  $Y$  is  $\text{CH}_2$  optionally substituted with one or two groups independently selected from  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl and halogen; and  $Z$  is  $\text{O}$  or  $\text{S(O)}_2$ ; then each  $\text{R}^8$  is independently  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl,  $\text{C}_1\text{-C}_6$  alkoxy, hydroxy or halogen provided that no more than one  $\text{R}^8$  is  $\text{C}_1\text{-C}_6$  alkoxy; and

(vi) when  $A$  is  $-(\text{CH}_2)_m-$  optionally substituted with one to four  $\text{R}^8$ ;  $Y$  is  $\text{CH}_2$  optionally substituted with one or two groups independently selected from  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl and halogen;  $Z$  is  $\text{S(O)}_2$ ; and  $m$  is 2; then  $Q$  is  $Q-1$  and each  $\text{R}^8$  is independently  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl, hydroxy or halogen.

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-4 alkyl" indicates that one to four of the available positions for that substituent may be alkyl which are independently selected. The term "1-4  $\text{CH}_3$ " indicates that one

- to four of the available positions for that substituent may be methyl. "Alkenyl" includes straight-chain or branched alkenes such as 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include  $\text{CH}_3\text{OCH}_2$ ,  $\text{CH}_3\text{OCH}_2\text{CH}_2$ ,  $\text{CH}_3\text{CH}_2\text{OCH}_2$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$  and  $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$ . "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include  $\text{CH}_3\text{S}(\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{S}(\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$ ,  $(\text{CH}_3)_2\text{CHS}(\text{O})$  and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include  $\text{CH}_3\text{S}(\text{O})_2$ ,  $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$ ,  $(\text{CH}_3)_2\text{CHS}(\text{O})_2$  and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkylamino", "dialkylamino", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.
- The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include  $\text{F}_3\text{C}$ ,  $\text{ClCH}_2$ ,  $\text{CF}_3\text{CH}_2$  and  $\text{CF}_3\text{CCl}_2$ . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include  $(\text{Cl})_2\text{C}=\text{CHCH}_2$  and  $\text{CF}_3\text{CH}_2\text{CH}=\text{CHCH}_2$ . Examples of "haloalkynyl" include  $\text{HC}\equiv\text{CCHCl}$ ,  $\text{CF}_3\text{C}\equiv\text{C}$ ,  $\text{CCl}_3\text{C}\equiv\text{C}$  and  $\text{FCH}_2\text{C}\equiv\text{CCH}_2$ . Examples of "haloalkoxy" include  $\text{CF}_3\text{O}$ ,  $\text{CCl}_3\text{CH}_2\text{O}$ ,  $\text{HCF}_2\text{CH}_2\text{CH}_2\text{O}$  and  $\text{CF}_3\text{CH}_2\text{O}$ . Examples of "haloalkylthio" include  $\text{CCl}_3\text{S}$ ,  $\text{CF}_3\text{S}$ ,  $\text{CCl}_3\text{CH}_2\text{S}$  and  $\text{ClCH}_2\text{CH}_2\text{CH}_2\text{S}$ . Examples of "haloalkylsulfinyl" include  $\text{CF}_3\text{S}(\text{O})$ ,  $\text{CCl}_3\text{S}(\text{O})$ ,  $\text{CF}_3\text{CH}_2\text{S}(\text{O})$  and  $\text{CF}_3\text{CF}_2\text{S}(\text{O})$ . Examples of "haloalkylsulfonyl" include  $\text{CF}_3\text{S}(\text{O})_2$ ,  $\text{CCl}_3\text{S}(\text{O})_2$ ,  $\text{CF}_3\text{CH}_2\text{S}(\text{O})_2$  and  $\text{CF}_3\text{CF}_2\text{S}(\text{O})_2$ .
- The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where *i* and *j* are numbers from 1 to 7. For example,  $\text{C}_1\text{-C}_3$  alkylsulfonyl designates methylsulfonyl through propylsulfonyl;  $\text{C}_2$  alkoxyalkyl designates  $\text{CH}_3\text{OCH}_2$ ;  $\text{C}_3$  alkoxyalkyl designates, for example,  $\text{CH}_3\text{CH}(\text{OCH}_3)$ ,  $\text{CH}_3\text{OCH}_2\text{CH}_2$  or  $\text{CH}_3\text{CH}_2\text{OCH}_2$ ; and  $\text{C}_4$  alkoxyalkyl designates the various isomers of an alkyl group

substituted with an alkoxy group containing a total of four carbon atoms, examples including  $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$  and  $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$ . Examples of "alkylcarbonyl" include  $\text{C}(\text{O})\text{CH}_3$ ,  $\text{C}(\text{O})\text{CH}_2\text{CH}_2\text{CH}_3$  and  $\text{C}(\text{O})\text{CH}(\text{CH}_3)_2$ . Examples of "alkoxycarbonyl" include  $\text{CH}_3\text{OC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{OC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(=\text{O})$ ,  
5  $(\text{CH}_3)_2\text{CHOC}(=\text{O})$  and the different butoxy- or pentoxycarbonyl isomers.

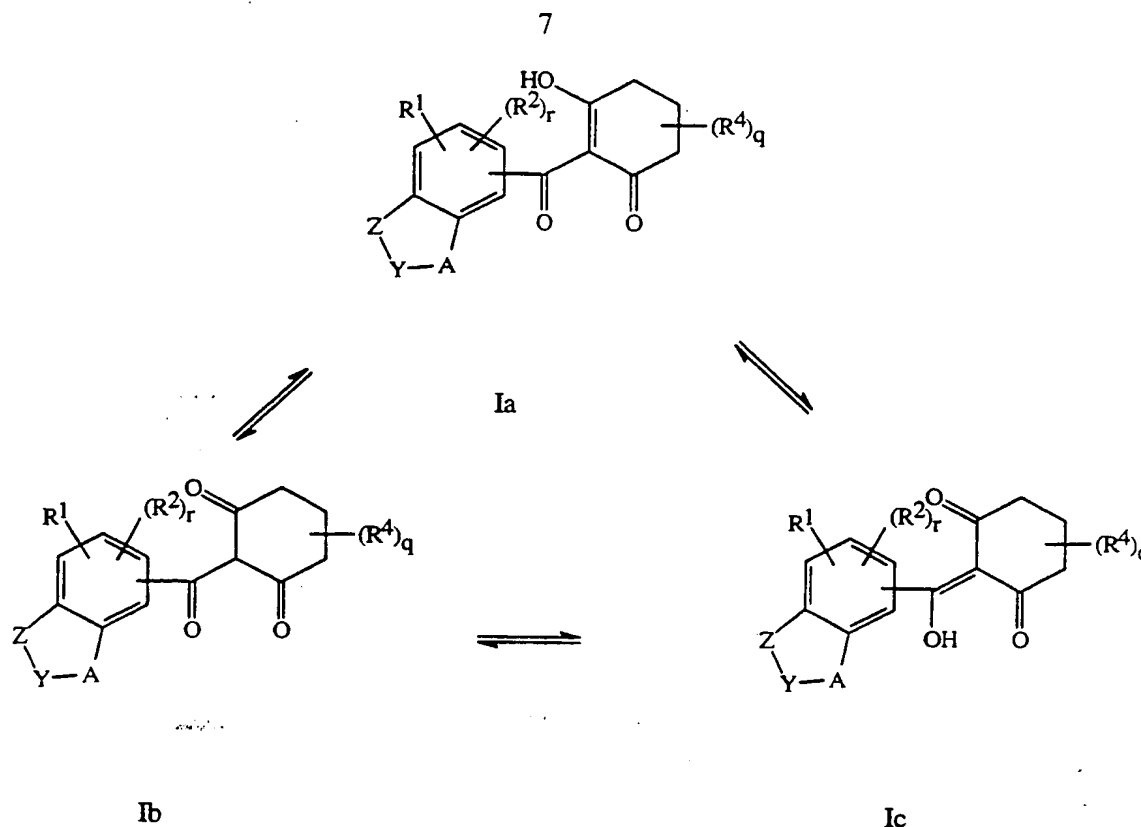
When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, said substituents (when they exceed 1) are independently selected from the group of defined substituents. Further, when the subscript indicates a range, e.g.  $(\text{R})_{i-j}$ , then the number of substituents may be  
10 selected from the integers between i and j inclusive.

When a group contains a substituent which can be hydrogen, for example  $\text{R}^1$  or  $\text{R}^{12}$ , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various  
15 stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the  
20 present invention comprises compounds selected from Formula I and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

Some compounds of this invention can exist as one or more tautomers. One skilled in the art will recognize, for example, that compounds of Formula Ia (Formula I  
25 where Q is Q-1,  $\text{R}^3$  is  $\text{OR}^{12}$ , and  $\text{R}^{12}$  is H) can also exist as the tautomers of Formulae Ib and Ic as shown below. One skilled in the art will recognize that said tautomers often exist in equilibrium with each other. As these tautomers interconvert under environmental and physiological conditions, they provide the same useful biological effects. The present invention includes mixtures of such tautomers as well as  
30 the individual tautomers of compounds of Formula I.





The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as an enol.

Preferred compounds for reasons of better activity and/or ease of synthesis are:  
Preferred 1. Compounds of Formula I above, and agriculturally suitable salts thereof, wherein:

the A-Y-Z moiety is selected from combinations of A, Y and Z such that

(i) when A is  $-(CH_2)_m-$  optionally substituted with one to two  $R^8$  and Y is O or  $NR^9$ , then Z is  $C(=X)$ ;

(ii) when A is  $-(CH_2)_m-$  optionally substituted with one to two  $R^8$  and Y is  $CH_2$  optionally substituted with one or two groups independently selected from  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl and halogen, then Z is O; and

(iii) when A is  $-(CH_2)_m-$  or  $-(CH_2)_n-NR^9$  optionally substituted with one to two  $R^8$  and Y is  $CH_2$  optionally substituted with one or

two groups independently selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl and halogen, then Z is S(O)<sub>2</sub>;

X is O;

each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>3</sub> alkyl;

5 R<sup>6</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>6</sub> alkenyl;

R<sup>7</sup> is H, C<sub>1</sub>-C<sub>3</sub> alkyl or C<sub>1</sub>-C<sub>3</sub> haloalkyl;

R<sup>9</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

10 R<sup>12</sup> is H, formyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>7</sub> dialkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl; or R<sup>12</sup> is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, halogen, cyano or nitro;

q is 0, 1 or 2; and

15 r is 0 or 1.

Preferred 2. Compounds of Preferred 1 wherein:

R<sup>1</sup> is H, methyl, halogen, S(O)<sub>2</sub>NR<sup>10</sup>R<sup>11</sup>, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>3</sub>-C<sub>5</sub> cycloalkylsulfonyl;

R<sup>2</sup> is methyl, halogen or nitro;

20 R<sup>3</sup> is OR<sup>12</sup>;

R<sup>5</sup> is H or C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl; or R<sup>5</sup> is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, halogen, cyano or nitro;

each R<sup>8</sup> is independently C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy or halogen; or two R<sup>8</sup> groups bonded to the same carbon atom can be taken together with the carbon to which they are attached to form C(=O);

25 R<sup>10</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, allyl or propargyl;

R<sup>11</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl; and

R<sup>12</sup> is H or C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl; or R<sup>12</sup> is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, halogen, cyano or nitro.

30 Most preferred are compounds of Preferred 2 selected from the group:

2-(1,1-dimethylethyl)-5-[(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)carbonyl]-8-(ethylsulfonyl)-3,4-dihydro-1(2*H*)-isoquinolinone;

(2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophen-5-yl)(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)methanone *S,S*-dioxide;

35 (1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)(2,3,4,5-tetrahydro-6,9-dimethyl-1-benzothiepin-7-yl)methanone *S,S*-dioxide;

4-ethyl-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2*H*-1,4-benzothiazin-3(4*H*)-one 1,1-dioxide;

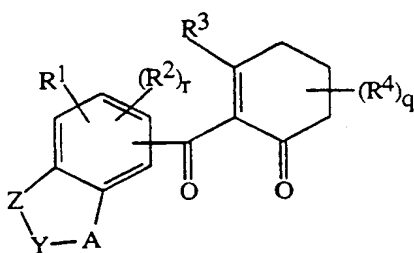
4-ethyl-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-5,8-dimethyl-2*H*-1,4-benzothiazin-3(4*H*)-one 1,1-dioxide; and  
(2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophen-5-yl)(5-hydroxy-1-methyl-1*H*-pyrazol-4-yl)methanone *S,S*-dioxide.

5 This invention also relates to herbicidal compositions comprising herbicidally effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds.

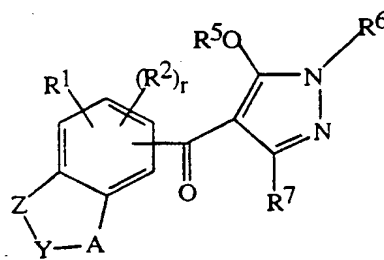
This invention also relates to a method for controlling undesired vegetation  
10 comprising applying to the locus of the vegetation herbicidally effective amounts of the compounds of the invention (e.g., as a composition described herein). The preferred methods of use are those involving the above preferred compounds.

### DETAILS OF THE INVENTION

The compounds of Formula I can be prepared by one or more of the following  
15 methods and variations as described in Schemes 1-31. The definitions of Q, A, Y, Z, X, R<sup>1</sup>-R<sup>12</sup>, m, n, q and r in the compounds of Formulae 1-29 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-Ie are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-Ie are as defined above for Formula I. Compounds of Formulae Id and Ie correspond to Formula I compounds  
20 wherein Q is Q-1 and Q-2, respectively.



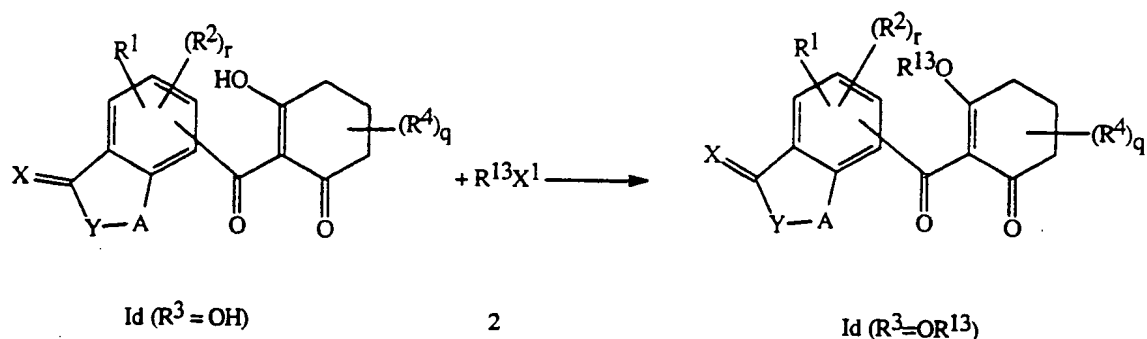
Id



Ie

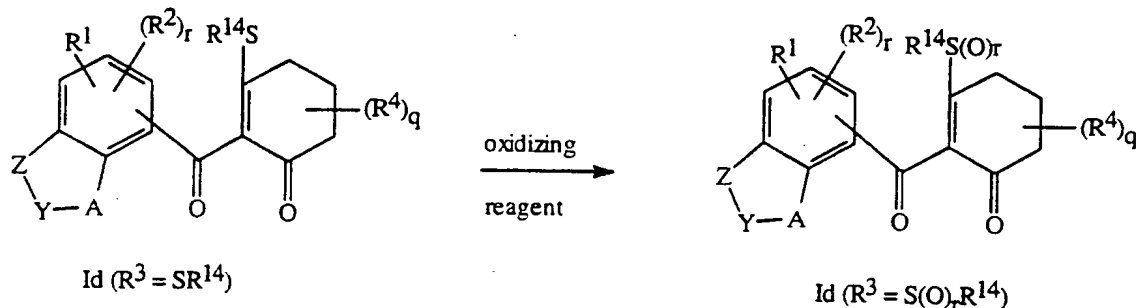
Scheme 1 illustrates the preparation of compounds of Formula Id (R<sup>3</sup> = OR<sup>13</sup> and  
25 R<sup>13</sup> is the same as R<sup>12</sup> as described in the Summary of the Invention excluding H) whereby a compound of Formula Id (R<sup>3</sup> = OH) is reacted with a reagent of Formula 2 in the presence of a base wherein X<sup>1</sup> is chlorine, bromine, fluorine, methylsulfonyloxy (OMs), trifluoromethylsulfonyloxy (OTf), *p*-toluenesulfonyloxy (OTs) or acetyloxy (OAc) and R<sup>13</sup> is as previously defined. The coupling is carried out by methods known in  
30 the art (or by obvious modifications of these methods): for example, see K. Nakamura, et al., WO 95/04054.

## Scheme 1



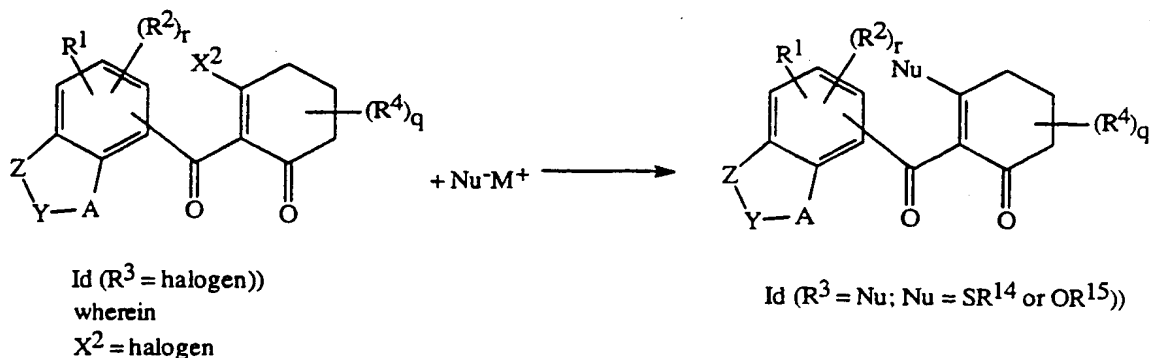
Scheme 2 illustrates the preparation of compounds of Formula Id ( $\text{R}^3 = \text{S(O)}_r\text{R}^{14}$ ;  $r = 1$  or  $2$ ; and  $\text{R}^{14} = \text{C}_1\text{-C}_6$  alkyl or  $\text{C}_1\text{-C}_6$  haloalkyl) whereby a compound of Formula Id ( $\text{R}^3 = \text{SR}^{14}$ ) is reacted with an oxidizing reagent such as peroxyacetic acid, *m*-chloroperoxybenzoic acid, peroxytrifluoroacetic acid, potassium peroxymonosulfate or hydrogen peroxide. The oxidation is carried out by methods known in the art (or by obvious modifications of these methods); for example, see S. Patai, et al., *The Chemistry of Sulphones and Sulfoxides*, John Wiley & Sons, 1988; pp 205-213, 235-253.

## Scheme 2

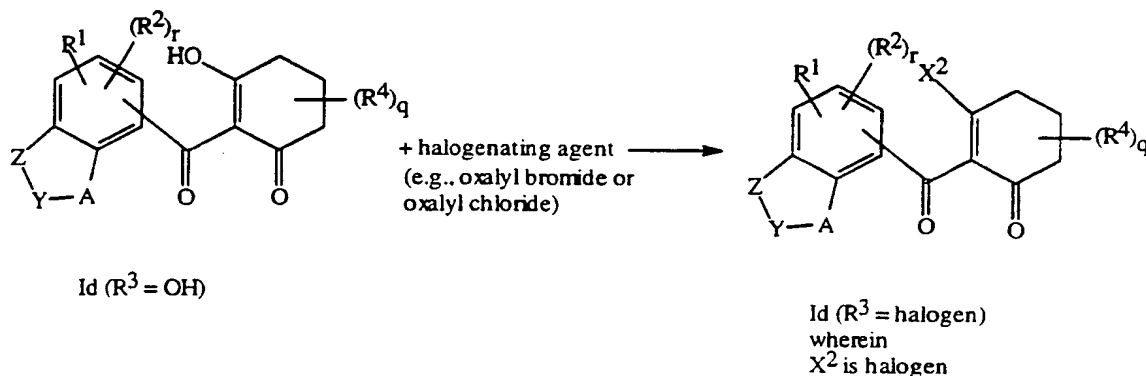


Compounds of Formula Id ( $\text{R}^3 = \text{Nu}$ ;  $\text{Nu} = \text{SR}^{14}$  or  $\text{OR}^{15}$ ;  $\text{R}^{14}$  is as defined previously;  $\text{R}^{15}$  is  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  haloalkyl or  $\text{C}_2\text{-C}_6$  alkoxyalkyl) can be prepared from a compound of Formula Id ( $\text{R}^3 = \text{halogen}$ ) by treatment with a nucleophile of Formula 3 ( $\text{Nu} = \text{SR}^{14}$  or  $\text{OR}^{15}$ ;  $\text{M} = \text{Na}$ ,  $\text{K}$  or  $\text{Li}$ ) as shown in Scheme 3 using methods well documented in the literature (or obvious modifications of these methods): for example, see P. H. Nelson, et al., *Synthesis*, (1992), 12, 1287-1291; and S. Miyano, et al., *J. Chem. Soc. Perkin Trans*, (1976), 1, 1146.

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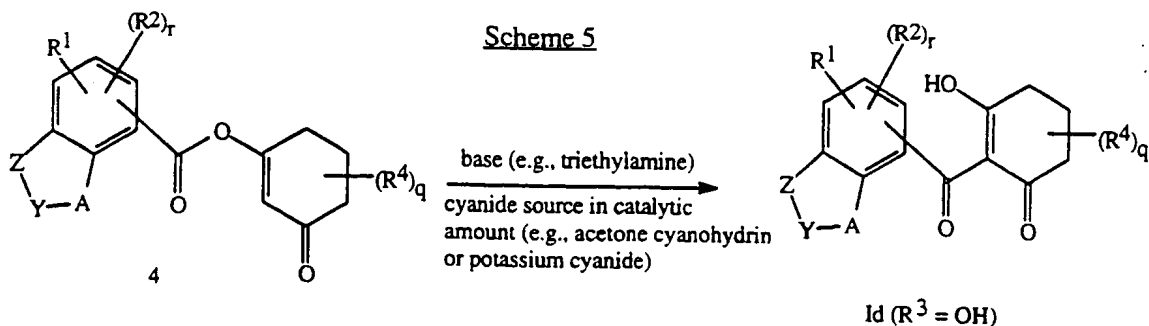
Scheme 3

- Compounds of Formula Id ( $R^3 = \text{halogen}$ ) can be prepared by reacting a compound of Formula Id ( $R^3 = \text{OH}$ ) with a halogenating reagent such as oxalyl bromide or oxalyl chloride (Scheme 4). This conversion is carried out by methods known in the art (or by obvious modifications of these methods): for example, see S. Muller, et al., WO 94/13619; S. Muller, et al., DE 4,241,999.

Scheme 4

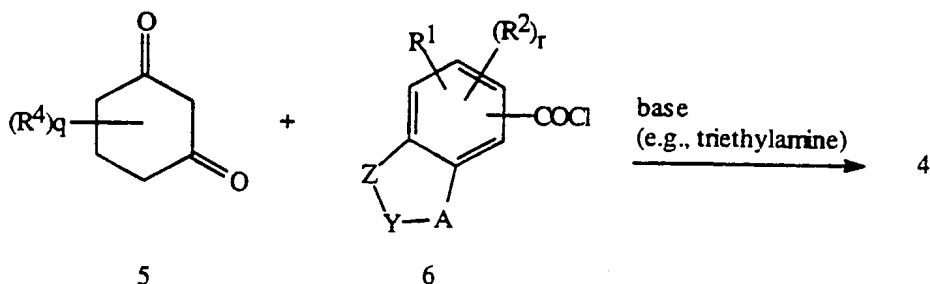
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- Scheme 5 illustrates the preparation of compounds of Formula Id ( $R^3 = \text{OH}$ ) whereby an enol ester of Formula 4 is reacted with a base such as triethylamine in the presence of a catalytic amount of a cyanide source (e.g., acetone cyanohydrin or potassium cyanide). This rearrangement is carried out by methods known in the art (or by obvious modifications of these methods): for example, see W. J. Michaely, EP 369,803.



Enol esters of Formula 4 can be prepared by reacting a dione of Formula 5 with an acid chloride of Formula 6 in the presence of a slight molar excess of a base such as triethylamine in an inert organic solvent such as acetonitrile, methylene chloride or toluene at temperatures between 0 °C and 110 °C (Scheme 6). This type of coupling is known in the art: for example, see W. J. Michaely, EP 369,803.

Scheme 6

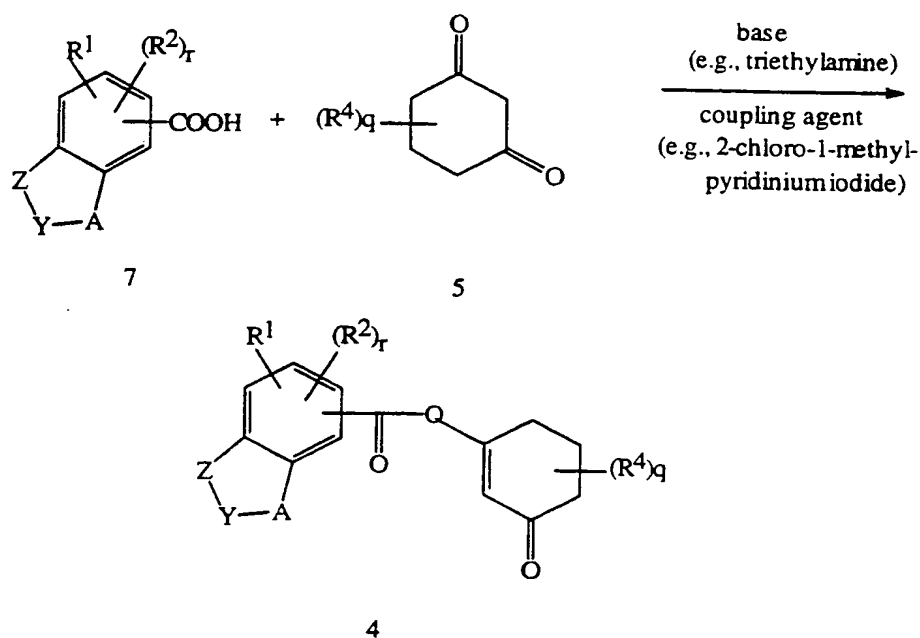


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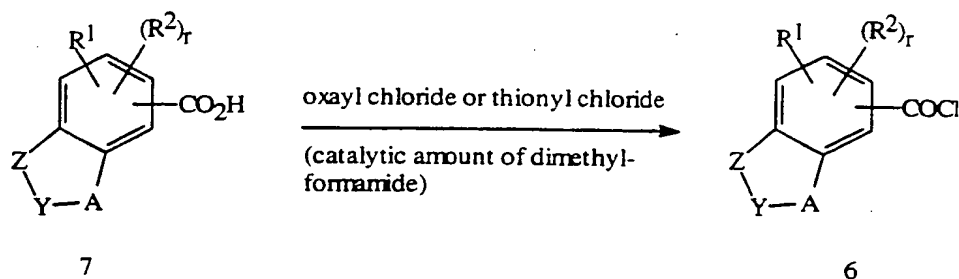
Enol esters of Formula 4 can also be prepared by reacting a dione of Formula 5 with an acid of Formula 7 in the presence of a coupling agent such as 2-chloro-1-methylpyridinium iodide and a slight excess of base such as triethylamine in an inert organic solvent such as acetonitrile, methylene chloride or toluene at temperatures between 0 °C and 110 °C (Scheme 6A). This type of coupling is known in the art: for example, see T. Mukaiyama et al., *Chem. Lett.* (1975), 1045-1048.

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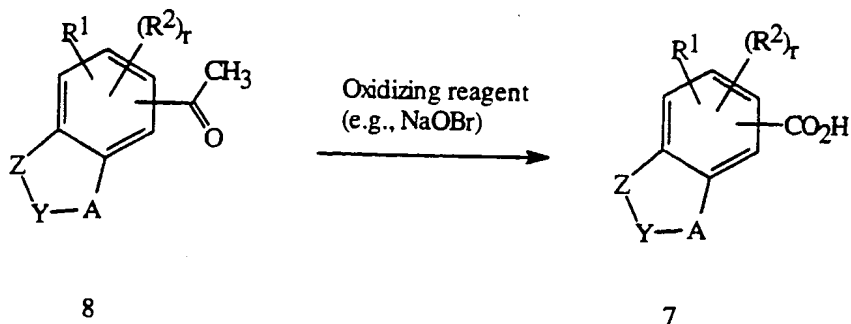
Scheme 6A

The acid chlorides of Formula 6 can be prepared by reacting an acid of Formula 7 with a halogenating reagent (e.g., oxalyl chloride or thionyl chloride) and a catalytic amount of dimethylformamide (Scheme 7). This chlorination is well known in the art: for example, see W. J. Michaely, EP 369,803.

Scheme 7

Scheme 8 illustrates the preparation of acids of Formula 7 whereby a ketone of Formula 8 is reacted with an oxidizing reagent such as  $NaOCl$ ,  $NaOBr$ ,  $NaOI$  or  $NaNO_2$ . The oxidation is carried out by methods known in the art (or by obvious modifications of these methods): for example, see T. F. Braish, et al., *Org. Prep. Proced. Int.*, (1991), 23, 655-658 and J. A. Skorcz, et al., *Heterocycl. Chem.*, (1973), 10, 249.

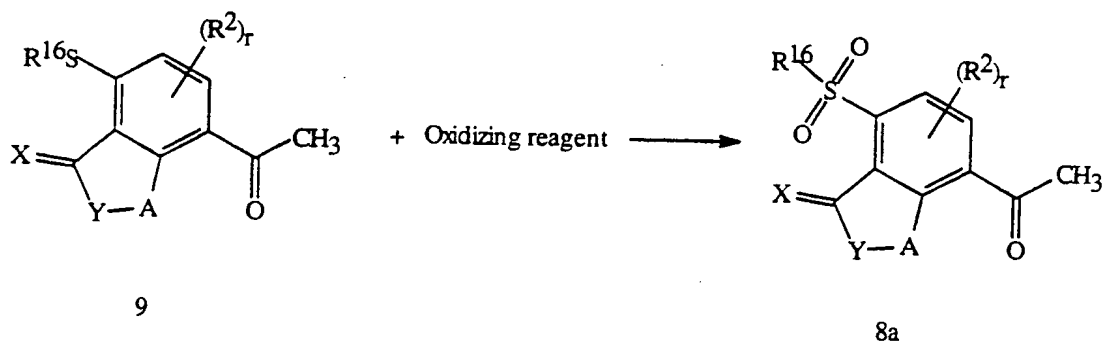
## Scheme 8



( $R^{16} = NR^{10}R^{11}$ ,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  haloalkenyl,  $C_3$ - $C_6$  alkynyl,  $C_3$ - $C_6$  haloalkynyl or  $C_3$ - $C_6$  cycloalkyl; or phenyl optionally substituted)

- 5 Scheme 9 illustrates the preparation of sulfones of Formula 8a whereby a sulfide of Formula 9 is reacted with an oxidizing reagent such as peroxyacetic acid, *m*-chloroperoxybenzoic acid, peroxytrifluoroacetic acid, potassium peroxymonosulfate or hydrogen peroxide. The oxidation is carried out by methods known in the art (or by obvious modifications of these methods): for example, see S. Patai, et al., *The*
- 10 *Chemistry of Sulphones and Sulphoxides*, John Wiley & Sons, 1988; pp 205-213, 235-253. For some sulfides of Formula 9 containing a functional group not compatible with the reaction conditions, the functional group may be protected before the oxidation and then be deprotected after the oxidation. The protection and deprotection procedures are well known in the literature: for example, see T. W. Greene, et. al., *Protective*
- 15 *Groups in Organic Synthesis* (Second Edition), John Wiley & Sons, Inc., J. E. McMurry and T. Hoz, *J. Org. Chem.*, (1975), 40, 3797 and references cited therein.

## Scheme 9



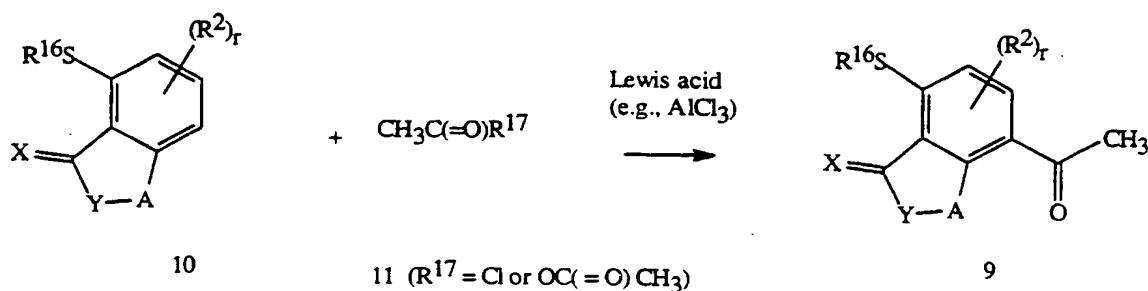
- 20 ( $R^{16} = NR^{10}R^{11}$ ,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  haloalkenyl,  $C_3$ - $C_6$  alkynyl,  $C_3$ - $C_6$  haloalkynyl or  $C_3$ - $C_6$  cycloalkyl; or phenyl optionally substituted)

Scheme 10 illustrates the preparation of ketones of Formula 9 whereby a sulfide of Formula 10 is reacted with an acylating reagent 11 such as acetyl chloride or acetic



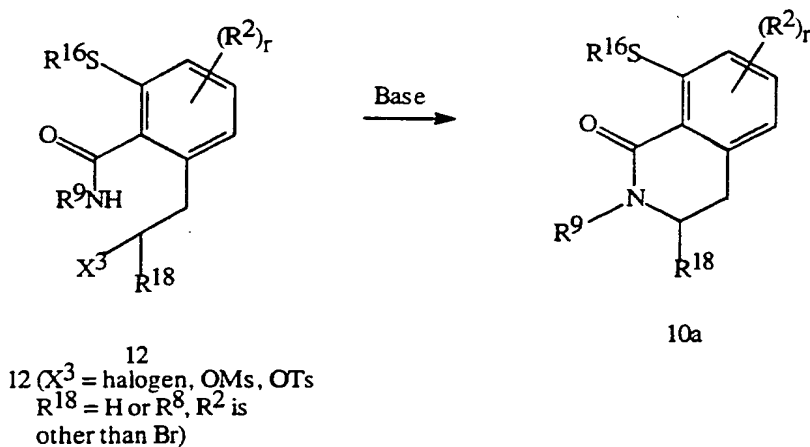
anhydride in the presence of a Lewis acid such as aluminum chloride in a solvent such as carbon disulfide, methylene chloride or 1,2-dichloroethane. This conversion is carried out using methods well known in the art: for example, see R. A. Cutler, *J. Amer. Chem. Soc.*, (1952), 74, 5475.

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Scheme 10

The lactam of Formula 10a ( $X = O$ ,  $Y = NR^9$ ,  $A = -(CH_2)_m-$ , and  $m = 2$ ) can be prepared by treating an amide of Formula 12 (Scheme 11) with a base such as potassium *t*-butoxide or sodium hydride in a solvent such as benzene, dimethylformamide or THF. This conversion is carried out using methods known in the art (or obvious modifications of these methods): for example, see R. N. Misra, et al., *Bioorg. Med. Chem. Lett.*, (1991), 1, 295-298.

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Scheme 11

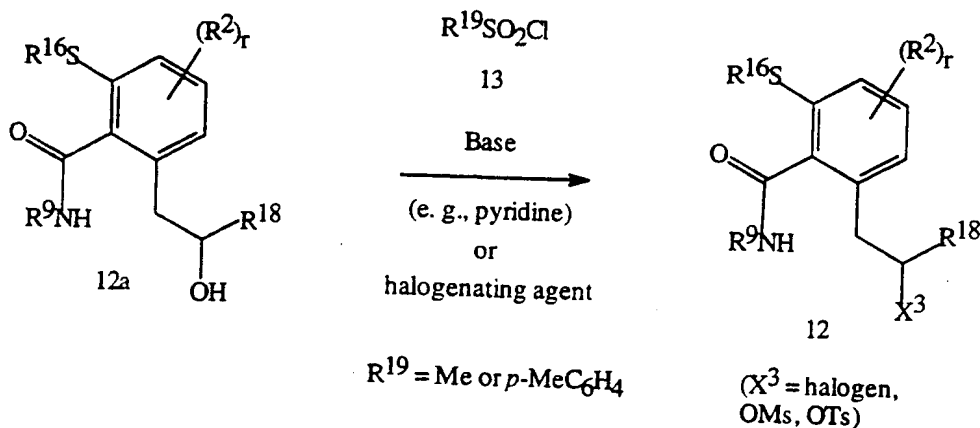
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The compounds of Formula 12 (Scheme 12) can be prepared from compounds of Formula 12a ( $X^3 = OH$ ) by converting the alcohol to an appropriate leaving group such as a halogen, a mesylate or tosylate. For example, the reactions to prepare the mesylate or tosylate are carried with a sulfonyl chloride of Formula 13 in the presence of a base such as pyridine, sodium hydride or triethylamine in a solvent such as pyridine or

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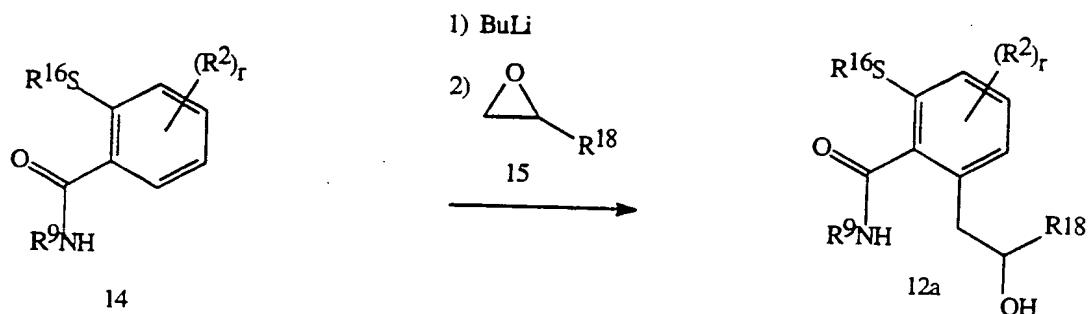
methylene chloride at temperatures between 0 °C and room temperature. This conversion is carried out using methods well known in the literature (or obvious modifications of these methods): for example, see R. N. Misra, et al., *Bioorg. Med. Chem. Lett.*, (1991), 1, 295-298, *Helv. Chim. Acta*, (1947), 30, 1454 and L. F. Fieser and M. Fieser, *Reagents for Organic Synthesis*, Vol. 1, Wiley, New York, (1967), 1179.

### Scheme 12



Compounds of Formula 12a (Scheme 13) can be prepared from an amide of Formula 14 by treatment with an excess of a base such as *n*-butyllithium and an electrophile such as an epoxide of Formula 15 in a solvent such as THF. This conversion is carried out using methods known in the literature (or obvious modifications of these methods): for example, see R. N. Misra, et al., *Bioorg. Med. Chem. Lett.*, (1991), 1, 295-298 and B. H. Bhide, et al., *Chem. and Ind.*, (1975), 519.

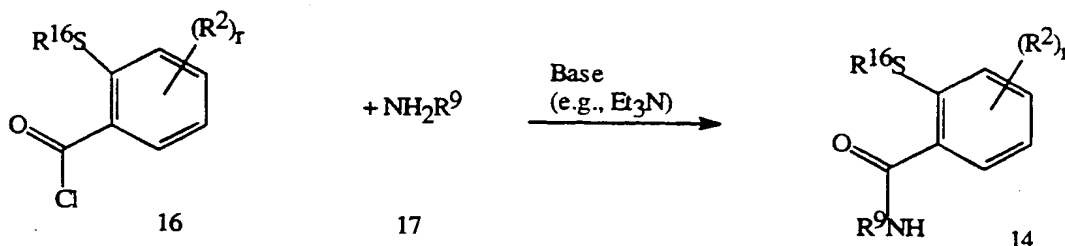
### Scheme 13



Compounds of Formula 14 (Scheme 14) can be prepared from an acid chloride of Formula 16 and an amine of Formula 17 in the presence of a base such as triethylamine or excess  $NH_2R^9$  in a solvent such as chloroform. This conversion is carried out using

methods well known in the literature (or obvious modifications of these methods): for example, see A. D. Wolf, EP 196,786.

Scheme 14

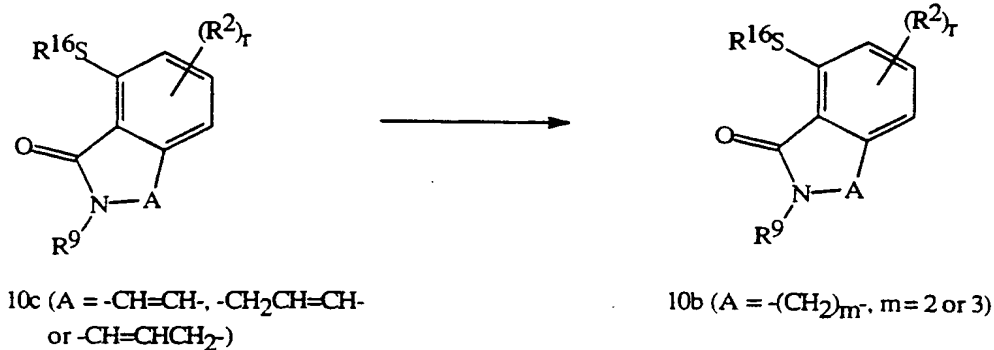


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Scheme 15 illustrates the preparation of compounds of Formula 10b ( $X = O$ ,  $Y = NR^9$ ) whereby an olefin of Formula 10c is reacted with a reducing reagent such as hydrogen at 345 kPa (50 psi) in the presence of a catalyst such as palladium on carbon in a solvent such as ethanol. The reduction is carried out by methods well known in the art (or by obvious modifications of these methods): for example, R. D. Clark, et al., *J. Med. Chem.*, (1993), 36, 2645-57 and C. Y. Cheng, *J. Heterocyclic. Chem.*, (1995), 32, 73.

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Scheme 15

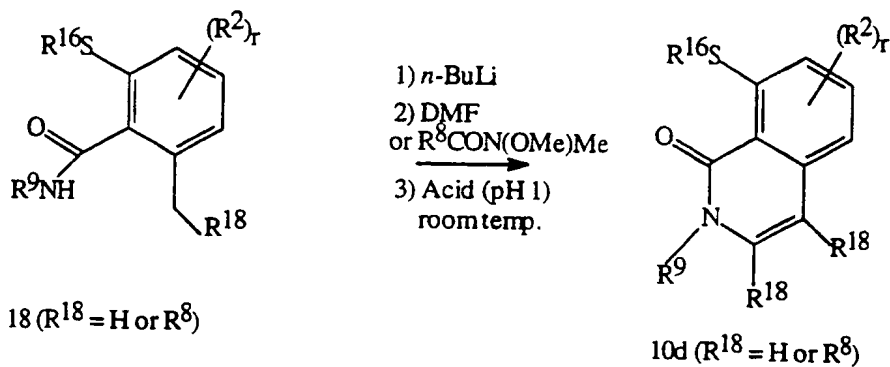


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Scheme 16 illustrates the preparation of compounds of Formula 10d ( $X = O$ ,  $Y = NR^9$ ) whereby an amide of Formula 18 is treated with an alkylolithium such as *n*-butyllithium in a solvent such as THF. The resulting dianion is treated with an electrophile such as DMF or an amide to give compounds of Formula 10d. The reaction is carried out by methods well known in the art (or by obvious modifications of these methods): for example, see R. D. Clark, et al., *J. Med. Chem.*, (1993), 36, 2645-57.

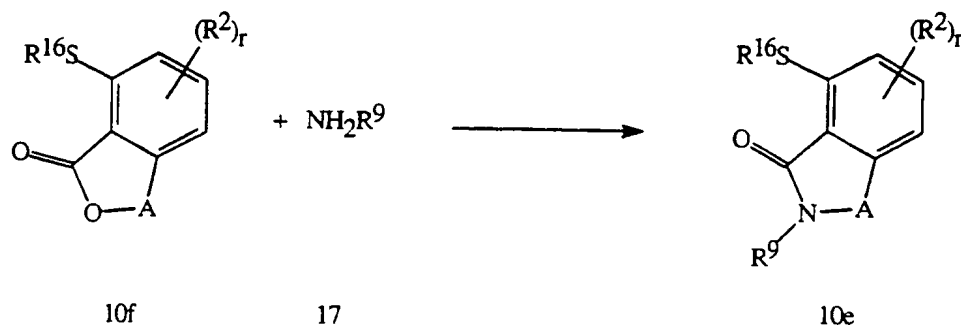
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## Scheme 16



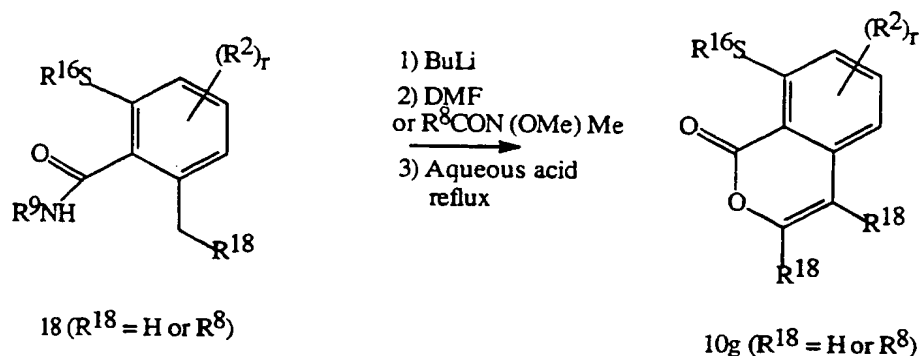
Olefins of Formula 10e ( $X = O$ ,  $Y = NR^9$ ,  $A = -CH=CH-$ ,  $-CH_2CH=CH-$  or  $-CH=CHCH_2-$ ) can also be prepared from the corresponding lactones 10f ( $Y = O$ ) with a substituted amine of Formula 17 (Scheme 17). The reaction is carried out by methods well known in the art (or by obvious modifications of these methods): for example, see R. Singh, et. al., *J. Indian Chem. Soc.*, (1991), 68, 276-80, M. Somei, *Chem. Pharm. Bull.*, (1981), 29, 249, and N. Gilman, *Synth. Commun.*, (1982), 12, 373-80.

## Scheme 17

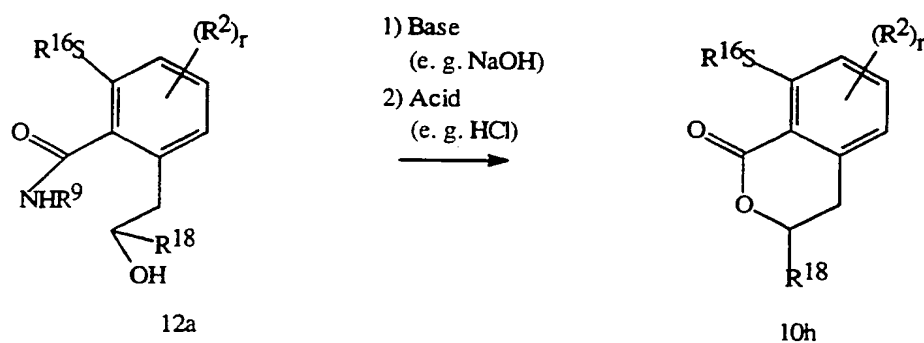


The preparation of lactones of Formula 10g ( $X = O$ ,  $Y = O$ ) (Scheme 18) is carried out by methods well known in the art (or by obvious modifications of these methods): for example, see R. M. Hauser, *J. Org. Chem.*, (1988), 53, 4676-4681.

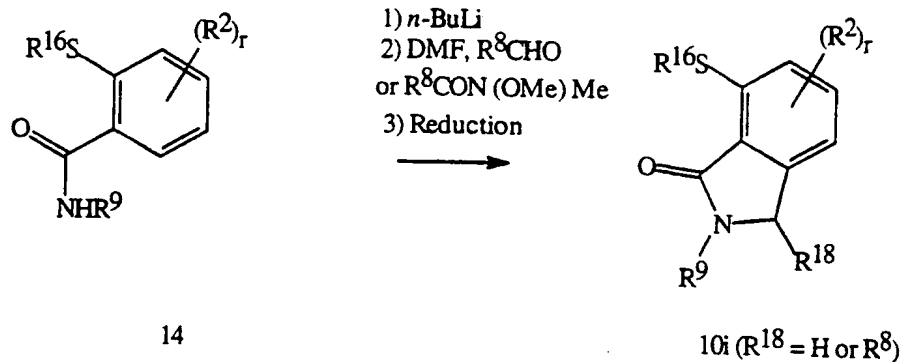
19

Scheme 18

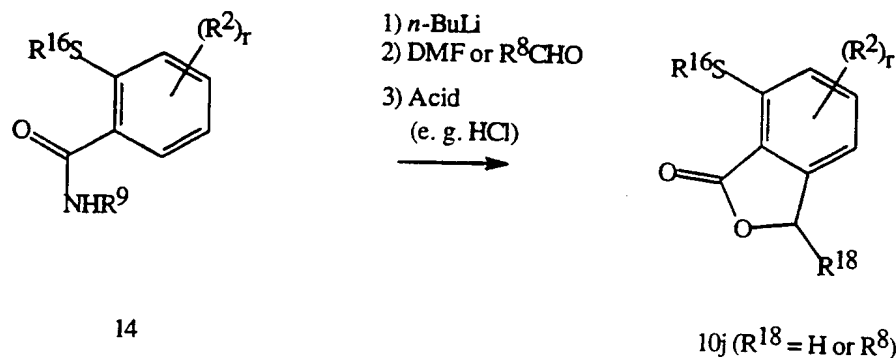
The preparation of compounds of Formula 10h ( $X = O$ ,  $Y = O$ ,  $A = -(CH_2)_m$ ,  $m = 2$ ) is carried out by methods well known in the art (or by obvious modifications of these methods): for example, R. J. Pasteris, EP 166,516, A. D. Wolf, EP 196,786 and F. M. Hauser, *J. Org. Chem.*, (1988), 53, 4676-4681.

Scheme 19

The preparation of compounds of Formula 10i ( $X = O$ ,  $Y = NR^9$ ,  $A = -(CH_2)_m$ ,  $m = 1$ ) is carried out by methods known in the art (or by obvious modifications of these methods): for example, see J. Epszajn, et al., *Tetrahedron*, (1993), 49, 929-938 and R. J. Pasteris, EP 107,979 and EP 166,516.

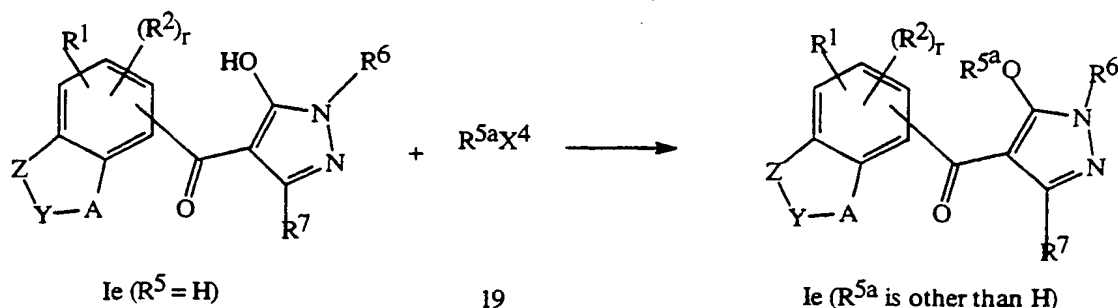
Scheme 20

The preparation of compounds of Formula 10j ( $X = O$ ,  $Y = O$ ,  $A = -(CH_2)_m$ ,  $m = 1$ ) is carried out by methods known in the art (or by obvious modifications of these methods): for example, see R. Mali, et al., *J. Chem. Res., Synop.*, (1993), 5, 184-185 and B. H. Bhide, *Tetrahedron*, (1971), 27, 6171.

Scheme 21

Scheme 22 illustrates the preparation of compounds of Formula Ie ( $R^5 = R^{5a}$  and  $R^{5a}$  is the same as  $R^5$  as described in the Summary of the Invention excluding H) whereby a compound of Formula Ie ( $R^5 = H$ ) is reacted with a reagent of Formula 19 in the presence of a base wherein  $X^4$  is chlorine, bromine, fluorine, OTf or OAc and  $R^{5a}$  is as previously defined. This coupling is carried out by methods known in the art (or by obvious modification of these methods): for example, see K. Nakamura, et al., WO 95/04054.

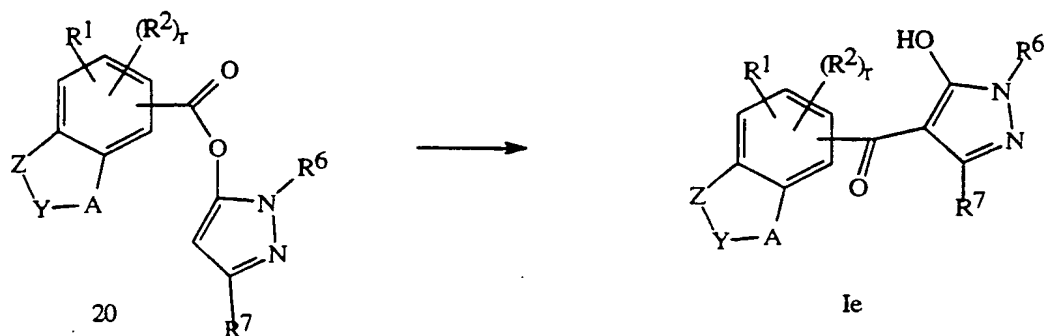
21

Scheme 22

wherein

$\text{R}^{5\text{a}}$  is the same as  $\text{R}^5$  as described in the Summary of the Invention excluding H.

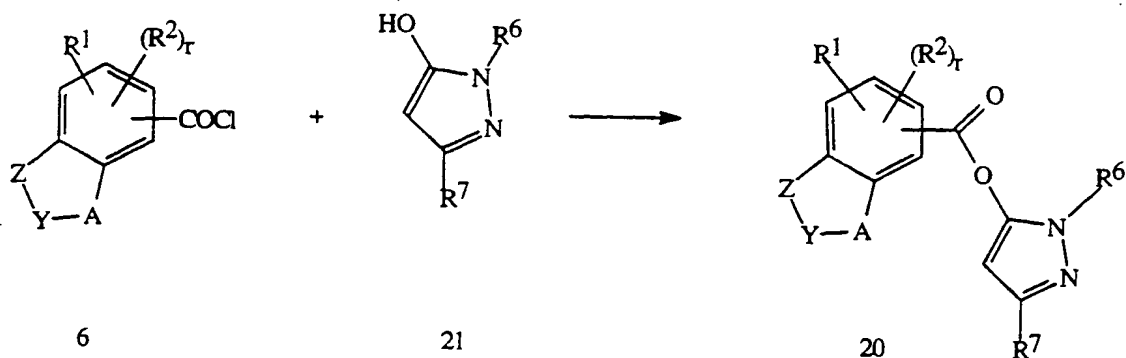
- 5        Scheme 23 illustrates the preparation of compounds of Formula Ie ( $\text{R}^5 = \text{H}$ ) whereby an ester of Formula 20 is reacted with a base such as triethylamine in the presence of a catalytic amount of a cyanide source (e.g., acetone cyanohydrin or potassium cyanide). This rearrangement is carried out by methods known in the art (or by obvious modification of these methods): for example, see W. J. Michaely,
- 10    EP 369,803.

Scheme 23

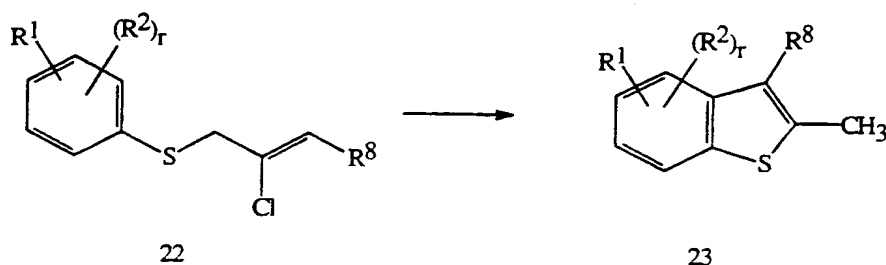
- 15        An ester of Formula 20 can be prepared by reacting a hydroxypyrazole of Formula 21 with an acid chloride of Formula 6 in the presence of a slight molar excess of a base such as triethylamine in an inert organic solvent such as acetonitrile, methylene chloride or toluene at temperatures between 0 °C and 110 °C (Scheme 24). This type of coupling is carried out by methods known in the art (or by obvious modification of these methods): for example, see W. J. Michaely, EP 369,803.

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Scheme 24

5 Scheme 25 illustrates the synthesis of compounds of the Formula 23 ( $R^8 = C_1-C_6$  alkyl) wherein a thioether of the Formula 22 is heated either neat or in the presence of a high boiling solvent such as dimethylaniline at temperature from 150 °C to 200 °C to provide the benzothiophenes 23 (Scheme 25). For a representative example see, W. K. Anderson et al., *J. Chem. Soc. Perkin Transactions I* (1986), 1-4.

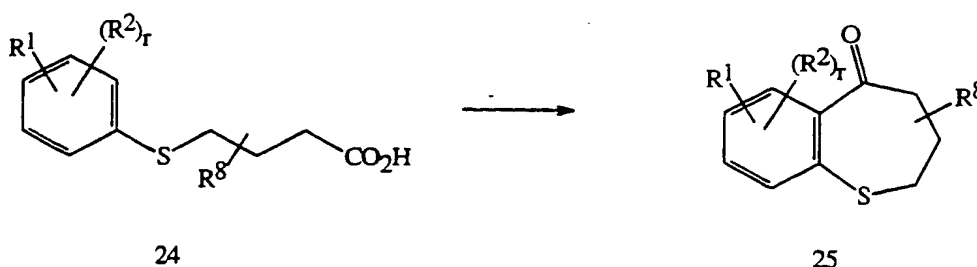
Scheme 25

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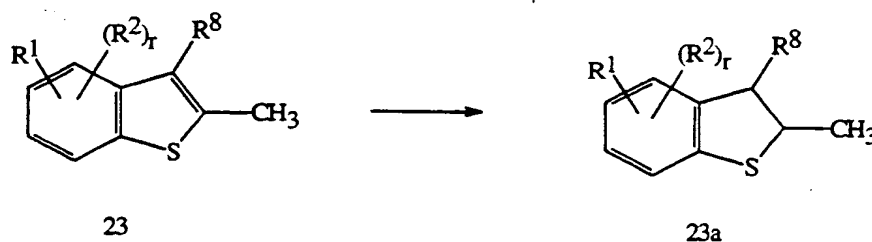
15 Compounds of the Formula 25 which can serve as intermediates for the synthesis of compounds of the present invention can readily be prepared by acid catalyzed cyclization of an appropriately substituted carboxylic acid of the Formula 24 (Scheme 26). Acid catalysts that have been used to promote this reaction are sulfuric acid, hydrochloric acid, trifluoroacetic acid and polyphosphoric acid. For a general review see, B. Iddon and R. M. Scrowston, *Advances in Heterocyclic Chemistry*, Vol. 1, Academic Press, New York (1970), 177.



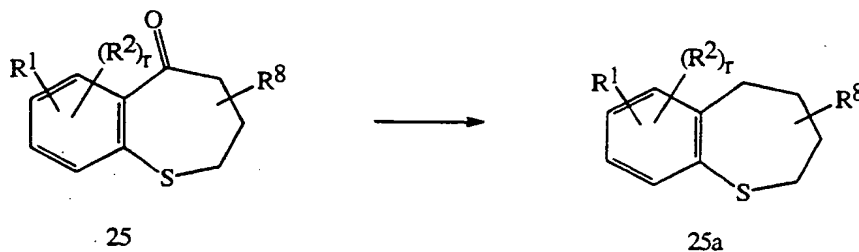
23

Scheme 26

The preparation of compounds of the Formula 23a can be accomplished by treatment of compounds of the Formula 23 with triethylsilane in trifluoroacetic acid (Scheme 27). The reaction is best carried out at temperatures between 25 °C and 72 °C. For an example of this transformation see, E. N. Karaulova et al., *Zur Russ. Fiz-Chim.*, (1960), 30, 3292.

Scheme 27

Compounds of the Formula 25a can readily be prepared by treatment of compounds of the Formula 25 with triethylsilane in refluxing trifluoroacetic acid (Scheme 28). For a representative example, see, C. T. West et al., *J. Org. Chem.*, (1963), 38, 2675-2681.

Scheme 28

Compounds of Formula 26 ( $A = -(CH_2)_m$ ,  $Y = -CH_2-$ ) can be regioselectively brominated *para* to the thioether functionality giving structures of Formula 27 (Scheme 29). Typical conditions employed are the treatment of compounds of Formula 26 with one equivalent to a slight excess of bromine in an inert solvent such as

dichloromethane or chloroform at temperatures from 20 °C up to the boiling point of the solvent. For a representative example see K. Nakamura et al., WO 95/04054.

Scheme 29

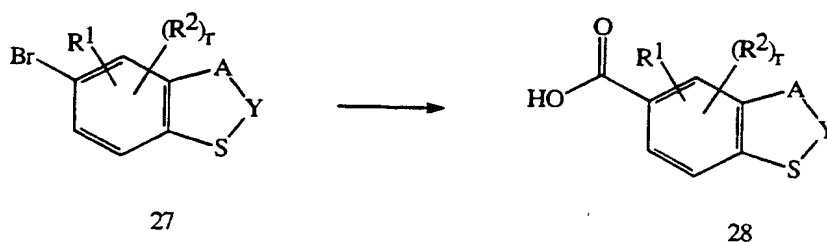


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Scheme 30 illustrates the preparation of carboxylic acids of the Formula 28 (A =  $-(CH_2)_m-$ , Y =  $-CH_2-$ ) via halogen metal exchange followed by quenching of the resulting anion with carbon dioxide. This general method is carried out by the addition of *n*-butyl lithium to a solution of the compound of the Formula 27 in THF or diethyl ether at temperatures from 25 °C to -70 °C. Carbon dioxide is introduced to produce the resulting acid. This classical reaction is known to one skilled in the art. For a typical procedure see, R. L. Danheiser et al., *J. Am. Chem. Soc.*, (1986), 108, 806-810.

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Scheme 30

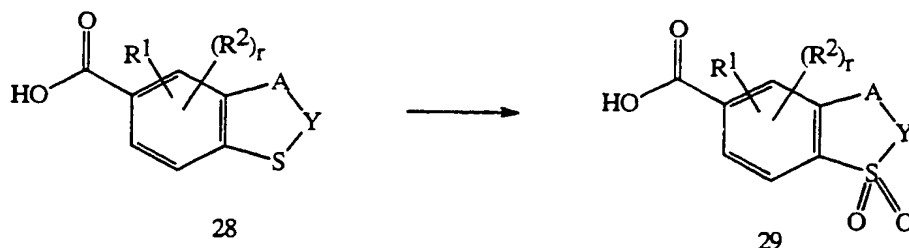


15

Compounds of the Formula 29 (A =  $-(CH_2)_m-$ , Y =  $-CH_2-$ ) (Scheme 31) can readily be prepared by oxidation of compounds of the Formula 28 using any one of a number of oxidants. Typical reagents used for this transformation are hydrogen peroxide, peroxyacetic acid, *m*-chloroperoxybenzoic acid and potassium peroxymonosulfate. The oxidations can be carried out by methods known in the art or obvious modifications. For a general review see, S. Patai et al., *The Chemistry of Sulphones and Sulfoxides*, John Wiley & Sons, 1988, pp. 205-213.

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Scheme 31

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated.

<sup>1</sup>H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, br s = broad singlet.

EXAMPLE 1Step A: Preparation of 2-(ethylthio)benzoic acid

73.5 g (1.84 mol) of sodium hydroxide was dissolved in 750 mL of ethanol. To this solution at 20 °C, 135 g (0.87 mol) of thiosalicylic acid and an additional 600 mL of ethanol was added. After stirring at room temperature for 1 h, the reaction mixture was cooled to 0 °C and 77 mL (0.97 mol) of ethyl iodide was added dropwise. The reaction mixture was then heated under reflux for 1 h, cooled to 10 °C and 1 N HCl added until the mixture was pH 2. The resulting precipitate was collected by filtration and washed several times with water. The solid was dried to give 148 g of the title compound of Step A. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.40 (t,3H), 3.00 (q,2H), 7.20 (t,1H), 7.38 (d,1H), 7.50 (t,1H), 8.15 (d,1H).

Step B: Preparation of N-(1,1-dimethylethyl)-2-(ethylthio)benzamide

296.4 g (1.63 mol) of the title compound of Step A, 300 mL (4.07 mol) of thionyl chloride and 600 mL of methylene chloride were heated at reflux for 4 h. After standing at room temperature overnight, an additional 25 mL of thionyl chloride was added and the reaction refluxed an additional 3 h. The reaction was concentrated under reduced pressure, chloroform was added, and the mixture was again concentrated to give 316 g of the acid chloride.

A solution of the acid chloride in 550 mL of chloroform was added dropwise to a solution of 377 mL (3.58 mol) of *t*-butyl amine in 550 mL of chloroform at 0 °C. After the addition was complete, the reaction was heated at 40 °C and then allowed to stand at room temperature overnight. The reaction mixture was poured into water and extracted twice with methylene chloride. The combined organic extracts were washed twice with 1 N HCl, dried (MgSO<sub>4</sub>) and concentrated. The crude oil was triturated with hexane to give 284 g of the title compound of Step B as a white solid (a sample prepared in a separate experiment provided material melting at 126-129 °C). An additional 44.6 g was obtained from the filtrate. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.29 (t,3H), 1.48 (s,9H), 2.92 (q,2H), 6.52 (br s, 1H), 7.21-7.40 (m,3H), 7.62 (dd,1H).

Step C: Preparation of N-(1,1-dimethylethyl)-2-(ethylthio)-6-(2-hydroxyethyl)benzamide

20.0 g (84.4 mmol) of the title compound of Step B was dissolved in 250 mL of dry THF and cooled to -45 °C. *n*-Butyl lithium (116 mL of a 1.6 M solution in hexanes, 186 mmol) was added dropwise to this mixture at -45 °C. The reaction was allowed to warm to 0 °C and kept at this temperature for 30 min. Ethylene oxide was then added rapidly at 0 °C and the reaction was kept below room temperature. After 1 h, saturated ammonium chloride was added and the reaction mixture was extracted twice with ether. The combined organic extracts were dried (Na<sub>2</sub>SO<sub>4</sub>) and concentrated. The crude oil was triturated with *n*-butyl chloride to give 9.94 g of the title compound of Step C as a

white solid melting at 113-117 °C. <sup>1</sup>H NMR (Me<sub>2</sub>SO-*d*<sub>6</sub>) δ 1.17 (t,3H), 1.32 (s,9H), 2.67 (t,2H), 2.87 (q,2H) 3.55-3.59 (m,2H), 4.62 (t,1H), 7.00-7.21 (m,3H), 7.84 (br s,1H).

**Step D:**        Preparation of *N*-(1,1-dimethylethyl)-2-(ethylthio)-6-[2-[(methylsulfonyl)oxy]ethyl]benzamide

To a mixture of 16.67 g (59.32 mmol) of the title compound of Step C in 245 mL of pyridine at 0 °C was added dropwise 14 mL (178 mmol) of mesyl chloride at 0 °C. After 1 h at 0 °C, the reaction mixture was poured into ice water and the precipitate collected by filtration. The solid was washed with water and dried to give 15.14 g of the title compound of Step D (a sample prepared in a separate experiment provided material melting at 111-113 °C). <sup>1</sup>H NMR (Me<sub>2</sub>SO-*d*<sub>6</sub>) δ 1.20 (t,3H), 1.36 (s,9H), 2.93 (m,5H), 3.11 (s,3H), 4.38 (t,2H), 7.17 (dd,1H), 7.30 (m,2H), 8.00 (br s,1H).

**Step E:**        Preparation of 2-(1,1-dimethylethyl)-8-(ethylthio)-3,4-dihydro-1(2*H*)-isoquinolinone

To a mixture of 5.67 g (50.61 mmol) of potassium *t*-butoxide in 100 mL of dimethylformamide at 0 °C was added a solution of 15.14 g (42.17 mmol) of the title compound of Step D in 100 mL dimethylformamide at 0 °C. The reaction was allowed to warm to room temperature over 1 h and an additional 150 mL of dimethylformamide and 1 g of potassium *t*-butoxide added. After an additional 30 min, the reaction mixture was poured onto ice and 10% HCl was added to adjust the pH to 2. The reaction mixture was extracted three times with ethyl acetate. The combined organic phases were washed three times with water, then saturated aqueous NaCl, dried (MgSO<sub>4</sub>) and concentrated to give 8.6 g of the title compound of Step E as an amber oil. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.40 (t,3H), 1.55 (s,9H), 2.88 (m,4H), 3.50 (m,2H), 6.85 (d,1H), 7.18 (d,1H), 7.23 (m,2H).

**Step F:**        Preparation of 5-acetyl-2-(1,1-dimethylethyl)-8-(ethylthio)-3,4-dihydro-1(2*H*)-isoquinolinone

To a solution of 2.0 g (7.6 mmol) of the title compound of Step E and 32 mL of methylene chloride was added 1.0 g (7.5 mmol) of aluminum chloride. The reaction mixture was heated under reflux for 1 h and cooled to room temperature. A solution of 1.2 g (9.12 mmol) of aluminum chloride and 0.72 g (9.12 mmol) of acetyl chloride in 20 mL of methylene chloride was added and the reaction mixture was refluxed an additional 2 h. The reaction mixture was cooled and 20 mL of 1 N HCl was added dropwise. The phases were separated and the aqueous phase was extracted two more times with methylene chloride. The combined organic phases were dried (MgSO<sub>4</sub>) and concentrated to give 2.3 g of an oil. The residue was flash chromatographed on silica gel with ethyl acetate/hexane to give 1.04 g of the title compound of Step F as a white solid (a sample prepared in a separate experiment provided material melting at 109-110 °C).

$^1\text{H}$  NMR ( $\text{Me}_2\text{SO}-d_6$ )  $\delta$  1.25 (t,3H), 1.45 (s,9H), 2.55 (s,3H), 2.89 (q,2H), 3.00 (t,2H), 3.40 (t,2H), 7.33 (d,1H), 7.86 (d,1H).

Step G: Preparation of 5-acetyl-2-(1,1-dimethylethyl)-8-(ethylsulfonyl)-3,4-dihydro-1(2H)-isoquinolinone

5 To a solution of 2.06 g (3.35 mmol) of Oxone<sup>®</sup> in 8 mL of water was added a solution of 0.41 g (1.34 mmol) of the title compound of Step F in 3 mL of acetone. The reaction mixture was stirred at room temperature for 1.5 h and then diluted with water and ethyl acetate. The phases were separated and the aqueous phase was extracted again with ethyl acetate. The combined organic phases were dried ( $\text{MgSO}_4$ ) and concentrated to give 0.5 g of the title compound of Step G as a solid (a sample prepared in a separate experiment provided material melting at 139-142 °C).  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}-d_6$ )  $\delta$  1.18 (t,3H), 1.47 (s,9H), 2.62 (s,3H), 3.00(t,2H), 3.48 (t,2H), 3.85 (q,2H), 7.97 (d,1H,J=8.26 Hz), 8.08 (d,1H,J=8.26 Hz).

Step H: Preparation of 2-(1,1-dimethylethyl)-8-(ethylsulfonyl)-1,2,3,4-tetrahydro-1-oxo-5-isoquinolinecarboxylic acid

15 To a 5 °C solution of 0.54 g (13.5 mmol) of sodium hydroxide in 1.25 mL of water was added 0.24 mL (4.65 mmol) of bromine and the reaction mixture was stirred until a clear yellow solution was obtained. To this solution was added 0.5 g (1.48 mmol) of the title compound of Step G dissolved in 1 mL of 1,4-dioxane and the reaction mixture was stirred at room temperature for 3 h. The reaction mixture was diluted with water and adjusted to pH 2 with concentrated HCl. It was extracted three times with ethyl acetate and the combined organic extracts were dried ( $\text{MgSO}_4$ ) and concentrated to give 0.53 g of the title compound of Step H as an amber oil.  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}-d_6$ )  $\delta$  1.18 (t,3H), 1.48 (s,9H), 3.20 (t,2H), 3.51 (t,2H), 3.85 (q,2H), 7.95(d,1H,J=8.26 Hz), 8.06 (d,1H,J=8.26 Hz).

Step I: Preparation of (1-ethyl-1H-pyrazol-5-yl) 2-(1,1-dimethylethyl)-8-(ethylsulfonyl)-1,2,3,4-tetrahydro-1-oxo-5-isoquinolinecarboxylate

0.5 g (1.45 mmol) of title compound of Step H and 14 mL of thionyl chloride were combined and heated under reflux for 4 h. The reaction mixture was allowed to cool and was then concentrated. The residue was dissolved in methylene chloride and re-concentrated. The residue was dissolved in 6 mL of chloroform and 0.2 g (1.79 mmol) of 1-ethyl-5-hydroxy-1H-pyrazole was added followed by 0.18 g (1.78 mmol) of triethylamine. The reaction mixture was heated at reflux for 1 h and then allowed to stir at room temperature overnight. The reaction mixture was poured into ice and 1 N HCl and extracted three times with methylene chloride. The combined organic extracts were dried ( $\text{MgSO}_4$ ) and concentrated to give 0.5 g of an oil. The residue was flash chromatographed on silica gel with ethyl acetate to give 90 mg of the title compound of Step I as a solid (a sample prepared in a separate experiment provided

material melting at 158-161 °C with apparent decomposition). <sup>1</sup>H NMR (Me<sub>2</sub>SO-*d*<sub>6</sub>) δ 1.20 (t,3H), 1.32 (t,3H), 1.49 (s,9H), 3.25 (m,2H), 3.56 (m,2H), 3.87 (q,2H) 4.09 (q,2H), 6.24 (d,1H), 7.47 (d,1H), 8.09 (d,1H), 8.38 (d,1H).

Step J: Preparation of 2-(1,1-dimethylethyl)-5-[(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)carbonyl]-8-(ethylsulfonyl)-3,4-dihydro-1(2*H*)-isoquinolinone

5 0.28 g (0.65 mmol) of the title compound of Step I, 3.4 mL of dry methylene chloride, 0.16 mL (1.15 mmol) of triethylamine and one drop of acetone cyanohydrin were combined and stirred at room temperature over the weekend. The reaction mixture was diluted with ethyl acetate and 1 N HCl. The organic phase was then extracted three  
10 times with saturated aqueous sodium bicarbonate. The basic aqueous phase was adjusted to pH 2 and extracted four times with methylene chloride. The combined methylene chloride extracts were dried (MgSO<sub>4</sub>) and concentrated to give 0.35 g. Trituration with ether/hexane gave 0.15 g of the title compound of Step J, a compound of the invention, as a yellow solid melting at 164-167 °C. <sup>1</sup>H NMR (Me<sub>2</sub>SO-*d*<sub>6</sub>) δ 1.20  
15 (t,3H), 1.27 (t,3H), 1.47 (s,9H), 2.82 (t,2H), 3.50 (t,2H), 3.87 (q,2H), 3.92 (q,2H), 7.48 (s,1H), 7.69 (d,1H), 7.97 (d,1H).

## EXAMPLE 2

Step A: Preparation of 1-[(2-chloro-2-propenyl)thio]-2,5-dimethylbenzene

To a suspension of potassium carbonate 50.0 g (0.362 mol) in 600 mL of DMF at  
20 room temperature was added 50.0 g (0.362 mol) of 2,5-dimethylthiophenol dropwise. The temperature was allowed to rise to 29 °C with continued stirring for an additional 1 h. 40.1 g (0.362 mol) of 2,3-dichloropropene was added dropwise at room temperature and the reaction was allowed to stir overnight. The crude reaction mixture was poured into excess ice/water and the resulting solution was extracted twice with  
25 ethyl acetate. The combined organic phase was dried (MgSO<sub>4</sub>) and concentrated to give a gold oil 76.1 g of the title compound of Step A which was used in the next step without further purification. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 2.30 (s,3H), 2.40 (s,3H), 3.64 (s,2H), 5.22 (d,2H), 6.95 (d,1H), 7.08 (d,1H), 7.13 (s,1H).

Step B: Preparation of 2,4,7-trimethylbenzo[*b*]thiophene

30 To 230 mL of dimethylaniline at reflux was added dropwise a solution of 50.0 g (0.236 mol) of the title compound of Step A and 200 mL of dimethylaniline. The solution was heated overnight at reflux and then allowed to come to room temperature. The crude reaction mixture was diluted with excess ether and washed with 1N HCl until dimethylaniline could no longer be detected. The ether layer was dried over MgSO<sub>4</sub>.  
35 filtered and concentrated to yield 38.1 g of the title compound of Step B as a brown oil. The compound was used without further purification in the next reaction. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 2.30 (s,3H), 2.55 (s,3H), 2.61 (s,3H), 6.95 (d,1H), 7.04 (d, 1H), 7.10 (s,1H).

Step C: Preparation of 2,3-dihydro-2,4,7-trimethylbenzo[b]thiophene

A solution of 38.0 g (0.215 mol) of the title compound of step B, 98.0 mL (0.429 mol) of triethylsilane in 280 mL of trifluoroacetic acid was heated overnight at reflux. The crude reaction mixture was concentrated, diluted with excess ether, and washed with saturated sodium bicarbonate until an aqueous test extraction was neutral. The ether phase was dried over  $\text{MgSO}_4$ , filtered and concentrated to yield an orange oil. Chromatography on silica gel with hexane provided 20.4 g of the title compound of Step C as a pale yellow oil.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.48 (d,3H), 2.20 (s,6H), 2.90 (dd,1H), 3.38 (dd,1H), 3.95-4.09 (m,1H), 6.78 (d,1H), 6.83 (d,1H).

Step D: Preparation of 5-bromo-2,3-dihydro-2,4,7-trimethylbenzo[b]thiophene

A solution of 10.3 g (58.0 mmol) of the title compound of Step C in 120 mL of dichloromethane was treated at room temperature with 9.3 g (58.0 mmol) of bromine dropwise. The solution was stirred an additional 3 h, diluted with excess ethyl acetate, washed with excess saturated sodium bisulfite solution and dried over  $\text{MgSO}_4$ . Filtration followed by concentration provided the crude product as a yellow oil. Chromatography on silica gel in hexanes provide 10.6 g of the title compound of Step D as a clear oil.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.46 (d,3H), 2.16 (s,3H), 2.29 (s,3H), 2.95 (dd,1H), 3.40 (dd,1H), 3.93-3.99 (m,1H), 7.15 (s,1H).

Step E: Preparation of 2,3-dihydro-2,4,7-trimethylbenzo[b]thiophene-5-carboxylic acid

To a solution of 15.1 g (58.7 mmol) of the title compound of Step D in THF at  $-70^\circ\text{C}$  was added dropwise a solution of *n*-butyl lithium (24.7 mL of a 2.5 M solution in hexanes, 61.6 mmol). During the addition, the temperature was maintained below  $-60^\circ\text{C}$ . The reaction mixture was stirred an additional 30 min at  $-70^\circ\text{C}$  and then excess carbon dioxide gas was passed into the solution (15 min). The reaction mixture was allowed to slowly warm to room temperature and stir overnight. The reaction mixture was diluted with excess water, acidified with concentrated HCl to pH 2, and extracted with ethyl acetate several times. The combined organic phase was dried over  $\text{MgSO}_4$ , filtered and concentrated to provide the crude acid as a green solid. The crude product was suspended in hexanes, collected by filtration, and air dried to yield 8.5 g of the title compound of Step E as a green solid.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.48(d,3H), 2.23(s,3H), 2.51(s,3H), 3.01(dd,1H), 3.47(dd,1H), 3.98-4.03(m,1H), 7.71(s,1H).

Step F: Preparation of 2,3-dihydro-2,4,7-trimethylbenzo[b]thiophene-5-carboxylic acid 1,1-dioxide

To a solution of 38.8 g (56.0 mmol) of Oxone<sup>®</sup> in 250 mL of water at room temperature was added dropwise a solution of 5.0 g (23.0 mmol) of the title compound of Step E in 50 mL of acetone. To the resulting solution was added portionwise 12.0 g (143 mmol) of sodium bicarbonate. The solution was stirred an additional 1.5 h at room



temperature. To the solution was added 1N HCl to bring the pH to 3. The solution was extracted with ethyl acetate and the combined organic phase was washed with saturated sodium bisulfite solution, dried over  $\text{MgSO}_4$ , filtered and concentrated to provide the title compound of Step F as a white solid melting at 186-189 °C.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.56 (d,3H), 2.52 (s,3H), 2.65 (s,3H), 2.82 (dd,1H), 3.40-3.61(m,2H), 7.83 (s,1H).

**Step G:** Preparation of 1-ethyl-1-*H*-pyrazol-5-yl 2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophene-5-carboxylate 1,1-dioxide

To a solution of 1.0 g (3.94 mmol) of the title compound of Step F in 35 mL of dichloromethane at room temperature was added 0.55 mL (6.30 mmol) of oxalyl chloride and a catalytic amount of dimethylformamide. The resulting solution was heated to reflux for 3 h and then stirred at ambient temperature overnight. The reaction mixture was concentrated *in vacuo*. The crude reaction mass was dissolved in 20 mL of dichloromethane and treated at room temperature successively with 0.89 mL (6.30 mmol) of triethylamine followed by 0.46 g (4.11 mmol) of 1-ethyl-5-hydroxy-1*H*-pyrazole. The reaction mixture was stirred at room temperature overnight. The reaction mixture was diluted with excess ethyl acetate, washed with water and dried over  $\text{MgSO}_4$ . Filtration followed by concentration afforded the crude product which was chromatographed on silica gel (elution with 1:1 ethyl acetate:hexanes) to afford 0.89 g of the title compound of Step G as a white solid.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.45 (t,3H), 1.58 (d,3H), 2.54 (s,3H), 2.69 (s,3H), 2.85 (dd,1H), 3.47-3.59 (m,2H), 4.10 (q,2H), 6.24 (d,1H), 7.50 (d,1H), 7.86 (s,1H).

**Step H:** Preparation of (2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophene-5-yl)(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)methanone *S,S*-dioxide

To a solution of 0.89 g (2.56 mmol) of the title compound of Step G in 15 mL of acetonitrile at room temperature was added 4 drops of acetone cyanohydrin followed by 0.57 mL (4.09 mmol) of triethylamine. The solution was stirred at room temperature overnight, then diluted with excess water, acidified with 1N HCl to pH 3-5 and extracted with ethyl acetate. The organic phase was dried over  $\text{MgSO}_4$ , filtered and concentrated. The crude product was triturated with a 1:1 mixture of *n*-butyl chloride:hexanes to yield the title compound of Step H, a compound of the invention, as a white solid melting at 152-157 °C.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  1.46 (t,3H), 1.57 (d,3H), 2.29 (s,3H), 2.66 (s,3H), 2.80 (dd,1H), 3.44 (dd,1H), 3.50-3.61 (m,1H), 4.08 (q,2H), 7.28 (s,1H), 7.35 (s,1H).

**EXAMPLE 3**

**Step A:** Preparation of methyl 4-[(2-ethoxy-2-oxoethyl)thio]-3-nitrobenzoate

0.36 g (9 mmol) of 60% sodium hydride was suspended in anhydrous dimethylformamide and cooled to 0 °C. After the dropwise addition of 0.93 mL (8.5 mmol) of ethyl 2-mercaptoacetate, the reaction was warmed to room temperature and stirred for an additional 30 minutes. 1.8 g (8.3 mmol) of methyl 4-chloro-3-

nitrobenzoate was added dropwise, keeping the reaction temperature below 10 °C. The reaction mixture was then slowly warmed to room temperature and poured into 150 mL of ice water. The precipitate was stirred vigorously for 20 minutes and then filtered. The solid was dried to give 2.3 g of the title compound of Step A as a yellow solid melting at 74-76 °C. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 8.88 (d,1H), 8.20 (d,1H), 7.6 (d,1H), 4.23 (q,2H), 3.97 (s,3H), 3.80 (s,2H), 1.28 (t,3H).

**Step B:**      Preparation of methyl 3,4-dihydro-3-oxo-2H-1,4-benzothiazine-6-carboxylate

10.0 g (35 mmol) of the title compound of Step A was dissolved in 160 mL of acetic acid and 20 mL of water was added. The solution was heated to 65 °C and 11.7 g (210 mmol) of iron powder was added in small portions. Vigorous stirring was continued for 10 minutes after the end of the iron addition, after which the reaction was filtered through Celite®. The solids were washed with acetic acid and the combined filtrates concentrated. The crude mixture was partitioned between ethyl acetate and sodium bicarbonate solution. The layers were separated and the organic phase was extracted three times with more ethyl acetate. The combined ethyl acetate layers were washed with sodium bicarbonate solution and saturated aqueous NaCl, dried over magnesium sulfate, and concentrated to yield 7.0 g of the title compound of Step B as a white solid melting at 178-180 °C. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 8.68 (br s,1H), 7.67 (d,1H), 7.57 (s,1H), 7.38 (d,1H), 3.93 (s,3H), 3.49 (s,2H).

**Step C:**      Preparation of methyl 4-ethyl-3,4-dihydro-3-oxo-2H-1,4-benzothiazine-6-carboxylate

10.0 g (45 mmol) of the title compound of Step B was dissolved in 50 mL of anhydrous dimethylformamide. 6.0 g (54 mmol) of potassium *t*-butoxide was added and the reaction was stirred for 15 minutes. 4.0 mL (50 mmol) of ethyl iodide was added dropwise and the reaction was stirred for 2 h. The reaction mixture was poured into 350 mL of cold water and extracted three times with diethyl ether. The combined ether extracts were washed three times with water, twice with saturated aqueous NaCl, and were then dried over magnesium sulfate and concentrated to a crude oil. Chromatography with ethyl acetate and hexane yielded 3.7 g of the title compound of Step C. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 7.80 (s,1H), 7.70 (d,1H), 7.42 (d,1H), 4.09 (q,2H), 3.94 (s,3H), 3.41 (s,2H), 1.30 (t,3H).

**Step D:**      Preparation of methyl 4-ethyl-3,4-dihydro-3-oxo-2H-1,4-benzothiazine-6-carboxylate 1,1-dioxide

To a mixture of 2.0 g (8.0 mmol) of the title compound of Step C in 50 mL of methylene chloride was added 5.0 mL (24 mmol) of 32% peracetic acid dropwise over a period of 20 minutes. The reaction mixture was stirred at room temperature for 48 h, diluted further with methylene chloride, washed once with water, twice with sodium

sulfite solution, and once with sodium bicarbonate solution. The organic phase was dried over magnesium sulfate and concentrated to afford 2.05 g of the title compound of Step D as a yellow solid.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  8.05 (d,1H), 7.99 (m,2H), 4.26 (s,2H), 4.18 (q,2H), 4.00 (s,3H), 1.37 (t,3H).

5    Step E:            Preparation of 4-ethyl-3,4-dihydro-3-oxo-2H-1,4-benzothiazine-6-carboxylic acid 1,1-dioxide

To a mixture of 2.05 g (7.2 mmol) of the title compound of Step D in 15 mL of methanol was added dropwise a solution of 1.2 g (29 mmol) of sodium hydroxide in 5 mL of water. The reaction mixture was stirred at room temperature for 1 h, diluted  
10 with water, and cooled in an ice/water bath. Slow acidification with 1N HCl to pH 2 yielded a precipitate which was isolated by filtration to give 1.45 g of the title compound of Step E as a white solid.  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}-d_6$ )  $\delta$  13.8 (s,1H), 8.02 (d,1H), 7.96 (d,1H), 7.91 (d,1H), 4.91 (s,2H), 4.11 (q,2H), 1.20 (t,3H).

15    Step F:            Preparation of 3-oxo-1-cyclohexen-1-yl 4-ethyl-3,4-dihydro-3-oxo-2H-1,4-benzothiazine-6-carboxylate 1,1-dioxide

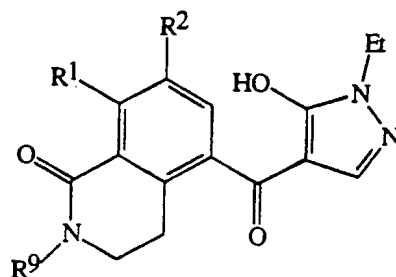
To a mixture of 500 mg (1.86 mmol) of the title compound of Step E and 570 mg (2.23 mmol) of *N*-methyl-2-chloropyridinium iodide in 2 mL of methylene chloride was added 310  $\mu\text{L}$  (2.25 mmol) of triethylamine and the reaction mixture was stirred for 15 minutes. A solution of 212 mg (1.9 mmol) of 1,3-cyclohexanedione and 310  $\mu\text{L}$   
20 (2.25 mmol) of triethylamine in 2 mL of methylene chloride was then added dropwise. After stirring overnight at room temperature, the reaction mixture was concentrated and the crude residue was chromatographed in ethyl acetate and hexane to give 210 mg of the title compound of Step F as a white solid.  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  8.1 (d,1H), 8.02 (s,1H), 8.0 (d,1H), 6.08 (s,1H), 4.28 (s,2H), 4.2 (q,2H), 2.7 (t,2H), 2.5 (t,2H), 2.2  
25 (m,2H), 1.38 (t,3H).

Step G:            Preparation of 4-ethyl-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2H-1,4-benzothiazin-3(4H)-one 1,1-dioxide

80 mg (0.22 mmol) of the title compound of Step F, 56  $\mu\text{L}$  (0.40 mmol) of triethylamine, and 1 drop of acetone cyanohydrin were dissolved in 6 mL of dry  
30 acetonitrile and stirred for 12 h. A catalytic crystal of potassium cyanide was added to the reaction mixture and stirring was continued for another 24 h. The reaction mixture was then concentrated and the residue was dissolved in water. The aqueous mixture was washed once with diethyl ether, acidified to pH 2 with 1N HCl, and extracted twice with ethyl acetate. The combined ethyl acetate extracts were dried over magnesium sulfate  
35 and concentrated to yield 60 mg of the title compound of Step G, a compound of the invention, as an oil which crystallized to a solid melting at 158-165  $^\circ\text{C}$ .  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  7.96 (d,1H), 7.37 (s,1H), 7.33 (d,1H), 4.26 (s,2H), 4.12 (q,2H), 2.8 (br s,2H), 2.5 (br s,2H), 2.1 (m,2H), 1.36 (t,3H).

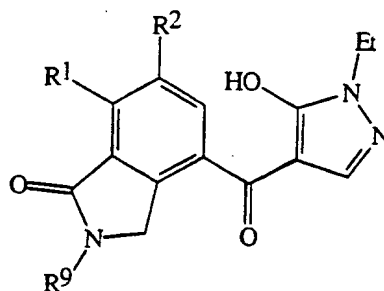
By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 30 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Ph = phenyl, OMe = methoxy, OEt = ethoxy, CN = cyano, NO<sub>2</sub> = nitro, CHO = formyl, CO<sub>2</sub>Et = ethoxycarbonyl, SO<sub>2</sub>Me = methylsulfonyl, SO<sub>2</sub>Et = ethylsulfonyl, and SO<sub>2</sub>Ph = phenylsulfonyl.

Table 1



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>
SO <sub>2</sub> Me	H	H	SO <sub>2</sub> Et	H	<i>t</i> -Bu	SO <sub>2</sub> NMe <sub>2</sub>	H	Me
SO <sub>2</sub> Me	H	Me	SO <sub>2</sub> Et	H	Me	SO <sub>2</sub> NMe <sub>2</sub>	H	Et
SO <sub>2</sub> Me	H	Et	SO <sub>2</sub> Et	H	CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	SO <sub>2</sub> NMe <sub>2</sub>	Me	<i>t</i> -Bu
SO <sub>2</sub> Me	H	<i>t</i> -Bu	SO <sub>2</sub> Et	Me	<i>t</i> -Bu	SO <sub>2</sub> NMe <sub>2</sub>	Me	Me
SO <sub>2</sub> Me	Me	<i>t</i> -Bu	SO <sub>2</sub> Et	Me	Me	SO <sub>2</sub> NMe <sub>2</sub>	Me	Et
SO <sub>2</sub> Me	Me	Me	SO <sub>2</sub> Et	Me	Et	SO <sub>2</sub> NMe <sub>2</sub>	Cl	<i>t</i> -Bu
SO <sub>2</sub> Me	Me	Et	SO <sub>2</sub> Et	Cl	<i>t</i> -Bu	SO <sub>2</sub> NMe <sub>2</sub>	Cl	Et
SO <sub>2</sub> Me	Cl	H	SO <sub>2</sub> Et	Cl	Me	SO <sub>2</sub> Et	H	OMe
SO <sub>2</sub> Me	Cl	Me	SO <sub>2</sub> Et	Cl	Et	SO <sub>2</sub> Et	Me	OMe
SO <sub>2</sub> Me	Cl	Et	SO <sub>2</sub> NMe <sub>2</sub>	H	H			

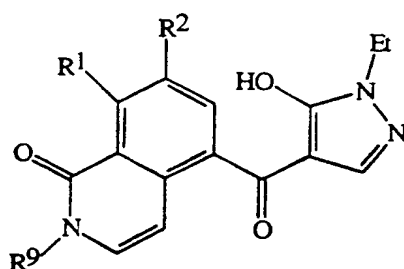
Table 2



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>
SO <sub>2</sub> Me	H	Me	SO <sub>2</sub> Et	H	Me	SO <sub>2</sub> NMe <sub>2</sub>	Me	<i>t</i> -Bu

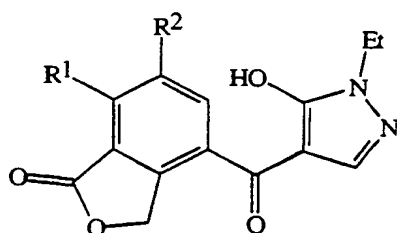
SO <sub>2</sub> Me	H	Et	SO <sub>2</sub> Et	H	Et	SO <sub>2</sub> NMe <sub>2</sub>	Me	Me
SO <sub>2</sub> Me	H	<i>t</i> -Bu	SO <sub>2</sub> Et	Me	<i>t</i> -Bu	SO <sub>2</sub> NMe <sub>2</sub>	Me	Et
SO <sub>2</sub> Me	Me	H	SO <sub>2</sub> Et	Me	Me	SO <sub>2</sub> NMe <sub>2</sub>	Cl	<i>t</i> -Bu
SO <sub>2</sub> Me	Me	Me	SO <sub>2</sub> Et	Me	Et	SO <sub>2</sub> NMe <sub>2</sub>	Cl	Et
SO <sub>2</sub> Me	Me	Et	SO <sub>2</sub> Et	Cl	<i>t</i> -Bu	SO <sub>2</sub> Et	H	OMe
SO <sub>2</sub> Me	Cl	H	SO <sub>2</sub> Et	Cl	Me	SO <sub>2</sub> Et	Me	OMe
SO <sub>2</sub> Me	Cl	Me	SO <sub>2</sub> Et	Cl	Et	SO <sub>2</sub> - <i>n</i> -Pr	H	Me
SO <sub>2</sub> Me	Cl	Et	SO <sub>2</sub> NMe <sub>2</sub>	H	<i>t</i> -Bu	SO <sub>2</sub> - <i>n</i> -Pr	H	<i>t</i> -Bu
SO <sub>2</sub> Et	H	H	SO <sub>2</sub> NMe <sub>2</sub>	H	Et			

Table 3



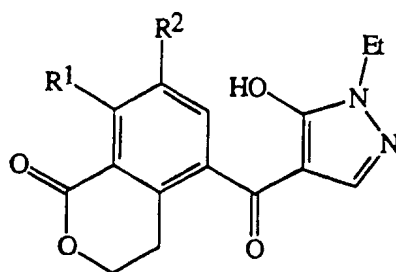
<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>9</sup></u>
SO <sub>2</sub> Me	H	H	SO <sub>2</sub> Me	Me	Et	SO <sub>2</sub> Et	Cl	<i>t</i> -Bu
SO <sub>2</sub> Me	H	Me	SO <sub>2</sub> Me	Cl	<i>t</i> -Bu	SO <sub>2</sub> NMe <sub>2</sub>	H	<i>t</i> -Bu
SO <sub>2</sub> Me	H	Et	SO <sub>2</sub> Me	Cl	Me	SO <sub>2</sub> NMe <sub>2</sub>	Me	<i>t</i> -Bu
SO <sub>2</sub> Me	H	<i>t</i> -Bu	SO <sub>2</sub> Me	Cl	Et	SO <sub>2</sub> NMe <sub>2</sub>	Cl	<i>t</i> -Bu
SO <sub>2</sub> Me	Me	<i>t</i> -Bu	SO <sub>2</sub> Et	H	<i>t</i> -Bu	SO <sub>2</sub> Et	H	OMe
SO <sub>2</sub> Me	Me	Me	SO <sub>2</sub> Et	Me	<i>t</i> -Bu	SO <sub>2</sub> Et	Me	OMe

Table 4



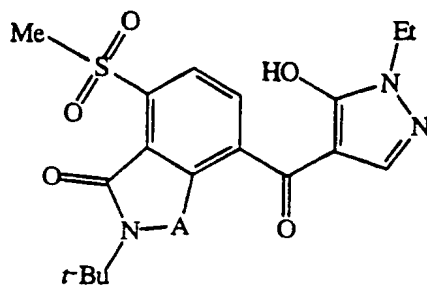
<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>
SO <sub>2</sub> Me	H	SO <sub>2</sub> Et	H	SO <sub>2</sub> NMe <sub>2</sub>	H
SO <sub>2</sub> Me	Me	SO <sub>2</sub> Et	Me	SO <sub>2</sub> NMe <sub>2</sub>	Me

Table 5



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>
SO <sub>2</sub> Me	H	SO <sub>2</sub> Et	H	SO <sub>2</sub> Et	Cl	SO <sub>2</sub> NMe <sub>2</sub>	Me
SO <sub>2</sub> Me	Me	SO <sub>2</sub> Et	Me	SO <sub>2</sub> NMe <sub>2</sub>	H	SO <sub>2</sub> NMe <sub>2</sub>	Cl
SO <sub>2</sub> Me	Cl						

Table 6

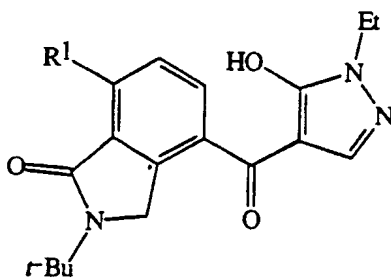


A  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-

A  
-CH<sub>2</sub>CH=CH-

A  
-CH=CHCH<sub>2</sub>-

Table 7



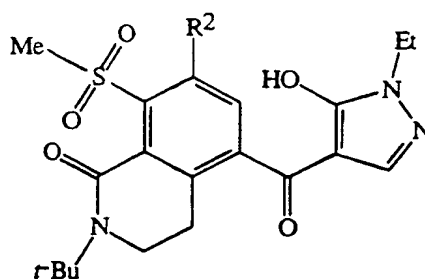
R<sup>1</sup>  
SO<sub>2</sub>NH<sub>2</sub>  
SO<sub>2</sub>NEt<sub>2</sub>  
SO<sub>2</sub>NHCH<sub>2</sub>CF<sub>3</sub>  
SO<sub>2</sub>NHCH<sub>2</sub>C≡CH  
SO<sub>2</sub>NHC<sub>6</sub>H<sub>5</sub>

R<sup>1</sup>  
SO<sub>2</sub>NH-*n*-Pr  
SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>Cl  
SO<sub>2</sub>NHOMe  
SO<sub>2</sub>NHCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>  
SO<sub>2</sub>NHC<sub>6</sub>H<sub>4</sub>-3-OMe

R<sup>1</sup>  
SO<sub>2</sub>N(*n*-Pr)<sub>2</sub>  
SO<sub>2</sub>NHCH<sub>2</sub>CH=C(CH<sub>3</sub>)<sub>2</sub>  
SO<sub>2</sub>NHOEt  
SO<sub>2</sub>NHC<sub>6</sub>H<sub>4</sub>-2-Me  
SO<sub>2</sub>NHC<sub>6</sub>H<sub>4</sub>-3-OCF<sub>3</sub>

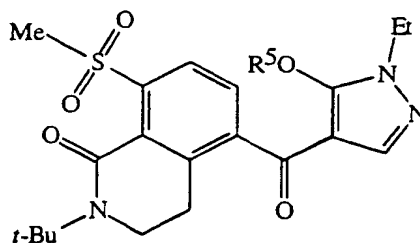
SO <sub>2</sub> NHC <sub>6</sub> H <sub>4</sub> -3-CF <sub>3</sub>	SO <sub>2</sub> NHC <sub>6</sub> H <sub>4</sub> -3-CN	SO <sub>2</sub> NHC <sub>6</sub> H <sub>4</sub> -3-NO <sub>2</sub>
SO <sub>2</sub> NHC <sub>6</sub> H <sub>3</sub> -2,4-diCl	SO <sub>2</sub> -azetidinyI	SO <sub>2</sub> -pyrrolidinyI
SO <sub>2</sub> -piperdinyI	SO <sub>2</sub> -2,6-dimethylmorpholinyI	SO <sub>2</sub> - <i>i</i> -Pr
SO <sub>2</sub> - <i>t</i> -Bu	SO <sub>2</sub> - <i>n</i> -C <sub>5</sub> H <sub>11</sub>	SO <sub>2</sub> - <i>n</i> -C <sub>6</sub> H <sub>13</sub>
SO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> CH <sub>2</sub> C≡CH	SO <sub>2</sub> -cyclopropyl
SO <sub>2</sub> -cyclohexyl	SO <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -2-Me
SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -3-CF <sub>3</sub>	SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -2-OMe	SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -3-OCF <sub>3</sub>
SO <sub>2</sub> C <sub>6</sub> H <sub>3</sub> -2,4-diCl	SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -3-CN	SO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -3-NO <sub>2</sub>
SO <sub>2</sub> NHMe	SO <sub>2</sub> NHEt	

Table 8



<u>R<sup>2</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>2</sup></u>
Et	<i>n</i> -Pr	<i>i</i> -Pr	CF <sub>3</sub>
Et	O- <i>n</i> -Pr	OCF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
Br	F	CN	

Table 9



<u>R<sup>5</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>5</sup></u>
Me	SO <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CONEt <sub>2</sub>	CO <sub>2</sub> Me
CF <sub>3</sub>	C(=O)C <sub>6</sub> H <sub>4</sub> -3-CN	SO <sub>2</sub> CF <sub>3</sub>	CONHEt
C(=O)CH <sub>3</sub>	Et	C(=O)C <sub>6</sub> H <sub>4</sub> -2-CH <sub>3</sub>	SO <sub>2</sub> Me

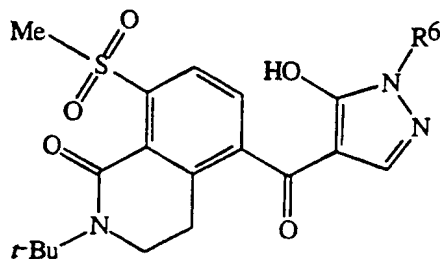
CO<sub>2</sub>Et  
CONMe<sub>2</sub>  
SO<sub>2</sub>Et  
SO<sub>2</sub>-*n*-Pr

CH<sub>2</sub>OMe  
C(=O)CH<sub>2</sub>CH<sub>3</sub>  
CONHMe

SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-4-CH<sub>3</sub>  
*n*-Pr  
CHO

C(=O)C<sub>6</sub>H<sub>5</sub>  
C(=O)C<sub>6</sub>H<sub>4</sub>-3-CF<sub>3</sub>  
SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-4-CF<sub>3</sub>

Table 10

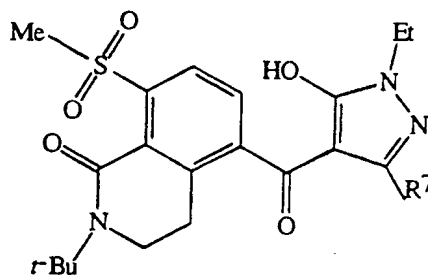


R<sup>6</sup>  
H  
CH<sub>2</sub>CF<sub>3</sub>  
C<sub>6</sub>H<sub>5</sub>

R<sup>6</sup>  
Me  
CH<sub>2</sub>CH=CH<sub>2</sub>  
CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>

R<sup>6</sup>  
*n*-Pr  
CH<sub>2</sub>C≡CH  
C<sub>6</sub>H<sub>3</sub>-2,4-diCl

Table 11



R<sup>7</sup>  
Me  
F  
NO<sub>2</sub>

R<sup>7</sup>  
Et  
Cl

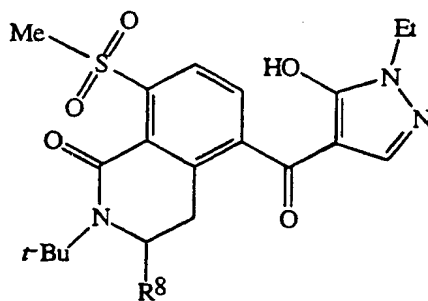
R<sup>7</sup>  
*n*-Pr  
Br

R<sup>7</sup>  
CF<sub>3</sub>  
CN



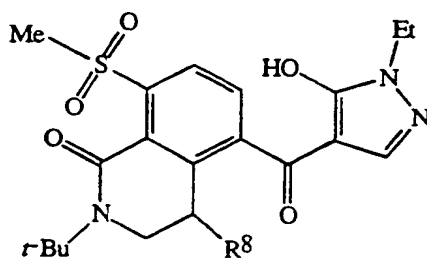
39

Table 12



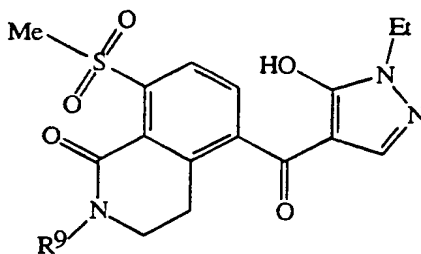
<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>
Me	Et	<i>n</i> -Pr	<i>t</i> -Bu
CF <sub>3</sub>	OMe	=O	=S

Table 13



<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>	<u>R<sup>8</sup></u>
Me	Et	<i>n</i> -Pr	<i>t</i> -Bu
CF <sub>3</sub>	OMe	=O	=S

Table 14



<u>R<sup>9</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>9</sup></u>	<u>R<sup>9</sup></u>
<i>n</i> -C <sub>5</sub> H <sub>11</sub>	<i>n</i> -C <sub>6</sub> H <sub>13</sub>	cyclopropyl	cyclohexyl
CH <sub>2</sub> C≡CH	CHO	C(=O)CH <sub>3</sub>	CO <sub>2</sub> Me
OEt	CONMe <sub>2</sub>	C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>
CONHMe	C <sub>6</sub> H <sub>4</sub> -2-Me	C <sub>6</sub> H <sub>4</sub> -3-CF <sub>3</sub>	C <sub>6</sub> H <sub>4</sub> -2-OMe

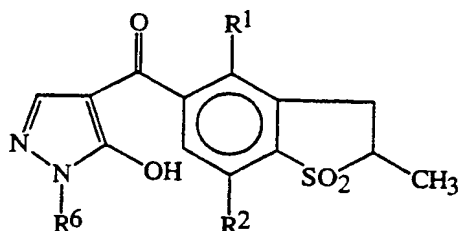
COC<sub>6</sub>H<sub>5</sub>  
C<sub>6</sub>H<sub>4</sub>-3-OCF<sub>3</sub>

C<sub>6</sub>H<sub>3</sub>-2,4-diCl  
CH<sub>2</sub>CH<sub>2</sub>Cl

C<sub>6</sub>H<sub>4</sub>-3-CN  
CH<sub>2</sub>CH=CH<sub>2</sub>

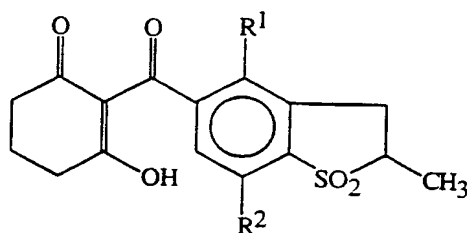
C<sub>6</sub>H<sub>4</sub>-3-NO<sub>2</sub>  
CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>

Table 15



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>
Cl	Cl	Et	OCH <sub>3</sub>	OCH <sub>3</sub>	Et	CH <sub>3</sub>	CH <sub>3</sub>	Me
CH <sub>3</sub>	Cl	Et	OCH <sub>2</sub> CH <sub>3</sub>	H	Et	CH <sub>3</sub>	CH <sub>3</sub>	<i>n</i> -propyl
CH <sub>3</sub>	CH <sub>3</sub>	Et	CH <sub>3</sub>	H	Et	CH <sub>3</sub>	CH <sub>3</sub>	<i>t</i> -butyl
CF <sub>3</sub>	H	Et	CH <sub>3</sub> SO <sub>2</sub>	H	Et	CH <sub>3</sub>	H	Me
<i>n</i> -butyl	H	Et	H	H	Et	Cl	Cl	Me
Cl-CH <sub>2</sub> CH <sub>2</sub>	H	Et	OCF <sub>3</sub>	H	Et	CH <sub>3</sub>	H	Me
F	F	Et	F	H	Et	CH <sub>3</sub>	CH <sub>3</sub>	Ph
CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	<i>i</i> -propyl			
CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>2</sub> H						

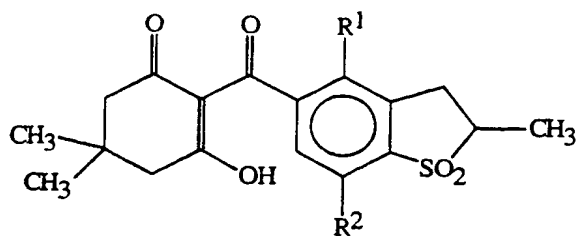
Table 16



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>
Cl	H	CH <sub>3</sub>	CH <sub>3</sub>	F	F	OCH <sub>2</sub> CH <sub>3</sub>	H
H	Cl	CH <sub>3</sub>	H	Et	H	OCH <sub>2</sub> CH <sub>3</sub>	Cl
OCH <sub>3</sub>	OCH <sub>3</sub>	Cl	Cl	<i>n</i> -propyl	H	H	H

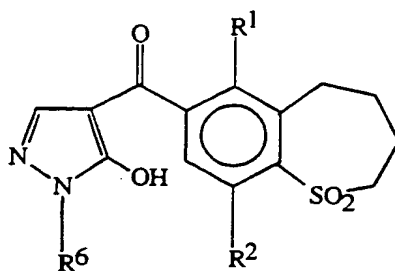
41

Table 17



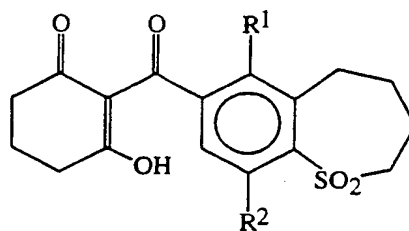
$\underline{R^1}$	$\underline{R^2}$	$\underline{R^1}$	$\underline{R^2}$	$\underline{R^1}$	$\underline{R^2}$
CH <sub>3</sub>	CH <sub>3</sub>	H	H	Cl	H
Cl	Cl	OCH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>	H

Table 18



$\underline{R^1}$	$\underline{R^2}$	$\underline{R^6}$	$\underline{R^1}$	$\underline{R^2}$	$\underline{R^6}$	$\underline{R^1}$	$\underline{R^2}$	$\underline{R^6}$
Cl	Cl	Et	OCH <sub>3</sub>	OCH <sub>3</sub>	Et	Cl	Cl	CH <sub>3</sub>
CH <sub>3</sub>	Cl	Et	OCH <sub>2</sub> CH <sub>3</sub>	H	Et	OCH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CH <sub>3</sub>	CH <sub>3</sub>	Et	CH <sub>3</sub>	H	Et	CH <sub>3</sub>	CH <sub>3</sub>	Ph
CF <sub>3</sub>	H	Et	H	H	Et	CH <sub>3</sub> SO <sub>2</sub>	H	Et
<i>n</i> -butyl	H	Et	OCF <sub>3</sub>	H	Et	CH <sub>3</sub> SO <sub>2</sub>	H	CH <sub>3</sub>
CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	<i>i</i> -propyl	H	OCH <sub>3</sub>	CH <sub>3</sub>
F	F	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	H	Ph
H	CH <sub>3</sub> SO <sub>2</sub>	Et	CH <sub>3</sub>	CH <sub>3</sub>	<i>t</i> -butyl	NO <sub>2</sub>	H	Et
CH <sub>3</sub>	CH <sub>3</sub>	<i>n</i> -butyl	NO <sub>2</sub>	H	CH <sub>3</sub>			

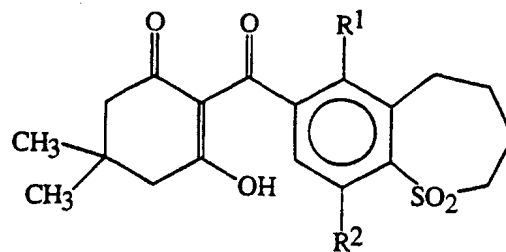
Table 19



$\underline{R^1}$	$\underline{R^2}$	$\underline{R^1}$	$\underline{R^2}$	$\underline{R^1}$	$\underline{R^2}$	$\underline{R^1}$	$\underline{R^2}$
CH <sub>3</sub>	H	OCH <sub>3</sub>	H	H	CH <sub>3</sub>	CH <sub>3</sub> SO <sub>2</sub>	H

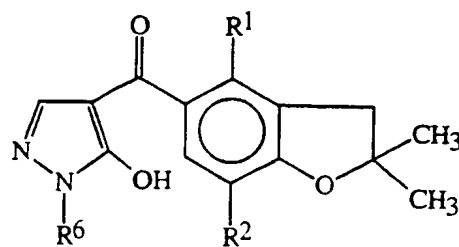
CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	CF <sub>3</sub>	H	OEt	H
Cl	H	F	F	NO <sub>2</sub>	H	SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H
Cl	Cl	F	H	Et	H	ClCH <sub>2</sub> CH <sub>2</sub>	H
CH <sub>3</sub> CH <sub>2</sub> SO <sub>2</sub>	H	CF <sub>2</sub> H	H	CH <sub>2</sub> F	H	OCF <sub>3</sub>	H

Table 20



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>
CH <sub>3</sub>	CH <sub>3</sub>	Et	H	Cl	Cl	OCH <sub>3</sub>	H
CH <sub>3</sub>	H	OCH <sub>3</sub>	OCH <sub>3</sub>	F	H	NO <sub>2</sub>	H
CH <sub>3</sub>	Cl	CH <sub>3</sub> SO <sub>2</sub>	H	F	F	CF <sub>3</sub>	H
OEt	H	H	H	H	Cl	H	CH <sub>3</sub>

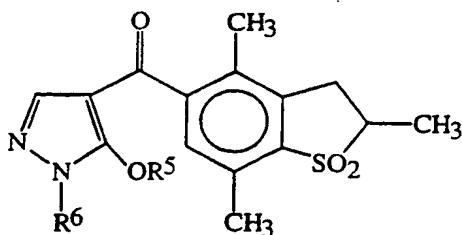
Table 21



<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>6</sup></u>
CH <sub>3</sub>	CH <sub>3</sub>	Et	OCH <sub>3</sub>	CH <sub>3</sub>	Et	F	H	Et
Cl	Cl	Et	OCH <sub>3</sub>	H	Et	F	F	CH <sub>3</sub>
CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	Et	CH <sub>3</sub> SO <sub>2</sub>	H	Et
CH <sub>3</sub>	CH <sub>3</sub>	<i>n</i> -propyl	CH <sub>3</sub>	CH <sub>3</sub>	<i>t</i> -butyl	CH <sub>3</sub>	H	Et

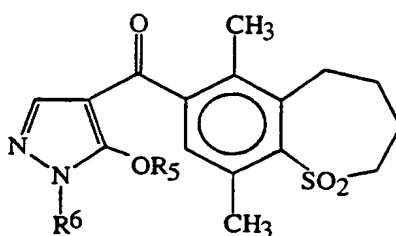
43

Table 22



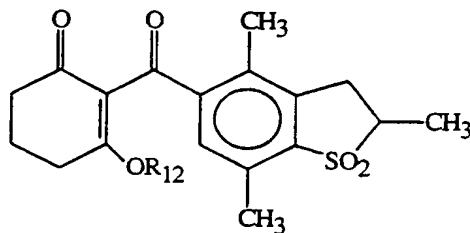
<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>
CH <sub>3</sub>	Et	CH <sub>3</sub> OCH <sub>2</sub>	Et	CH <sub>3</sub> SO <sub>2</sub>	Et	benzoyl	Et
CH <sub>2</sub> CH <sub>3</sub>	Et	CH <sub>3</sub> OCH <sub>2</sub> CH <sub>2</sub>	Et	CH <sub>3</sub> CH <sub>2</sub> SO <sub>2</sub>	Et	PhSO <sub>2</sub>	Et
CH(O)	Et	(Me) <sub>2</sub> NC(O)	Et	CH <sub>3</sub> C(O)	Et	CH <sub>3</sub> OC(O)	Et
CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub> SO <sub>2</sub>	CH <sub>3</sub>	benzoyl	CH <sub>3</sub>	PhSO <sub>2</sub>	CH <sub>3</sub>

Table 23



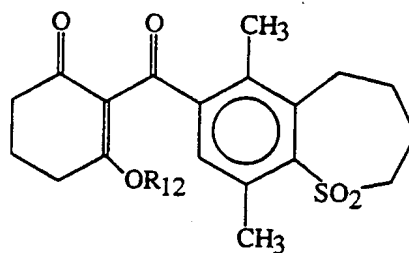
<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>
CH <sub>3</sub>	Et	CH <sub>3</sub> OCH <sub>2</sub>	Et	benzoyl	Me
Et	Et	CH <sub>3</sub> OCH <sub>2</sub>	Me	benzoyl	Et
CHO	Et	CH <sub>3</sub> OCH <sub>2</sub> CH <sub>2</sub>	Et	CH <sub>3</sub> SO <sub>2</sub>	Me
CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub> OCH <sub>2</sub> CH <sub>2</sub>	Me	CH <sub>3</sub> SO <sub>2</sub>	Et
PhSO <sub>2</sub>	CH <sub>3</sub>	PhSO <sub>2</sub>	Et	EtSO <sub>2</sub>	Et

Table 24



<u>R<sup>12</sup></u>	<u>R<sup>12</sup></u>	<u>R<sup>12</sup></u>	<u>R<sup>12</sup></u>
CH <sub>3</sub>	CH <sub>3</sub> OCH <sub>2</sub>	CH <sub>3</sub> SO <sub>2</sub>	CH <sub>3</sub> OC=O
Et	CH <sub>3</sub> OCH <sub>2</sub> CH <sub>2</sub>	EtSO <sub>2</sub>	(CH <sub>3</sub> ) <sub>2</sub> NC=O
n-Pr	CH <sub>3</sub> C=O	benzoyl	PhSO <sub>2</sub>

Table 25

R<sup>12</sup>CH<sub>3</sub>

Et

*t*-Butyl*n*-propylC=OR<sup>12</sup>CF<sub>3</sub>CH<sub>3</sub>OCH<sub>2</sub>CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>

EtC=O

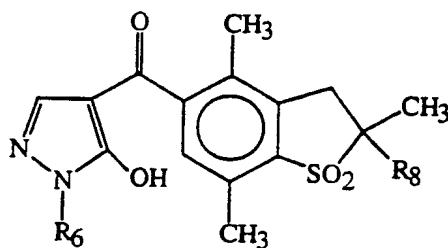
R<sup>12</sup>CH<sub>3</sub>SO<sub>2</sub>*n*-propylSO<sub>2</sub>

benzoyl

CHO

R<sup>12</sup>PhSO<sub>2</sub>CH<sub>3</sub>OC=OCH<sub>3</sub>C=OFCH<sub>2</sub>CH<sub>2</sub>

Table 26

R<sup>6</sup>

Et

Et

CH<sub>3</sub>R<sup>8</sup>CH<sub>3</sub>

Et

CH<sub>3</sub>R<sup>6</sup>

Et

Et

CH<sub>3</sub>R<sup>8</sup>

Cl

CF<sub>3</sub>

Cl

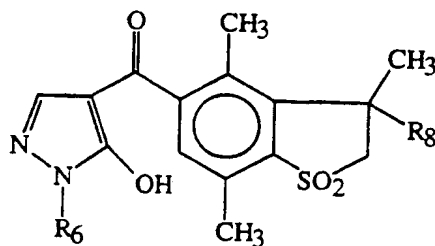
R<sup>6</sup>

Et

Et

CH<sub>3</sub>R<sup>8</sup>*n*-propylClCH<sub>2</sub>CF<sub>3</sub>

Table 27

R<sup>6</sup>

Et

Et

Me

R<sup>8</sup>CH<sub>3</sub>

H

H

R<sup>6</sup>

Et

Et

Me

R<sup>8</sup>

Cl

OCH<sub>3</sub>

Me

R<sup>6</sup>

Et

Me

*n*-propylR<sup>8</sup>*n*-propylOCH<sub>3</sub>CH<sub>3</sub>R<sup>6</sup>*t*-butyl*t*-butyl*n*-butylR<sup>8</sup>

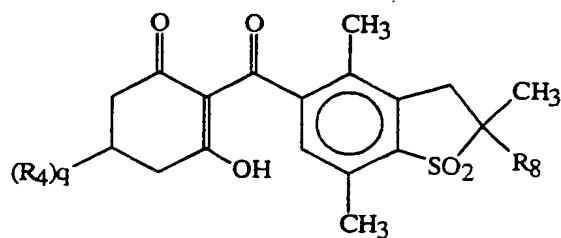
H

CH<sub>3</sub>

H

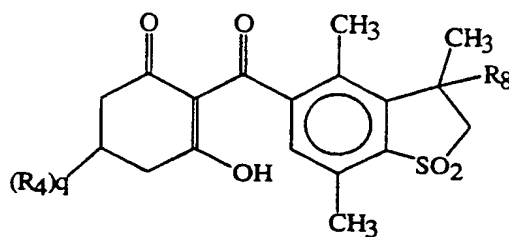
45

Table 28



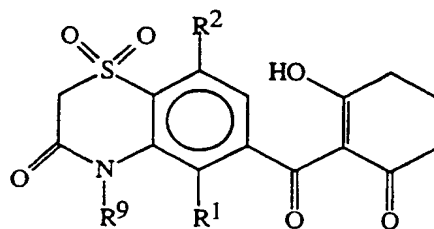
$\underline{R^4}$	$q$	$\underline{R^8}$	$\underline{R^4}$	$q$	$\underline{R^8}$	$\underline{R^4}$	$q$	$\underline{R^8}$	$\underline{R^4}$	$q$	$\underline{R^8}$
H	2	CH <sub>3</sub>	H	2	Et	H	2	Cl	H	2	<i>n</i> -propyl
CH <sub>3</sub>	2	CH <sub>3</sub>	CH <sub>3</sub>	2	Et	CH <sub>3</sub>	2	Cl	CH <sub>3</sub>	2	<i>n</i> -propyl

Table 29



$\underline{R^4}$	$q$	$\underline{R^8}$	$\underline{R^4}$	$q$	$\underline{R^8}$
H	2	H	H	2	OCH <sub>3</sub>
H	2	CH <sub>3</sub>	CH <sub>3</sub>	2	OCH <sub>3</sub>
CH <sub>3</sub>	2	H	CH <sub>3</sub>	2	OE <sub>t</sub>
CH <sub>3</sub>	2	CH <sub>3</sub>	H	2	OE <sub>t</sub>

Table 30



$\underline{R^1}$	$\underline{R^2}$	$\underline{R^9}$
H	H	Me
Me	H	Me
H	Me	Me
Me	Me	Me
H	H	Et
H	H	<i>t</i> -Bu
Cl	Cl	Et

Me

Me

Et

Me

Me

*i*-BuFormulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp.,



Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

5       Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for  
10       example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkyl naphthalenes, oils of olive, castor,  
15       linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

      Solutions, including emulsifiable concentrates, can be prepared by simply mixing  
20       the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48,  
25       Perry's *Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught  
30       in GB 2,095,558 and U.S. 3,299,566.

      For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17  
35       and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-E.

#### Example A

##### 5 High Strength Concentrate

Compound 20	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%.

#### Example B

##### 10 Wettable Powder

Compound 22	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
15 montmorillonite (calcined)	23.0%.

#### Example C

##### Granule

Compound 20	10.0%
attapulgit granules (low volatile matter, 20 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

#### Example D

##### Extruded Pellet

Compound 22	25.0%
anhydrous sodium sulfate	10.0%
25 crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Test results indicate that the compounds of the present invention are highly active preemergent and postemergent herbicides or plant growth regulants. Many of them have utility for broad-spectrum pre- and/or postemergence weed control in areas where complete control of all vegetation is desired such as around fuel storage tanks, industrial storage areas, parking lots, drive-in theaters, air fields, river banks, irrigation and other waterways, around billboards and highway and railroad structures. Some of the compounds are useful for the control of selected grass and broadleaf weeds with tolerance to important agronomic crops which include but are not limited to alfalfa, barley, cotton, wheat, rape, sugar beets, corn (maize), sorghum, soybeans, rice, oats, peanuts, vegetables, tomato, potato, perennial plantation crops including coffee, cocoa, oil palm, rubber, sugarcane, citrus, grapes, fruit trees, nut trees, banana, plantain,

pineapple, hops, tea and forests such as eucalyptus and conifers (e.g., loblolly pine), and turf species (e.g., Kentucky bluegrass, St. Augustine grass, Kentucky fescue and Bermuda grass). Those skilled in the art will appreciate that not all compounds are equally effective against all weeds. Alternatively, the subject compounds are useful to  
5 modify plant growth.

Compounds of this invention can be used alone or in combination with other commercial herbicides, insecticides or fungicides. Compounds of this invention can also be used in combination with commercial herbicide safeners such as benoxacor, dichlormid and furilazole to increase safety to certain crops. A mixture of one or more  
10 of the following herbicides with a compound of this invention may be particularly useful for weed control: acetochlor, acifluorfen and its sodium salt, aclonifen, acrolein (2-propenal), alachlor, ametryn, amidosulfuron, amitrole, ammonium sulfamate, anilofos, asulam, atrazine, azimsulfuron, benazolin, benazolin-ethyl, benfluralin, benfuresate, bensulfuron-methyl, bensulide, bentazone, bifenox, bromacil, bromoxynil, bromoxynil  
15 octanoate, butachlor, butralin, butylate, chlomethoxyfen, chloramben, chlorbromuron, chloridazon, chlorimuron-ethyl, chlornitrofen, chlorotoluron, chlorpropham, chlorsulfuron, chlorthal-dimethyl, cinmethylin, cinosulfuron, clethodim, clomazone, clopyralid, clopyralid-olamine, cyanazine, cycloate, cyclosulfamuron, 2,4-D and its butotyl, butyl, isoctyl and isopropyl esters and its dimethylammonium, diolamine and  
20 trolamine salts, daimuron, dalapon, dalapon-sodium, dazomet, 2,4-DB and its dimethylammonium, potassium and sodium salts, desmedipham, desmetryn, dicamba and its diglycolammonium, dimethylammonium, potassium and sodium salts, dichlobenil, dichlorprop, diclofop-methyl, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-5-methyl-3-pyridinecarboxylic acid (AC 263,222), difenzoquat  
25 metilsulfate, diflufenican, dimepiperate, dimethenamid, dimethylarsinic acid and its sodium salt, dinitramine, diphenamid, diquat dibromide, dithiopyr, diuron, DNOC, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron-methyl, ethofumesate, ethyl  $\alpha$ ,2-dichloro-5-[4-(difluoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-4-fluorobenzenepropanoate (F8426), fenoxaprop-ethyl, fenoxaprop-P-ethyl, fenuron, fenuron-TCA, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, fluazifop-butyl, fluazifop-P-butyl, fluchloralin, flumetsulam, flumiclorac-pentyl, flumioxazin, fluometuron, fluoroglyphofen-ethyl, flupoxam, fluridone, flurochloridone, fluroxypyr, fomesafen, fosamine-ammonium, glufosinate, glufosinate-ammonium, glyphosate, glyphosate-isopropylammonium,  
35 glyphosate-sesquisodium, glyphosate-trimesium, halosulfuron-methyl, haloxyfop-etotyl, haloxyfop-methyl, hexazinone, imazamethabenz-methyl, imazamox (AC 299 263), imazapyr, imazaquin, imazaquin-ammonium, imazethapyr, imazethapyr-ammonium, imazosulfuron, ioxynil, ioxynil octanoate, ioxynil-sodium, isoproturon, isouron, isoxaben,

isoxaflutole (RPA 201772), lactofen, lenacil, linuron, maleic hydrazide, MCPA and its dimethylammonium, potassium and sodium salts, MCPA-isooctyl, mecoprop, mecoprop-P, mefenacet, mefluidide, metam-sodium, methabenzthiazuron, methyl [[2-chloro-4-fluoro-5-[(tetrahydro-3-oxo-1*H*,3*H*-[1,3,4]thiadiazolo[3,4-*a*]pyridazin-1-ylidene)amino]phenyl]thioacetate (KIH 9201), methylarsonic acid and its calcium, monoammonium, monosodium and disodium salts, methyl [[[1-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]-2-methoxyethylidene]amino]oxy]acetate (AKH-7088), methyl 5-[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-(2-pyridinyl)-1*H*-pyrazole-4-carboxylate (NC-330), metobenzuron, metolachlor, metosulam, metoxuron, metribuzin, metsulfuron-methyl, molinate, monolinuron, nappropamide, naptalam, neburon, nicosulfuron, norflurazon, oryzalin, oxadiazon, 3-oxetanyl 2-[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]benzoate (CGA 277476), oxyfluorfen, paraquat dichloride, pebulate, pendimethalin, perfluidone, phenmedipham, picloram, picloram-potassium, pretilachlor, primisulfuron-methyl, prometon, prometryn, propachlor, propanil, propaquizafop, propazine, propham, propyzamide, prosulfuron, pyrazolynate, pyrazosulfuron-ethyl, pyridate, pyriithiobac, pyriithiobac-sodium, quinclorac, quizalofop-ethyl, quizalofop-P-ethyl, quizalofop-P-tefuryl, rimsulfuron, sethoxydim, siduron, simazine, sulcotrione (ICIA0051), sulfentrazone, sulfometuron-methyl, TCA, TCA-sodium, tebuthiuron, terbacil, terbuthylazine, terbutryn, thenylchlor, thiafluamide (BAY 11390), thifensulfuron-methyl, thiobencarb, tralkoxydim, tri-allate, triasulfuron, tribenuron-methyl, triclopyr, triclopyr-butotyl, triclopyr-triethylammonium, tridiphane, trifluralin, triflusulfuron-methyl, and vernolate.

In certain instances, combinations with other herbicides having a similar spectrum of control but a different mode of action will be particularly advantageous for preventing the development of resistant weeds.

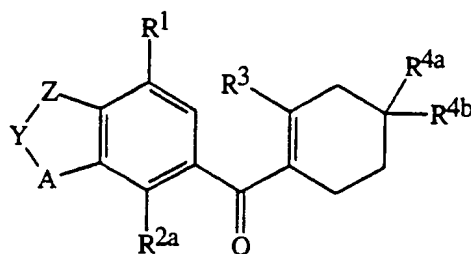
Preferred for better control of undesired vegetation (e.g., lower use rate, broader spectrum of weeds controlled, or enhanced crop safety) or for preventing the development of resistant weeds are mixtures of a compound of this invention with a herbicide selected from the group atrazine, chlorimuron-ethyl, cyanazine, glyphosate (and its isopropylammonium, sesquisodium and trimesium salts), imazaquin (and its ammonium salt), imazethapyr (and its ammonium salt), nicosulfuron, primisulfuron-methyl, rimsulfuron and thifensulfuron-methyl. Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-E) are selected from the group: compound 1 and atrazine; compound 1 and chlorimuron-ethyl; compound 1 and cyanazine; compound 1 and glyphosate; compound 1 and imazaquin; compound 1 and imazethapyr; compound 1 and nicosulfuron; compound 1 and primisulfuron-methyl; compound 1 and rimsulfuron; compound 1 and

thifensulfuron-methyl; compound 20 and atrazine; compound 20 and chlorimuron-ethyl;  
compound 20 and cyanazine; compound 20 and glyphosate; compound 20 and  
imazaquin; compound 20 and imazethapyr; compound 20 and nicosulfuron; compound  
20 and primisulfuron-methyl; compound 20 and rimsulfuron; compound 20 and  
5 thifensulfuron-methyl; compound 21 and atrazine; compound 21 and chlorimuron-ethyl;  
compound 21 and cyanazine; compound 21 and glyphosate; compound 21 and  
imazaquin; compound 21 and imazethapyr; compound 21 and nicosulfuron; compound  
21 and primisulfuron-methyl; compound 21 and rimsulfuron; compound 21 and  
thifensulfuron-methyl; compound 22 and atrazine; compound 22 and chlorimuron-ethyl;  
10 compound 22 and cyanazine; compound 22 and glyphosate; compound 22 and  
imazaquin; compound 22 and imazethapyr; compound 22 and nicosulfuron; compound  
22 and primisulfuron-methyl; compound 22 and rimsulfuron; compound 22 and  
thifensulfuron-methyl; compound 41 and atrazine; compound 41 and chlorimuron-ethyl;  
compound 41 and cyanazine; compound 41 and glyphosate; compound 41 and  
15 imazaquin; compound 41 and imazethapyr; compound 41 and nicosulfuron; compound  
41 and primisulfuron-methyl; compound 41 and rimsulfuron; and compound 41 and  
thifensulfuron-methyl.

A herbicidally effective amount of the compounds of this invention is determined  
by a number of factors. These factors include: formulation selected, method of  
20 application, amount and type of vegetation present, growing conditions, etc. In general,  
a herbicidally effective amount of compounds of this invention is 0.001 to 20 kg/ha with  
a preferred range of 0.004 to 1.0 kg/ha. One skilled in the art can easily determine the  
herbicidally effective amount necessary for the desired level of weed control.

The following Tests demonstrate the control efficacy of the compounds of this  
25 invention against specific weeds. The weed control afforded by the compounds is not  
limited, however, to these species. See Index Tables A-E for compound descriptions.  
The following abbreviations are used in the Index Tables which follow: *t* = tertiary,  
*n* = normal, *i* = iso, Me = methyl, Et = ethyl, Pr = propyl, Bu = butyl, Ph = phenyl,  
OMe = methoxy, MeSO<sub>2</sub> = methylsulfonyl, EtSO<sub>2</sub> = ethylsulfonyl,  
30 PhSO<sub>2</sub> = phenylsulfonyl, and PhC(O) = benzoyl. The abbreviation "Ex." stands for  
"Example" and is followed by a number indicating in which example the compound is  
prepared.

## INDEX TABLE A

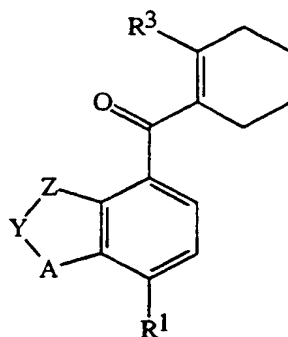


wherein R<sup>2a</sup> is H or R<sup>2</sup> and  
R<sup>4a</sup> and R<sup>4b</sup> are independently H or R<sup>4</sup>

Cmpd No.	A	Y	Z	R <sup>1</sup>	R <sup>2a</sup>	R <sup>3</sup>	R <sup>4a</sup>	R <sup>4b</sup>	m.p. (°C)
1 (Ex. 3)	-C(=O)-NEt-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	OH	H	H	158-165
2	-CH <sub>2</sub> -	CHMe	S(O) <sub>2</sub>	Me	Me	OH	H	H	209-214
3	-(CH <sub>2</sub> ) <sub>3</sub> -	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	H	H	160-166
4	-C(=O)-N( <i>i</i> -Bu)-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	OH	H	H	oil*
5	-(CH <sub>2</sub> ) <sub>3</sub> -	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	Me	Me	137-138
6	-CH <sub>2</sub> -NEt-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	OH	H	H	158-161
7	-C(=O)-NMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	OH	H	H	185-195
8	-CH <sub>2</sub> -NMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	OH	H	H	143-149
9	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	Me	Me	156-160
10	-CHMe-	CHMe	S(O) <sub>2</sub>	Me	Me	OH	H	H	170-178
11	-CHMe-	CHMe	S(O) <sub>2</sub>	Me	Me	OH	Me	Me	84-97
12	-(CH <sub>2</sub> ) <sub>2</sub> -CH(OMe)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	H	H	82-101
13	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	H	H	189-193
14	-CH( <i>t</i> -Bu)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	H	H	87-92
15	-CH( <i>t</i> -Bu)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	Me	Me	175-185
16	-(CH <sub>2</sub> ) <sub>2</sub> -CH(OMe)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	OH	Me	Me	78-84

\*See Index Table E for <sup>1</sup>H NMR data.

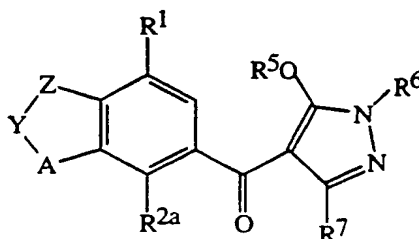
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INDEX TABLE B

<u>Cmpd No.</u>	<u>A</u>	<u>Y</u>	<u>Z</u>	<u>R<sup>1</sup></u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>
17	-CH <sub>2</sub> -CMe <sub>2</sub> -	CH <sub>2</sub>	S(O) <sub>2</sub>	H	OH	foam*
18	-CH <sub>2</sub> -CMe <sub>2</sub> -	CH <sub>2</sub>	S(O) <sub>2</sub>	Cl	OH	foam*

\*See Index Table E for <sup>1</sup>H NMR data.

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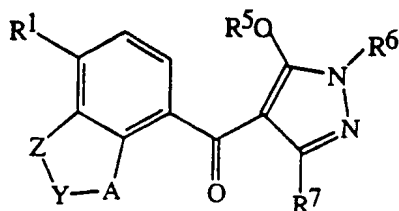
INDEX TABLE Cwherein R<sup>2a</sup> is H or R<sup>2</sup>

<u>Cmpd No.</u>	<u>A</u>	<u>Y</u>	<u>Z</u>	<u>R<sup>1</sup></u>	<u>R<sup>2a</sup></u>	<u>R<sup>5</sup></u>	<u>R<sup>6</sup></u>	<u>R<sup>7</sup></u>	<u>m.p. (°C)</u>
19	-CH <sub>2</sub> -	CMe <sub>2</sub>	O	Me	Me	H	Et	H	124-129
20 (Ex. 2)	-CH <sub>2</sub> -	CHMe	S(O) <sub>2</sub>	Me	Me	H	Et	H	152-157
21	-(CH <sub>2</sub> ) <sub>3</sub> -	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Et	H	148-153
22	-CH <sub>2</sub> -	CHMe	S(O) <sub>2</sub>	Me	Me	H	Me	H	208-209
23	-CH <sub>2</sub> -	CMe <sub>2</sub>	O	Me	Me	H	Me	H	168-171
24	-C(=O)-NEt-	CH <sub>2</sub>	S(O) <sub>2</sub>	H	H	H	Et	H	170-185
25	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Me	H	226-232
26	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Et	H	109-124
27	-CHMe-	CHMe	S(O) <sub>2</sub>	Me	Me	H	Et	H	94-104
28	-CHMe-	CHMe	S(O) <sub>2</sub>	Me	Me	H	Me	H	139-147
29	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	PhSO <sub>2</sub>	Et	H	69-76
30	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	PhC(O)	Et	H	oil*

31	-(CH <sub>2</sub> ) <sub>2</sub> -CH(OMe)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Et	H	159-161
32	-CH( <i>t</i> -Bu)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Et	H	191-197
33	-CHMe-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	MeSO <sub>2</sub>	Et	H	178-180
34	-CH( <i>t</i> -Bu)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Me	H	>200*
35	-(CH <sub>2</sub> ) <sub>2</sub> -CH(OMe)-	CH <sub>2</sub>	S(O) <sub>2</sub>	Me	Me	H	Me	H	53-60

\*See Index Table E for <sup>1</sup>H NMR data.

### INDEX TABLE D



5

Cmpd No.	A	Y	Z	R <sup>1</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	m.p. (°C)
36	-(CH <sub>2</sub> ) <sub>2</sub> -	NMe	C(=O)	EtSO <sub>2</sub>	H	Et	H	195-197
37	-(CH <sub>2</sub> ) <sub>2</sub> -	NMe	C(=O)	MeSO <sub>2</sub>	H	Et	H	213-218
38 <sup>a</sup>	-CH <sub>2</sub> -	O	C(=O)	EtSO <sub>2</sub>	H	Et	H	gum*
39	-CH <sub>2</sub> -	N( <i>t</i> -Bu)	C(=O)	<i>n</i> -PrSO <sub>2</sub>	H	Et	H	193-199
40	-CH <sub>2</sub> -	NMe	C(=O)	<i>n</i> -PrSO <sub>2</sub>	H	Et	H	77-85
41 (Ex. 1)	-(CH <sub>2</sub> ) <sub>2</sub> -	N( <i>t</i> -Bu)	C(=O)	EtSO <sub>2</sub>	H	Et	H	164-167
42	-(CH <sub>2</sub> ) <sub>2</sub> -	NH	C(=O)	EtSO <sub>2</sub>	H	Et	H	211-214
43	-(CH <sub>2</sub> ) <sub>2</sub> -	NMe	C(=O)	EtSO <sub>2</sub>	H	Me	H	172-174
44	-(CH <sub>2</sub> ) <sub>2</sub> -	N( <i>t</i> -Bu)	C(=O)	EtSO <sub>2</sub>	H	Me	H	175-177

<sup>a</sup> Compound contains approximately 43% by weight of 7-(ethylsulfonyl)-1,3-dihydro-1-oxo-4-isobenzofurancarboxylic acid.

\*See Index Table E for <sup>1</sup>H NMR data.

10

### INDEX TABLE E

Cmpd No.	<sup>1</sup> H NMR Data (CDCl <sub>3</sub> solution unless indicated otherwise) <sup>a</sup>
4	δ 0.94 (d,6H), 2.05 (m,1H), 2.11 (m,2H), 2.51 (t,2H), 2.82 (t,2H), 3.96 (d,2H), 4.26 (s,2H), 7.35 (s,1H), 7.35 (d,1H), 7.98 (d,1H).
17	δ 1.4 (s,6H), 1.9-2.1 (m,2H), 2.3-2.5 (m,4H), 2.6 (t,2H), 2.75 (br t,1H), 3.3-3.4 (m,2H), 7.5-7.7 (m,3H), 8.4 (br s,1H).
18	δ 1.65 (s,6H), 2.0-2.1 (m,2H), 2.3-2.6 (m,4H), 2.6 (t,J=6.9 Hz,1H), 2.8 (t,J=6.3 Hz,1H), 3.2-3.4 (m,2H), 7.6-7.7 (m,2H) (acidic hydrogen not observed).



- 30  $\delta$  1.32 (d,J=7.24 Hz,3H), 1.47 (t,J=7.24 Hz,3H), 2.22 (s,3H), 2.52 (s,3H), 3.10 (d,J=13.25 Hz,1H), 3.33 (dd,J=13.25, 8.07 Hz,1H), 4.06 (q,J=7.24 Hz,2H), 7.14 (s,1H), 7.50-7.55 (m,2H), 7.68-7.74 (m,1H), 7.85 (s,1H), 7.89-7.95 (m,2H).
- 34  $\delta$  1.32 (s,9H), 2.31 (s,3H), 2.63 (s,3H), 3.09 (dd,J=16.20, 10.02 Hz,1H), 3.23 (dd,J=16.2, 8.18 Hz,1H), 3.39 (dd,J=10.02, 8.18 Hz,1H), 3.72 (s,3H), 7.25 (s,1H), 7.34 (s,1H).
- 38 (Me<sub>2</sub>SO-*d*<sub>6</sub>)  $\delta$  1.13 (t,J=7.5 Hz,3H), 1.29 (t,J=7.2 Hz,3H), 3.74 (q,J=7.5 Hz,2H), 4.03 (q,J=7.5 Hz,2H), 5.76 (s,1H), 7.80 (s,1H), 8.22 (d,J=7.8 Hz,1H), 8.42 (d,J=7.8 Hz,1H).

<sup>a</sup> <sup>1</sup>H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (br s)-broad singlet, (br t)-broad triplet.

5

## BIOLOGICAL EXAMPLES OF THE INVENTION

### TEST A

Seeds of barley (*Hordeum vulgare*), barnyardgrass (*Echinochloa crus-galli*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), cocklebur (*Xanthium strumarium*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), lambsquarters (*Chenopodium album*), morningglory (*Ipomoea hederacea*), rape (*Brassica napus*), rice (*Oryza sativa*), sorghum (*Sorghum bicolor*), soybean (*Glycine max*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat (*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), wild oat (*Avena fatua*) and purple nutsedge (*Cyperus rotundus*) tubers were planted and treated preemergence with test chemicals formulated in a non-phytotoxic solvent mixture which includes a surfactant.

At the same time, these crop and weed species were also treated with postemergence applications of test chemicals formulated in the same manner. Plants ranged in height from two to eighteen cm (one to four leaf stage) for postemergence treatments. Treated plants and controls were maintained in a greenhouse for twelve to sixteen days, after which all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table A, are based on a scale of 0 to 10 where 0 is no effect and 10 is complete control. A dash (-) response means no test result.

TABLE A	COMPOUND			
Rate 2000 g/ha	2	19	20	38
POSTEMERGENCE				
Barley	9	0	7	0
Barnyardgrass	9	9	9	9
Bedstraw	9	9	9	9
Blackgrass	9	0	9	1
Chickweed	9	9	9	8
Cocklebur	9	9	9	6
Corn	9	7	9	0
Cotton	10	10	10	6
Crabgrass	9	5	9	2
Downy brome	9	0	3	1
Giant foxtail	9	6	9	0
Lambsquarter	9	9	9	9
Morningglory	10	8	9	2
Nutsedge	7	6	8	1
Rape	10	9	10	4
Rice	9	8	9	0
Sorghum	9	2	9	3
Soybean	9	8	9	4
Sugar beet	10	10	10	9
Velvetleaf	10	9	10	6
Wheat	9	1	7	0
Wild buckwheat	10	9	10	9
Wild oat	9	4	8	0

TABLE A	COMPOUND			
Rate 2000 g/ha	2	19	20	38
PREEMERGENCE				
Barley	4	0	4	0
Barnyardgrass	10	0	10	1
Bedstraw	8	0	9	2
Blackgrass	7	0	6	0
Chickweed	9	0	9	9
Cocklebur	8	0	7	0
Corn	2	0	3	2
Cotton	7	0	6	0
Crabgrass	9	0	10	1
Downy brome	9	0	2	1
Giant foxtail	7	4	9	2
Lambsquarter	10	9	10	9
Morningglory	10	0	3	0
Nutsedge	9	0	4	-
Rape	10	0	10	1
Rice	9	7	9	0
Sorghum	8	0	9	0
Soybean	9	0	9	0
Sugar beet	10	-	10	9
Velvetleaf	10	6	10	0
Wheat	9	0	6	0
Wild buckwheat	9	0	9	3
Wild oat	8	0	9	0

TABLE A	COMPOUND										
	Rate 1000 g/ha	4	6	7	8	39	40	41	42	43	44
POSTEMERGENCE											
Barley		0	1	5	1	0	0	4	0	0	1
Barnyardgrass		7	9	9	9	8	4	9	9	9	10
Bedstraw		7	6	8	4	5	8	9	5	8	9
Blackgrass		1	2	3	2	2	0	4	2	3	7
Chickweed		8	9	9	8	8	9	9	6	8	10
Cocklebur		8	8	10	8	9	8	9	7	7	9
Corn		1	0	1	3	0	0	7	1	0	8
Cotton		5	9	10	7	3	4	8	2	6	10
Crabgrass		8	9	9	9	9	1	9	4	9	9
Downy brome		0	1	4	1	0	0	2	1	0	3
Giant foxtail		1	1	6	4	3	0	9	3	8	9
Lambsquarter		8	9	8	9	9	9	9	9	9	9
Morningglory		9	9	10	9	9	5	9	3	8	10
Nutsedge		0	0	5	4	0	0	-	0	1	7
Rape		8	9	7	9	8	6	10	8	10	10
Rice		7	9	7	8	8	6	9	4	9	10
Sorghum		4	2	3	6	5	1	10	1	1	10
Soybean		7	7	9	5	6	6	8	3	4	8
Sugar beet		10	10	10	10	10	9	10	9	10	10
Velvetleaf		7	9	9	9	9	2	9	3	5	9
Wheat		1	2	4	2	0	0	7	1	2	4
Wild buckwheat		8	7	10	6	6	7	8	5	7	9
Wild oat		1	2	2	2	0	0	10	3	9	8

TABLE A				COMPOUND							
Rate 1000 g/ha	4	6	7	8	39	40	41	42	43	44	
PREEMERGENCE											
Barley	0	0	1	0	0	0	0	0	0	0	
Barnyardgrass	1	3	0	3	0	0	9	0	4	10	
Bedstraw	3	0	4	0	0	0	8	1	0	8	
Blackgrass	0	0	0	0	0	0	5	0	0	2	
Chickweed	8	3	7	7	0	6	9	0	0	10	
Cocklebur	3	0	8	6	2	0	7	0	0	8	
Corn	0	0	0	0	0	0	0	0	0	0	
Cotton	5	0	8	0	0	0	7	0	0	6	
Crabgrass	3	7	10	9	7	0	10	0	5	10	
Downy brome	0	0	5	0	0	0	0	1	0	0	
Giant foxtail	1	0	5	0	1	0	8	0	2	8	
Lambsquarter	9	10	9	9	9	8	9	9	7	9	
Morningglory	7	7	8	6	2	0	10	1	2	8	
Nutsedge	-	0	9	0	0	0	8	0	0	5	
Rape	4	2	5	2	3	0	8	2	0	9	
Rice	8	3	7	1	0	0	9	0	2	8	
Sorghum	4	0	0	0	0	0	9	0	0	3	
Soybean	0	0	7	0	0	0	3	0	0	6	
Sugar beet	10	10	10	9	9	3	10	3	0	10	
Velvetleaf	6	2	9	7	8	0	10	0	1	10	
Wheat	0	0	0	0	0	0	0	0	0	0	
Wild buckwheat	5	2	8	1	0	0	6	0	0	8	
Wild oat	0	0	0	0	0	0	4	0	0	3	

TABLE A

## COMPOUND

Rate 400 g/ha	1	2	17	18	19	20	24	36	37	38
POSTEMERGENCE										
Barley	2	8	0	4	0	4	1	0	1	0
Barnyardgrass	9	9	5	9	9	9	9	9	9	8
Bedstraw	9	9	3	8	9	9	7	8	9	6
Blackgrass	0	9	1	3	0	8	1	1	5	0
Chickweed	9	9	6	8	9	9	9	9	9	7
Cocklebur	9	9	5	9	9	9	9	8	9	6
Corn	0	8	0	0	2	8	5	0	0	0
Cotton	10	10	1	9	7	10	9	8	8	3
Crabgrass	9	9	4	9	1	9	4	9	9	0
Downy brome	0	8	0	2	0	0	0	0	3	0
Giant foxtail	4	9	3	9	3	9	4	8	8	0
Lambsquarter	-	9	5	8	9	9	9	9	9	8
Morningglory	9	10	6	9	3	9	3	8	9	2
Nutsedge	1	7	0	2	-	7	2	0	-	0
Rape	9	10	4	8	9	10	7	10	10	2
Rice	8	9	2	7	8	9	7	9	8	0
Sorghum	6	9	1	7	0	9	4	0	1	2
Soybean	8	9	3	8	8	9	6	6	7	3
Sugar beet	10	10	10	9	9	10	10	10	10	8
Velvetleaf	8	10	7	10	9	10	9	8	8	5
Wheat	2	9	0	7	1	6	1	1	6	0
Wild buckwheat	9	10	2	8	9	9	9	4	6	6
Wild oat	0	9	1	0	2	8	2	8	8	0

TABLE A

## COMPOUND

Rate 400 g/ha	1	2	17	18	19	20	24	36	37	38
PREEMERGENCE										
Barley	0	2	0	0	0	2	0	0	0	0
Barnyardgrass	2	3	0	3	0	9	0	8	0	0
Bedstraw	4	7	0	0	0	8	0	0	7	1
Blackgrass	0	1	0	0	0	2	0	0	0	0
Chickweed	7	8	0	7	0	8	6	3	8	4
Cocklebur	0	8	0	5	0	5	0	3	0	0
Corn	1	0	0	0	0	0	0	0	2	0
Cotton	1	3	0	2	0	6	0	0	0	0
Crabgrass	9	10	0	7	0	10	7	2	8	0
Downy brome	0	5	0	0	0	0	1	1	2	0
Giant foxtail	1	3	0	0	0	7	0	1	3	0
Lambsquarter	-	10	0	9	9	10	9	9	9	9
Morningglory	9	8	0	0	0	2	0	1	0	0
Nutsedge	0	3	0	0	-	4	0	0	-	0
Rape	0	10	0	0	0	8	0	2	7	0
Rice	1	8	0	6	4	9	0	0	0	0
Sorghum	0	3	0	2	0	6	0	0	0	0
Soybean	3	8	0	0	0	6	0	0	4	0
Sugar beet	10	10	0	8	4	10	8	8	10	8
Velvetleaf	0	10	0	6	4	10	0	0	2	0
Wheat	0	6	0	0	0	3	0	0	0	0
Wild buckwheat	6	8	0	0	0	3	0	0	2	0
Wild oat	0	4	0	0	0	3	0	0	0	0

TABLE A		COMPOUND																	•
Rate	200 g/ha	3	4	5	6	7	8	21	22	23	29	39	40	41	42	43	44		
POSTEMERGENCE																			
Barley		8	0	9	0	1	0	8	3	1	7	0	0	1	0	0	0		
Barnyardgrass		9	1	10	2	4	8	9	9	4	10	3	1	9	4	9	9		
Bedstraw		10	7	10	2	8	2	9	9	9	9	-	8	8	4	8	9		
Blackgrass		6	0	7	0	2	0	8	6	2	8	0	0	2	0	0	3		
Chickweed		9	8	9	5	9	7	9	10	9	9	6	7	9	5	7	10		
Cocklebur		9	7	9	3	8	7	9	10	8	10	8	5	9	4	6	9		
Corn		5	1	4	0	0	1	7	7	0	3	0	0	4	0	0	7		
Cotton		10	5	9	3	10	7	9	10	9	3	3	2	7	2	3	9		
Crabgrass		9	5	9	6	3	2	9	9	9	9	3	0	8	1	3	9		
Downy brome		8	0	8	0	2	0	3	3	1	4	0	0	1	0	0	0		
Giant foxtail		8	0	9	0	2	1	9	9	5	9	1	0	9	0	3	9		
Lambsquarter		10	7	9	6	8	1	9	9	8	10	9	9	9	4	6	9		
Morningglory		9	9	9	9	10	8	2	9	6	8	8	2	9	2	3	9		
Nutsedge		3	-	6	0	1	0	-	9	7	9	0	0	3	0	0	4		
Rape		10	4	10	8	4	7	10	10	9	10	6	6	9	3	7	10		
Rice		10	6	9	4	3	5	10	10	9	9	6	5	9	0	2	10		
Sorghum		9	1	8	0	1	2	8	9	2	9	4	0	7	0	0	7		
Soybean		9	6	9	5	8	5	9	9	8	9	5	4	6	2	2	8		
Sugar beet		10	10	10	10	10	10	10	10	10	10	10	9	10	9	9	10		
Velvetleaf		10	7	9	8	8	8	10	10	10	10	8	1	9	2	2	9		
Wheat		9	0	7	0	3	0	8	7	0	10	0	0	4	0	0	2		
Wild buckwheat		10	7	10	2	10	1	9	10	9	10	5	3	5	-	6	7		
Wild oat		2	0	6	0	0	1	9	8	4	10	0	0	9	0	0	6		

TABLE A

## COMPOUND

Rate 200 g/ha	3	4	5	6	7	8	21	22	23	29	39	40	41	42	43	44
PREEMERGENCE																
Barley	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0
Barnyardgrass	4	0	7	0	0	0	9	8	0	10	0	0	6	0	0	3
Bedstraw	6	0	7	0	3	0	1	5	0	8	0	0	3	0	-	3
Blackgrass	3	0	1	0	0	0	0	0	0	2	0	0	0	0	0	0
Chickweed	9	2	10	0	6	0	9	8	0	-	0	0	9	0	0	8
Cocklebur	9	0	3	-	4	0	7	3	0	8	0	0	5	0	0	4
Corn	0	0	0	0	0	0	0	0	0	1	0	0	0	0	0	0
Cotton	3	0	3	0	2	0	0	4	0	2	0	0	2	0	0	0
Crabgrass	9	1	10	0	7	0	7	9	0	8	1	0	8	0	0	9
Downy brome	2	0	0	0	0	0	0	0	0	-	0	0	0	0	0	0
Giant foxtail	1	1	3	0	0	0	6	7	0	9	0	0	6	0	0	7
Lambsquarter	9	7	9	9	9	4	9	10	0	-	7	4	9	8	6	9
Morningglory	8	2	5	0	6	0	0	0	2	0	0	0	9	0	0	6
Nutsedge	-	-	3	0	3	0	-	-	0	10	0	0	0	0	0	0
Rape	8	2	9	1	2	0	8	9	0	4	0	0	6	0	0	8
Rice	9	3	7	0	2	0	10	9	0	9	0	0	3	0	0	2
Sorghum	1	0	3	0	0	0	3	5	0	5	0	0	4	0	0	0
Soybean	9	0	2	0	5	0	6	7	2	5	0	0	0	0	0	0
Sugar beet	10	8	10	8	10	2	2	10	0	-	6	0	9	0	0	9
Velvetleaf	10	1	10	0	2	0	10	9	1	10	1	0	8	0	0	9
Wheat	0	0	0	0	0	0	0	0	0	1	0	0	0	0	0	0
Wild buckwheat	2	0	6	0	7	0	1	3	0	4	0	0	4	0	0	2
Wild oat	0	0	0	0	0	0	3	0	0	7	0	0	1	0	0	0



TABLE A		COMPOUND						TABLE A		COMPOUND					
Rate	100 g/ha	1	17	18	24	36	37	Rate	100 g/ha	1	17	18	24	36	37
POSTEMERGENCE								PREEMERGENCE							
Barley		0	0	3	0	0	0	Barley		0	0	0	0	0	0
Barnyardgrass		6	-	8	9	9	9	Barnyardgrass		0	0	0	0	0	0
Bedstraw		6	0	8	7	3	8	Bedstraw		0	0	0	0	0	3
Blackgrass		0	0	0	0	0	1	Blackgrass		0	0	0	0	0	0
Chickweed		8	0	8	9	3	-	Chickweed		6	0	6	0	0	0
Cocklebur		7	0	9	9	6	8	Cocklebur		-	0	0	0	0	0
Corn		0	-	0	2	0	0	Corn		0	0	0	0	0	0
Cotton		7	-	5	8	7	2	Cotton		0	0	1	0	0	0
Crabgrass		6	2	8	4	3	8	Crabgrass		1	0	3	2	0	3
Downy brome		0	0	0	0	0	0	Downy brome		0	0	0	0	1	1
Giant foxtail		2	0	6	3	4	4	Giant foxtail		0	0	0	0	0	1
Lambsquarter		-	0	8	9	8	9	Lambsquarter		-	0	9	9	9	9
Morningglory		9	2	8	2	7	6	Morningglory		6	0	0	0	0	0
Nutsedge		0	0	1	1	0	2	Nutsedge		-	0	0	0	0	-
Rape		3	1	7	3	2	9	Rape		0	0	0	0	0	2
Rice		3	0	8	4	7	7	Rice		1	0	2	0	0	0
Sorghum		0	-	6	2	0	0	Sorghum		0	0	0	0	0	0
Soybean		7	-	8	6	4	4	Soybean		0	0	0	0	0	0
Sugar beet		10	8	9	10	9	9	Sugar beet		9	0	2	2	7	9
Velvetleaf		2	3	10	8	5	7	Velvetleaf		0	0	2	0	0	0
Wheat		0	0	2	1	0	1	Wheat		0	0	0	0	0	0
Wild buckwheat		7	1	3	9	1	6	Wild buckwheat		3	0	0	0	0	0
Wild oat		0	0	0	1	1	4	Wild oat		0	0	0	0	0	0

TABLE A

## COMPOUND

Rate 50 g/ha	3	5	9	10	11	21	22	23	25	26	27	28	29
POSTEMERGENCE													
Barley	5	7	6	2	3	7	0	0	1	3	1	2	1
Barnyardgrass	9	9	9	9	9	9	9	2	9	9	9	9	10
Bedstraw	8	9	9	8	9	9	8	8	7	8	7	7	8
Blackgrass	3	1	4	4	5	2	3	0	6	8	7	3	8
Chickweed	9	7	9	9	9	9	10	9	9	9	9	9	9
Cocklebur	9	8	9	9	9	9	10	8	10	10	9	10	9
Corn	0	0	1	1	5	4	3	0	2	1	1	6	2
Cotton	10	9	9	10	9	7	9	9	9	10	9	10	10
Crabgrass	9	8	10	9	6	9	9	6	10	9	9	9	3
Downy brome	6	2	3	3	3	0	2	0	3	3	2	1	2
Giant foxtail	1	6	5	2	2	9	9	2	9	9	7	7	9
Lambsquarter	9	9	9	10	9	9	9	8	9	9	9	9	10
Morningglory	9	9	10	9	9	2	9	1	9	3	1	9	3
Nutsedge	0	3	3	6	2	-	8	2	6	4	3	3	3
Rape	9	9	10	10	10	9	9	7	8	10	9	10	8
Rice	9	9	10	9	10	9	9	9	9	10	9	10	9
Sorghum	8	5	4	2	3	6	6	0	6	8	8	5	8
Soybean	9	8	9	9	6	8	9	7	9	9	8	9	9
Sugar beet	10	10	10	10	10	9	10	9	10	10	10	10	10
Velvetleaf	10	9	10	10	10	9	10	9	9	10	9	9	9
Wheat	5	4	8	5	7	6	3	0	5	8	8	6	9
Wild buckwheat	7	10	9	8	7	9	10	8	9	7	8	9	9
Wild oat	1	2	5	3	5	5	5	1	6	6	7	5	9

TABLE A

## COMPOUND

Rate 50 g/ha	3	5	9	10	11	21	22	23	25	26	27	28	29
PREEMERGENCE													
Barley	0	0	0	0	0	0	0	0	0	0	0	0	0
Barnyardgrass	0	0	8	0	2	2	7	0	0	5	0	1	1
Bedstraw	0	-	8	4	4	0	4	0	9	4	5	3	-
Blackgrass	1	0	0	0	0	0	0	0	0	0	0	0	0
Chickweed	2	5	8	7	7	7	5	0	8	8	7	7	-
Cocklebur	5	0	7	3	3	7	0	0	0	1	0	-	4
Corn	0	0	0	0	0	0	0	0	0	0	0	0	0
Cotton	0	0	0	0	0	0	0	0	0	0	0	0	0
Crabgrass	8	7	10	6	8	7	6	0	6	9	8	7	3
Downy brome	0	0	0	0	0	0	0	0	0	0	0	0	0
Giant foxtail	0	1	1	0	1	4	2	0	2	2	2	2	1
Lambsquarter	9	8	10	10	9	9	7	0	9	10	9	8	-
Morningglory	6	0	4	1	1	0	0	0	0	0	2	0	0
Nutsedge	-	0	0	0	1	-	0	0	0	0	-	0	0
Rape	2	1	6	4	7	2	7	0	5	0	0	0	-
Rice	0	0	7	0	3	4	5	0	4	4	3	3	6
Sorghum	0	0	0	0	0	0	0	0	0	0	0	0	1
Soybean	8	0	0	0	0	0	2	0	10	0	0	0	2
Sugar beet	8	8	10	10	10	1	7	0	8	7	8	0	-
Velvetleaf	9	4	10	8	8	6	1	1	6	6	7	6	8
Wheat	0	0	0	0	0	0	0	0	0	0	0	0	0
Wild buckwheat	0	0	2	0	3	0	2	0	0	4	0	3	-
Wild oat	0	0	0	0	0	0	0	0	0	0	0	0	2

TABLE A		COMPOUND							
Rate	10 g/ha	9	10	11	25	26	27	28	
POSTEMERGENCE									
Barley		3	0	0	0	1	0	0	
Barnyardgrass		8	4	4	9	9	7	2	
Bedstraw		7	7	8	7	6	5	7	
Blackgrass		3	1	1	0	3	4	0	
Chickweed		9	6	6	9	8	8	8	
Cocklebur		9	9	8	9	10	9	9	
Corn		0	0	0	0	0	0	0	
Cotton		9	9	8	9	6	7	8	
Crabgrass		8	6	2	8	9	7	5	
Downy brome		1	0	0	0	0	1	0	
Giant foxtail		2	0	0	3	6	2	2	
Lambsquarter		9	9	9	8	9	8	8	
Morningglory		9	9	9	7	2	1	5	
Nutsedge		2	1	0	1	2	2	0	
Rape		8	8	7	6	5	7	8	
Rice		9	5	7	6	9	9	8	
Sorghum		2	2	1	2	2	4	2	
Soybean		7	8	5	8	7	6	7	
Sugar beet		10	9	9	10	9	10	10	
Velvetleaf		10	9	9	9	9	7	8	
Wheat		6	2	3	1	4	4	3	
Wild buckwheat		3	2	5	3	2	3	2	
Wild oat		3	0	3	2	3	3	3	

TABLE A		COMPOUND						
Rate	10 g/ha	9	10	11	25	26	27	28
PREEMERGENCE								
Barley		0	0	0	0	0	0	0
Barnyardgrass		1	0	0	0	1	0	0
Bedstraw		-	-	3	9	0	4	2
Blackgrass		0	0	0	0	0	0	0
Chickweed		6	0	0	6	0	0	0
Cocklebur		5	0	0	0	0	0	-
Corn		0	0	0	0	0	0	0
Cotton		0	0	0	0	0	0	-
Crabgrass		2	1	1	2	4	2	2
Downy brome		0	0	0	0	0	0	0
Giant foxtail		0	0	0	0	0	0	0
Lambsquarter		8	8	5	8	0	0	2
Morningglory		0	0	0	-	0	0	-
Nutsedge		0	0	0	0	0	0	0
Rape		0	0	0	0	0	0	0
Rice		0	0	0	0	0	0	0
Sorghum		0	0	0	0	0	0	0
Soybean		0	0	0	1	0	0	0
Sugar beet		8	3	6	6	0	3	0
Velvetleaf		8	2	6	2	4	2	0
Wheat		0	0	0	0	0	0	0
Wild buckwheat		0	0	0	0	0	0	0
Wild oat		0	0	0	0	0	0	0

### TEST B

5 The compounds evaluated in this test were formulated in a non-phytotoxic solvent mixture which includes a surfactant and applied to the soil surface before plant seedlings emerged (preemergence application), to water that covered the soil surface (flood application), and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence and postemergence tests, while a silt loam soil was used in the flood test. Water depth was approximately 2.5 cm for the flood test and was maintained at this level for the duration of the test.

10 Plant species in the preemergence and postemergence tests consisted of barnyardgrass (*Echinochloa crus-galli*), barley (*Hordeum vulgare*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), cocklebur

(*Xanthium strumarium*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), johnsongrass (*Sorghum halpense*), lambsquarters (*Chenopodium album*), morningglory (*Ipomoea hederacea*), pigweed (*Amaranthus retroflexus*), rape (*Brassica napus*),  
 5 ryegrass (*Lolium multiflorum*), soybean (*Glycine max*), speedwell (*Veronica persica*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat (*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), and wild oat (*Avena fatua*). All plant species were planted one day before application of the compound for the preemergence portion of this test. Plantings of these species were adjusted to produce plants of appropriate size  
 10 for the postemergence portion of the test. Plant species in the flood test consisted of rice (*Oryza sativa*), umbrella sedge (*Cyperus difformis*), duck salad (*Heteranthera limosa*), barnyardgrass (*Echinochloa crus-galli*) and Late watergrass (*Echinochloa oryzicola*) grown to the 2 leaf stage for testing.

All plant species were grown using normal greenhouse practices. Visual  
 15 evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty one days after application of the test compound. Plant response this ratings, summarized in Table B, were recorded on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (-) response means no test result.

20

TABLE B COMPOUND

Rate 500 g/ha 43

## POSTEMERGENCE

Barley Igri 0

Barnyard Flood 0

Barnyardgrass 90

Bedstraw 90

Blackgrass 10

Chickweed 65

Cocklebur 70

Corn 0

Cotton 50

Crabgrass 80

Downy Brome 0

Duck salad 0

Giant foxtail 70

Italn. Ryegrass 0

Johnsongrass 30

Lambsquarter 65

Morningglory 40

Rape 85

Redroot Pigweed 50

Rice Japonica 0

Soybean 40

Speedwell 100

Sugar beet 20

Umbrella sedge 35

Velvetleaf 70

Watergrass 2 -

Wheat 0

Wild buckwheat 10

Wild oat 30

TABLE B COMPOUND

Rate 500 g/ha 43

## PREEMERGENCE

Barley Igri 0

Barnyardgrass 10

Bedstraw 100

Blackgrass 0

Chickweed 0

Cocklebur 10

Corn 0

Cotton 0

Crabgrass 30

Downy Brome 0

Giant foxtail 0

Italn. Ryegrass 10

Johnsongrass 0

Lambsquarter 95

Morningglory 20

Rape 0

Redroot Pigweed 60

Soybean 0

Speedwell 0

Sugar beet 0

Velvetleaf 0

Wheat 0

Wild buckwheat 0

Wild oat 0

TABLE B	COMPOUND	
Rate 250 g/ha	24	43
POSTEMERGENCE		
Barley Igri	-	0
Barnyard Flood	0	0
Barnyardgrass	-	90
Bedstraw	-	80
Blackgrass	-	0
Chickweed	-	65
Cocklebur	-	70
Corn	-	0
Cotton	-	30
Crabgrass	-	-
Downy Brome	-	0
Duck salad	0	0
Giant foxtail	-	-
Italn. Ryegrass	-	0
Johnsongrass	-	30
Lambsquarter	-	65
Morningglory	-	-
Rape	-	20
Redroot Pigweed	-	-
Rice Japonica	0	0
Soybean	-	40
Speedwell	-	100
Sugar beet	-	0
Umbrella sedge	0	30
Velvetleaf	-	-
Watergrass 2	-	-
Wheat	-	0
Wild buckwheat	-	0
Wild oat	-	10

TABLE B	COMPOUND	
Rate 250 g/ha	43	
PREEMERGENCE		
Barley Igri	0	
Barnyardgrass	0	
Bedstraw	0	
Blackgrass	0	
Chickweed	0	
Cocklebur	0	
Corn	0	
Cotton	0	
Crabgrass	0	
Downy Brome	0	
Giant foxtail	0	
Italn. Ryegrass	0	
Johnsongrass	0	
Lambsquarter	0	
Morningglory	0	
Rape	0	
Redroot Pigweed	20	
Soybean	0	
Speedwell	0	
Sugar beet	0	
Velvetleaf	0	
Wheat	0	
Wild buckwheat	0	
Wild oat	0	



TABLE B		COMPOUND							
Rate	125 g/ha	2	5	18	20	24	37	41	43
POSTEMERGENCE									
Barley Igri		75	60	0	20	-	0	0	0
Barnyard Flood		75	20	35	90	0	45	30	0
Barnyardgrass		100	95	65	100	-	90	90	70
Bedstraw		90	95	65	90	-	80	80	40
Blackgrass		90	85	10	80	-	10	0	0
Chickweed		100	95	85	100	-	95	90	10
Cocklebur		90	90	90	100	-	90	90	50
Corn		40	20	0	45	-	0	0	0
Cotton		100	95	90	100	-	70	80	30
Crabgrass		95	90	80	95	-	90	90	50
Downy Brome		85	0	0	0	-	0	0	0
Duck salad		40	35	0	10	0	15	20	0
Giant foxtail		95	85	60	95	-	80	90	30
Italn. Ryegrass		30	10	0	10	-	0	0	0
Johnsongrass		80	40	40	80	-	0	40	30
Lambsquarter		100	95	95	100	-	80	80	50
Morningglory		95	90	50	95	-	85	90	30
Rape		100	100	95	95	-	95	90	10
Redroot Pigweed		90	90	90	95	-	80	90	40
Rice Japonica		90	10	30	95	0	25	15	0
Soybean		90	90	55	90	-	40	60	30
Speedwell		100	95	75	100	-	100	100	0
Sugar beet		100	100	100	100	-	100	100	0
Umbrella sedge		75	25	0	30	0	20	25	20
Velvetleaf		100	100	90	100	-	90	90	50
Watergrass 2		75	-	25	95	-	40	-	-
Wheat		75	40	0	0	-	0	0	0
Wild buckwheat		90	95	75	95	-	20	30	0
Wild oat		70	25	0	40	-	0	50	0

TABLE B		COMPOUND						
Rate	125 g/ha	2	5	18	20	37	41	43
PREEMERGENCE								
Barley Igri		0	0	0	0	0	0	0
Barnyardgrass		90	80	0	100	50	60	0
Bedstraw		90	100	0	60	0	0	0
Blackgrass		10	0	10	0	0	0	0
Chickweed		95	60	10	95	95	90	0
Cocklebur		90	30	0	60	0	60	0
Corn		0	0	0	0	0	10	0
Cotton		90	0	0	20	0	0	0
Crabgrass		100	100	0	100	30	60	0
Downy Brome		0	0	0	0	0	0	0
Giant foxtail		20	10	10	100	20	60	0
Italn. Ryegrass		0	0	0	0	0	10	0
Johnsongrass		10	30	0	70	0	30	0
Lambsquarter		95	100	95	100	95	95	0
Morningglory		90	60	0	90	0	60	0
Rape		100	100	0	95	0	10	0
Redroot Pigweed		80	80	80	100	40	90	10
Soybean		85	20	0	40	0	10	0
Speedwell		100	95	10	95	0	100	0
Sugar beet		100	100	100	100	0	100	0
Velvetleaf		100	100	20	100	0	80	0
Wheat		0	0	0	0	0	0	0
Wild buckwheat		25	0	10	0	0	10	0
Wild oat		0	0	0	0	0	0	0

TABLE B		COMPOUND									
Rate	62 g/ha	2	3	5	18	20	21	24	37	41	43
POSTEMERGENCE											
Barley Igri		70	30	30	0	0	0	-	0	0	0
Barnyard Flood		35	20	0	20	85	55	0	25	25	0
Barnyardgrass		95	95	90	55	95	95	-	90	90	60
Bedstraw		90	85	90	60	75	80	-	80	80	30
Blackgrass		20	80	40	0	20	65	-	0	0	0
Chickweed		100	90	90	85	100	90	-	90	90	10
Cocklebur		90	90	90	80	90	90	-	70	90	50
Corn		0	0	10	0	0	20	-	0	0	0
Cotton		100	100	80	80	95	90	-	50	80	10
Crabgrass		90	90	90	70	95	90	-	75	90	30
Downy Brome		40	25	0	0	0	0	-	0	0	0
Duck salad		30	25	25	0	10	40	0	0	0	0
Giant foxtail		90	30	75	35	95	90	-	50	70	10
Italn. Ryegrass		0	20	0	0	10	20	-	0	0	0
Johnsongrass		55	50	30	30	80	50	-	0	20	20
Lambsquarter		100	100	95	95	100	100	-	80	80	50
Morningglory		95	90	90	30	95	90	-	70	90	20
Rape		100	95	100	90	95	95	-	90	60	0
Redroot Pigweed		80	85	90	80	95	90	-	80	75	30
Rice Japonica		40	0	0	15	95	45	0	25	0	0
Soybean		90	90	90	40	90	90	-	40	50	30
Speedwell		100	90	95	75	95	100	-	90	100	0
Sugar beet		100	100	100	100	100	95	-	95	100	0
Umbrella sedge		70	25	20	0	25	45	0	10	25	0
Velvetleaf		100	95	100	90	100	90	-	70	90	20
Watergrass 2		65	-	-	20	95	-	-	20	-	-
Wheat		40	40	20	0	0	40	-	0	0	0
Wild buckwheat		80	95	90	65	70	90	-	10	0	0
Wild oat		20	15	0	0	40	40	-	0	50	0

TABLE B

## COMPOUND

Rate 62 g/ha	2	3	5	18	20	21	37	41	43
PREEMERGENCE									
Barley Igri	0	0	0	0	0	0	0	0	0
Barnyardgrass	50	10	30	0	95	30	20	10	0
Bedstraw	70	0	50	0	60	10	0	0	0
Blackgrass	0	0	0	0	0	0	0	0	0
Chickweed	90	35	60	0	95	10	45	65	0
Cocklebur	80	50	30	0	40	0	0	10	0
Corn	0	0	0	0	0	0	0	0	0
Cotton	70	0	0	0	0	0	0	0	0
Crabgrass	90	90	60	0	100	50	30	50	0
Downy Brome	0	0	0	0	0	0	0	0	0
Giant foxtail	0	0	10	0	95	30	0	20	0
Italn. Ryegrass	0	0	0	0	0	0	0	0	0
Johnsongrass	0	0	0	-	40	0	0	30	0
Lambsquarter	95	95	100	95	95	95	95	95	0
Morningglory	90	50	30	0	60	0	0	60	0
Rape	100	0	90	0	40	0	0	0	0
Redroot Pigweed	40	30	60	20	60	50	40	70	0
Soybean	60	0	0	0	20	0	0	0	0
Speedwell	100	90	90	0	60	0	0	100	-
Sugar beet	100	95	100	10	100	0	0	100	0
Velvetleaf	100	100	100	0	100	90	0	30	0
Wheat	0	0	0	0	0	0	0	0	0
Wild buckwheat	0	0	0	0	0	10	0	0	0
Wild oat	0	0	0	0	0	10	0	0	0

TABLE B		COMPOUND															
Rate	31 g/ha	2	3	5	9	10	18	20	21	22	24	25	26	37	41	44	
POSTEMERGENCE																	
Barley Igri		20	20	0	20	0	0	0	0	0	-	0	10	0	0	0	
Barnyard Flood		30	15	0	-	-	15	30	35	15	0	-	-	10	10	0	
Barnyardgrass		95	90	90	90	90	35	95	95	90	-	100	95	80	90	90	
Bedstraw		90	80	90	90	60	40	75	80	95	-	55	60	30	80	65	
Blackgrass		20	75	20	20	10	0	10	50	35	-	0	30	0	0	0	
Chickweed		100	90	90	90	95	80	100	90	90	-	95	100	70	90	95	
Cocklebur		90	90	80	90	90	50	90	90	90	-	90	90	50	90	90	
Corn		0	0	0	0	5	0	0	0	10	-	10	10	0	0	0	
Cotton		100	90	80	90	100	30	95	80	90	-	95	80	-	80	90	
Crabgrass		90	80	85	70	80	70	95	90	90	-	90	90	50	80	80	
Downy Brome		20	15	0	20	10	0	0	0	20	-	10	30	0	0	0	
Duck salad		0	20	0	-	-	0	10	30	80	0	-	-	0	0	0	
Giant foxtail		70	20	40	40	30	20	95	90	90	-	95	100	30	70	30	
Italn. Ryegrass		0	20	0	20	0	0	0	10	25	-	0	20	0	0	0	
Johnsongrass		45	50	20	40	30	20	75	50	50	-	60	70	0	10	20	
Lambsquarter		100	95	95	95	100	90	100	95	100	-	90	100	70	70	65	
Morningglory		95	90	90	90	90	20	95	90	90	-	80	40	70	90	90	
Rape		100	80	95	100	100	90	95	90	90	-	100	40	90	60	95	
Redroot Pigweed		70	80	70	70	50	75	95	80	90	-	60	80	70	75	40	
Rice Japonica		30	0	0	-	-	15	90	35	25	0	-	-	0	0	10	
Soybean		85	90	80	90	85	35	80	90	90	-	90	80	35	50	60	
Speedwell		100	-	90	60	90	60	95	90	90	-	60	90	-	100	95	
Sugar beet		100	95	100	100	90	90	100	95	100	-	70	90	65	100	100	
Umbrella sedge		35	10	10	-	-	0	20	35	60	0	-	-	10	15	0	
Velvetleaf		100	95	100	100	90	90	100	90	90	-	90	100	70	90	75	
Watergrass 2		25	-	-	-	-	0	75	-	-	-	-	-	10	-	-	
Wheat		10	20	0	50	0	0	0	10	0	-	20	40	0	0	0	
Wild buckwheat		60	70	70	60	40	50	70	90	90	-	40	50	0	0	0	
Wild oat		10	10	0	30	0	0	0	20	35	-	35	40	0	20	0	

TABLE B

## COMPOUND

Rate	31 g/ha	2	3	5	9	10	18	20	21	22	25	26	37	41	44
PREEMERGENCE															
Barley Igri		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Barnyardgrass	20	0	20	70	30	0	30	10	70	40	85	0	0	0	0
Bedstraw	50	0	50	40	10	0	30	0	0	0	30	0	0	0	0
Blackgrass	0	0	0	30	0	0	0	0	0	0	20	0	0	0	0
Chickweed	70	35	0	95	80	0	90	10	50	90	100	0	0	0	0
Cocklebur	80	30	20	40	40	0	30	0	0	15	0	0	0	0	0
Corn	0	0	0	0	0	0	0	0	0	10	0	0	0	0	0
Cotton	30	0	0	0	10	0	0	0	0	0	0	0	0	0	10
Crabgrass	80	75	60	60	50	0	100	40	60	60	85	10	50	0	0
Downy Brome	0	0	0	0	0	0	0	0	0	0	10	0	0	0	0
Giant foxtail	0	0	0	0	0	0	85	20	30	50	45	0	0	0	0
Italn. Ryegrass	0	0	0	10	0	0	0	0	0	0	0	0	0	0	0
Johnsongrass	0	0	0	-	15	0	20	0	10	35	35	0	0	0	0
Lambsquarter	95	95	100	100	100	95	90	95	95	100	95	90	25	85	0
Morningglory	80	0	0	0	20	0	0	0	0	0	0	0	0	10	0
Rape	85	0	50	20	90	0	0	0	0	0	0	0	0	0	0
Redroot Pigweed	0	30	60	70	10	0	35	20	80	50	60	20	60	50	0
Soybean	40	0	0	0	50	0	10	0	0	10	20	0	0	20	0
Speedwell	95	85	70	90	100	0	40	0	100	80	70	0	100	85	0
Sugar beet	100	10	100	100	80	0	100	0	95	0	80	0	90	50	0
Velvetleaf	100	100	100	0	90	0	100	50	50	70	70	0	20	20	0
Wheat	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0
Wild buckwheat	0	0	0	0	20	0	0	0	0	10	10	0	0	20	0
Wild oat	0	0	0	0	30	0	0	0	0	20	0	0	0	0	0

TABLE B		COMPOUND													
Rate	16 g/ha	2	3	5	9	10	18	20	21	22	25	26	37	41	44
PREEMERGENCE															
Barley Igri		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Barnyardgrass		0	0	0	20	20	0	10	0	30	30	20	0	0	0
Bedstraw		30	0	0	-	0	0	30	0	0	0	0	0	0	0
Blackgrass		0	0	0	10	0	0	0	0	0	0	10	0	0	0
Chickweed		70	25	0	70	10	0	65	0	50	60	100	0	0	0
Cocklebur		60	-	10	30	30	0	0	0	0	10	0	0	0	0
Corn		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Cotton		20	0	0	20	0	0	0	0	0	0	0	0	0	0
Crabgrass		65	20	30	40	20	0	90	20	40	40	60	0	10	0
Downy Brome		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Giant foxtail		0	0	0	0	0	0	30	10	10	20	25	0	0	0
Italn. Ryegrass		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Johnsongrass		0	0	0	10	0	0	0	0	0	25	25	0	0	0
Lambsquarter		95	95	45	100	100	90	90	95	95	90	90	85	20	0
Morningglory		60	0	0	0	10	0	0	0	0	0	0	0	0	0
Rape		25	0	0	20	20	0	0	0	0	0	0	0	0	0
Redroot Pigweed		0	0	60	30	0	0	20	0	50	30	40	0	35	20
Soybean		30	0	0	0	40	0	0	0	0	10	20	0	0	20
Speedwell		90	0	-	80	10	0	10	0	100	50	20	0	100	0
Sugar beet		10	0	0	30	60	0	0	0	0	0	0	0	0	30
Velvetleaf		100	90	70	90	65	0	55	0	15	30	55	0	0	0
Wheat		0	0	0	0	0	0	0	0	0	0	0	0	0	0
Wild buckwheat		0	0	0	0	20	0	0	0	0	0	0	0	0	0
Wild oat		0	0	0	0	-	0	0	0	0	0	0	0	0	0

TABLE B		COMPOUND							
Rate	8 g/ha	3	9	10	21	22	25	26	44
POSTEMERGENCE									
Barley Igri		0	0	0	0	0	0	0	0
Barnyard Flood		0	-	-	0	0	-	-	0
Barnyardgrass		80	60	70	90	70	75	95	60
Bedstraw		80	30	40	80	85	20	30	0
Blackgrass		10	0	0	20	0	0	0	0
Chickweed		85	50	70	85	70	90	70	65
Cocklebur		70	90	90	90	80	90	90	90
Corn		0	0	0	0	0	0	0	0
Cotton		90	50	90	50	80	40	80	50
Crabgrass		70	40	60	80	70	65	80	30
Downy Brome		10	0	0	0	0	0	0	0
Duck salad		0	-	-	0	0	-	-	0
Giant foxtail		0	10	10	60	50	70	70	0
Italn. Ryegrass		10	0	0	0	0	0	0	0
Johnsongrass		30	10	10	10	20	25	40	10
Lambsquarter		95	85	85	95	90	90	90	20
Morningglory		85	80	90	90	90	30	20	80
Rape		30	70	60	80	90	60	20	70
Redroot Pigweed		70	40	30	30	70	30	40	20
Rice Japonica		0	-	-	0	0	-	-	10
Soybean		80	60	70	80	65	70	60	30
Speedwell		90	40	50	70	85	50	-	50
Sugar beet		90	70	50	80	95	60	90	95
Umbrella sedge		0	-	-	0	0	-	-	0
Velvetleaf		90	90	90	80	90	90	90	60
Watergrass 2		-	-	-	-	-	-	-	-
Wheat		10	20	0	0	0	0	20	0
Wild buckwheat		60	10	10	85	90	10	10	0
Wild oat		0	10	0	0	0	25	20	0



TABLE B		COMPOUND							
Rate	8 g/ha	3	9	10	21	22	25	26	44
PREEMERGENCE									
Barley Igri		0	0	0	0	0	0	0	0
Barnyardgrass		0	0	10	0	10	10	0	0
Bedstraw		0	0	0	0	0	0	0	0
Blackgrass		0	0	0	0	0	0	0	0
Chickweed		20	30	0	0	0	60	100	0
Cocklebur		10	0	10	0	0	0	0	0
Corn		0	0	0	0	0	0	0	0
Cotton		0	0	0	0	0	0	0	0
Crabgrass		10	0	10	10	20	30	10	0
Downy Brome		0	0	0	0	0	0	0	0
Giant foxtail		0	0	0	0	0	10	0	0
Italn. Ryegrass		0	0	0	0	0	0	0	0
Johnsongrass		0	0	0	0	0	15	0	0
Lambsquarter		90	100	95	20	0	80	70	0
Morningglory		0	0	0	0	0	0	0	0
Rape		0	0	10	0	0	0	0	0
Redroot Pigweed		0	25	0	0	10	0	0	10
Soybean		0	0	10	0	0	0	0	0
Speedwell		0	80	0	0	45	50	0	-
Sugar beet		0	0	10	0	0	0	0	20
Velvetleaf		30	90	40	0	0	30	30	0
Wheat		0	0	0	0	0	0	0	0
Wild buckwheat		0	0	0	0	0	0	0	0
Wild oat		0	0	10	0	0	0	0	0

TABLE B		COMPOUND					
Rate	4 g/ha	9	10	22	25	26	44
POSTEMERGENCE							
Barley Igri		0	0	0	0	0	0
Barnyard Flood		-	-	0	-	-	0
Barnyardgrass		50	40	50	35	90	30
Bedstraw		10	30	80	0	0	0
Blackgrass		0	0	0	0	0	0
Chickweed		20	20	70	90	70	-
Cocklebur		75	80	80	80	80	70
Corn		0	0	0	0	0	0
Cotton		50	40	60	40	40	40
Crabgrass		40	40	50	50	70	20
Downy Brome		0	0	0	0	0	0
Duck salad		-	-	0	-	-	0
Giant foxtail		0	0	20	40	50	-
Italn. Ryegrass		0	0	0	0	0	0
Johnsongrass		0	0	20	10	25	0
Lambsquarter		85	85	90	85	85	20
Morningglory		80	75	80	20	0	30
Rape		10	30	85	30	0	40
Redroot Pigweed		30	30	40	20	40	10
Rice Japonica		-	-	0	-	-	10
Soybean		50	65	50	50	35	30
Speedwell		40	0	60	-	20	0
Sugar beet		70	50	95	40	80	95
Umbrella sedge		-	-	0	-	-	0
Velvetleaf		90	80	90	65	80	40
Watergrass 2		-	-	-	-	-	-
Wheat		10	0	0	0	10	0
Wild buckwheat		0	0	80	0	0	0
Wild oat		0	0	0	10	20	0

TABLE B		COMPOUND					
Rate	4 g/ha	9	10	22	25	26	44
PREEMERGENCE							
Barley Igri		0	0	0	0	0	0
Barnyardgrass		0	0	0	0	0	0
Bedstraw		0	0	0	0	0	0
Blackgrass		0	0	0	0	0	0
Chickweed		30	0	0	20	60	0
Cocklebur		0	0	0	0	0	0
Corn		0	0	0	0	0	0
Cotton		0	0	0	0	0	0
Crabgrass		0	0	10	10	0	0
Downy Brome		0	0	0	0	0	0
Giant foxtail		0	0	0	0	0	0
Italn. Ryegrass		0	0	0	0	0	0
Johnsongrass		0	0	0	0	0	0
Lambsquarter		80	60	0	80	-	0
Morningglory		0	0	0	0	0	0
Rape		0	0	0	0	0	0
Redroot Pigweed		0	0	0	0	0	0
Soybean		0	0	0	0	0	0
Speedwell		60	0	0	10	-	0
Sugar beet		0	0	0	0	0	20
Velvetleaf		40	30	0	0	0	0
Wheat		0	0	0	0	0	0
Wild buckwheat		0	0	0	0	0	0
Wild oat		0	0	0	0	0	0

### TEST C

- Seeds of barnyardgrass (*Echinochloa crus-galli*), bindweed (*Convolvulus arvensis*), black nightshade (*Solanum ptycanthum dunal*), cassia (*Cassia obtusifolia*),  
 5 cocklebur (*Xanthium strumarium*), common ragweed (*Ambrosia artemisiifolia*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria* spp.), fall panicum (*Panicum dichotomiflorum*), giant foxtail (*Setaria faberii*), green foxtail (*Setaria viridis*), jimsonweed (*Datura stramonium*), johnsongrass (*Sorghum halepense*), lambsquarter (*Chenopodium album*), morningglory (*Ipomoea* spp.), pigweed  
 10 (*Amaranthus retroflexus*), prickly sida (*Sida spinosa*), shattercane (*Sorghum vulgare*), signalgrass (*Brachiaria platyphylla*), smartweed (*Polygonum pensylvanicum*), soybean

(*Glycine max*), sunflower (*Helianthus annuus*), velvetleaf (*Abutilon theophrasti*), wild proso (*Panicum miliaceum*), woolly cupgrass (*Eriochloa villosa*), yellow foxtail (*Setaria lutescens*) and purple nutsedge (*Cyperus rotundus*) tubers were planted into a sandy loam or clay loam soil. These crops and weeds were grown in the greenhouse until the plants ranged in height from two to eighteen cm (one to four leaf stage), then treated postemergence with the test chemicals formulated in a non-phytotoxic solvent mixture which included a surfactant. Pots receiving preemergence treatments were planted immediately prior to test chemical application. Pots treated in this fashion were placed in the greenhouse and maintained according to routine greenhouse procedures.

Treated plants and untreated controls were maintained in the greenhouse approximately 14-21 days after application of the test compound. Visual evaluations of plant injury responses were then recorded. Plant response ratings, summarized in Table C, are reported on a 0 to 100 scale where 0 is no effect and 100 is complete control.

TABLE C COMPOUND

Rate 35 g/ha 20

## POSTEMERGENCE

Barnyardgrass	100
Bindweed	90
Blk Nightshade	100
Cocklebur	100
Corn	5
Cotton	90
Crabgrass	100
Fall Panicum	100
Giant Foxtail	50
Green Foxtail	100
Jimsonweed	100
Johnson Grass	50
Lambsquarter	100
Morningglory	70
Nutsedge	70
Pigweed	100
Prickly Sida	60
Ragweed	90
Shattercane	90
Signalgrass	90
Smartweed	100
Soybean	100
Sunflower	95
Velvetleaf	100
Wild Proso	100
Woolly cupgrass	90
Yellow Foxtail	100

TABLE C COMPOUND

Rate 17 g/ha 20

## POSTEMERGENCE

Barnyardgrass	90
Bindweed	80
Blk Nightshade	100
Cocklebur	100
Corn	5
Cotton	90
Crabgrass	85
Fall Panicum	100
Giant Foxtail	30
Green Foxtail	85
Jimsonweed	100
Johnson Grass	40
Lambsquarter	100
Morningglory	40
Nutsedge	40
Pigweed	90
Prickly Sida	45
Ragweed	80
Shattercane	30
Signalgrass	80
Smartweed	100
Soybean	90
Sunflower	90
Velvetleaf	100
Wild Proso	100
Woolly cupgrass	85
Yellow Foxtail	80

TABLE C	COMPOUND
Rate 8 g/ha	20
POSTEMERGENCE	
Barnyardgrass	60
Bindweed	70
Blk Nightshade	100
Cocklebur	100
Corn	0
Cotton	85
Crabgrass	85
Fall Panicum	100
Giant Foxtail	20
Green Foxtail	30
Jimsonweed	100
Johnson Grass	10
Lambsquarter	100
Morningglory	30
Nutsedge	5
Pigweed	80
Prickly Sida	20
Ragweed	80
Shattercane	10
Signalgrass	40
Smartweed	80
Soybean	80
Sunflower	90
Velvetleaf	100
Wild Proso	100
Woolly cupgrass	10
Yellow Foxtail	60

TABLE C	COMPOUND
Rate 4 g/ha	20
POSTEMERGENCE	
Barnyardgrass	40
Bindweed	50
Blk Nightshade	100
Cocklebur	100
Corn	0
Cotton	60
Crabgrass	20
Fall Panicum	50
Giant Foxtail	0
Green Foxtail	0
Jimsonweed	100
Johnson Grass	5
Lambsquarter	90
Morningglory	0
Nutsedge	0
Pigweed	70
Prickly Sida	0
Ragweed	80
Shattercane	0
Signalgrass	0
Smartweed	80
Soybean	75
Sunflower	85
Velvetleaf	90
Wild Proso	100
Woolly cupgrass	10
Yellow Foxtail	40

#### TEST D

5 Compounds evaluated in this test were formulated in a non-phytotoxic solvent mixture which included a surfactant and applied to the soil surface before plant seedlings emerged (preemergence application) and to plants that were grown for various periods of time before treatment (postemergence application). A sandy loam soil was used for the preemergence test while a mixture of sandy loam soil and greenhouse potting mix in

a 60:40 ratio was used for the postemergence test. Test compounds were applied within approximately one day after planting seeds for the preemergence test.

Plantings of these crops and weed species were adjusted to produce plants of appropriate size for the postemergence test. All plant species were grown using normal greenhouse practices. Crop and weed species include American black nightshade (*Solanum americanum*), arrowleaf sida (*Sida rhombifolia*), barnyardgrass (*Echinochloa crus-galli*), cocklebur (*Xanthium strumarium*), common lambsquarters (*Chenopodium album*), common ragweed (*Ambrosia artemisiifolia*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), eastern black nightshade (*Solanum ptycanthum*), fall panicum (*Panicum dichotomiflorum*), field bindweed (*Convolvulus arvensis*), Florida beggarweed (*Desmodium purpureum*), giant foxtail (*Setaria faberii*), hairy beggarticks (*Bidens pilosa*), ivyleaf morningglory (*Ipomoea hederacea*), johnsongrass (*Sorghum halepense*), ladysthumb (*Polygonum persicaria*), large crabgrass (*Digitaria sanguinalis*), purple nutsedge (*Cyperus rotundus*), redroot pigweed (*Amaranthus retroflexus*), soybean (*Glycine max*), surinam grass (*Brachiaria decumbens*), velvetleaf (*Abutilon theophrasti*) and wild poinsettia (*Euphorbia heterophylla*). Treated plants and untreated controls were maintained in a greenhouse for approximately 14 to 21 days, after which all treated plants were compared to untreated controls and visually evaluated. Plant response ratings, summarized in Table D, were based upon a 0 to 100 scale where 0 was no effect and 100 was complete control. A dash response (-) means no test result.

TABLE D	COMPOUND	
Rate 140 g/ha	21	22
POSTEMERGENCE		
Arrowleaf Sida	50	60
Barnyardgrass	100	100
Cocklebur	90	90
Common Ragweed	80	95
Corn	20	20
Cotton	80	95
Estrn Blknight	100	100
Fall Panicum	100	100
Field Bindweed	10	0
Fl Beggarweed	-	100
Giant Foxtail	100	100
Hairy Beggartie	70	85
Ivyleaf Mtngrlry	10	80
Johnsongrass	70	15
Ladysthumb	80	100
Lambsquarters	90	100
Large Crabgrass	100	100
Purple Nutsedge	60	70
Redroot Pigweed	85	90
Soybean	90	95
Surinam Grass	90	75
Velvetleaf	95	100
Wild Poinsettia	70	95

TABLE D	COMPOUND	
Rate 70 g/ha	21	22
POSTEMERGENCE		
Arrowleaf Sida	10	5
Barnyardgrass	95	95
Cocklebur	90	95
Common Ragweed	-	90
Corn	10	5
Cotton	85	90
Estrn Blknight	100	100
Fall Panicum	100	100
Field Bindweed	10	0
Fl Beggarweed	95	90
Giant Foxtail	95	100
Hairy Beggartie	70	80
Ivyleaf Mtngrlry	0	70
Johnsongrass	50	15
Ladysthumb	80	100
Lambsquarters	90	90
Large Crabgrass	100	95
Purple Nutsedge	40	70
Redroot Pigweed	80	90
Soybean	90	90
Surinam Grass	85	60
Velvetleaf	95	100
Wild Poinsettia	60	85



TABLE D	COMPOUND	
Rate 35 g/ha	21	22
POSTEMERGENCE		
Arrowleaf Sida	5	5
Barnyardgrass	95	60
Cocklebur	90	90
Common Ragweed	70	90
Corn	10	0
Cotton	70	90
Estrn Blknight	100	100
Fall Panicum	95	90
Field Bindweed	-	0
Fl Beggarweed	75	-
Giant Foxtail	85	90
Hairy Beggartie	50	70
Ivyleaf Munglry	5	65
Johnsongrass	35	5
Ladysthumb	-	100
Lambsquarters	90	90
Large Crabgrass	95	80
Purple Nutsedge	40	50
Redroot Pigweed	80	85
Soybean	75	80
Surinam Grass	70	30
Velvetleaf	80	90
Wild Poinsettia	5	70

TABLE D	COMPOUND	
Rate 17 g/ha	21	22
POSTEMERGENCE		
Arrowleaf Sida	0	5
Barnyardgrass	75	60
Cocklebur	80	85
Common Ragweed	40	70
Corn	10	0
Cotton	65	80
Estrn Blknight	100	100
Fall Panicum	70	85
Field Bindweed	0	0
Fl Beggarweed	80	70
Giant Foxtail	60	75
Hairy Beggartie	20	30
Ivyleaf Munglry	0	60
Johnsongrass	15	0
Ladysthumb	70	60
Lambsquarters	80	80
Large Crabgrass	85	60
Purple Nutsedge	0	40
Redroot Pigweed	-	80
Soybean	65	75
Surinam Grass	30	10
Velvetleaf	80	80
Wild Poinsettia	5	30

TABLE D	COMPOUND	
Rate 8 g/ha	21	22
POSTEMERGENCE		
Arrowleaf Sida	0	5
Barnyardgrass	50	25
Cocklebur	70	80
Common Ragweed	40	10
Corn	0	0
Cotton	40	60
Estrn Blknight	80	100
Fall Panicum	50	80
Field Bindweed	0	0
Fl Beggarweed	5	40
Giant Foxtail	50	45
Hairy Beggartie	0	30
Ivyleaf Morn'gry	0	40
Johnsongrass	15	0
Ladysthumb	50	-
Lambsquarters	40	70
Large Crabgrass	80	40
Purple Nutsedge	0	0
Redroot Pigweed	40	50
Soybean	50	50
Surinam Grass	10	5
Velvetleaf	70	60
Wild Poinsettia	5	5

### TEST E

Seeds, tubers, or plant parts of alexandergrass (*Brachiaria plantaginea*), alfalfa (*Medicago sativa*), bermudagrass (*Cynodon dactylon*), broadleaf signalgrass (*Brachiaria plantyphylla*), common purslane (*Portulaca oleracea*), common ragweed (*Ambrosia elatior*), cotton (*Gossypium hirsutum*), dallisgrass (*Paspalum dilatatum*), goosegrass (*Eleusine indica*), guineagrass (*Panicum maximum*), itchgrass (*Rottboellia exaltata*), johnson grass (*Sorghum halepense*), large crabgrass (*Digitaria sanguinalis*), peanuts (*Arachis hypogaea*), pitted morningglory (*Ipomoea lacunosa*), purple nutsedge (*Cyperus rotundus*), sandbur (*Cenchrus echinatus*), sourgrass (*Trichachne insularis*), surinam grass (*Brachiaria decumbens*) and texas panicum (*Panicum Texas*) were planted into greenhouse pots of flats containing greenhouse planting medium. Plant species were

grown in separate pots or individual compartments. Preemergence applications were made within one day of planting the seed or plant part. Postemergence applications were applied when the plants were in the two to four leaf stage (three to twenty cm).

- 5 Test chemicals were formulated in a non-phytotoxic solvent mixture which included a surfactant and applied preemergence and postemergence to the plants. Untreated control plants and treated plants were placed in the greenhouse and visually evaluated for injury 13 to 21 days after herbicide application. Plant response ratings, summarized in Table E, are based on a 0 to 100 scale where 0 is no injury and 100 is complete control. A dash (-) response means no test result.

10

TABLE E	COMPOUND	TABLE E	COMPOUND
Rate 250 g/ha	20	Rate 125 g/ha	20
POSTEMERGENCE		POSTEMERGENCE	
Alexandergrass	80	Alexandergrass	65
Bermudagrass	65	Bermudagrass	55
Brdlf Sgnlgrass	65	Brdlf Sgnlgrass	50
Cmn Purslane	75	Cmn Purslane	70
Cmn Ragweed	65	Cmn Ragweed	60
Cotton	95	Cotton	55
Dallisgrass	70	Dallisgrass	55
Goosegrass	45	Goosegrass	40
Guineagrass	25	Guineagrass	15
Itchgrass	50	Itchgrass	10
Johnson grass	55	Johnson grass	45
Large Crabgrass	85	Large Crabgrass	70
Peanuts	40	Peanuts	40
Pit Morninglory	55	Pit Morninglory	0
Purple Nutsedge	65	Purple Nutsedge	50
Sandbur	85	Sandbur	65
Sourgrass	65	Sourgrass	65
Surinam grass	65	Surinam grass	45

TABLE E	COMPOUND
Rate 64 g/ha	20
POSTEMERGENCE	
Alexandergrass	35
Bermudagrass	40
Brdlf Sgnlgrass	40
Cmn Purslane	70
Cmn Ragweed	35
Cotton	45
Dallisgrass	45
Goosegrass	20
Guineagrass	10
Itchgrass	0
Johnson grass	30
Large Crabgrass	60
Peanuts	35
Pit Morninglory	0
Purple Nutsedge	25
Sandbur	30
Sourgrass	55
Surinam grass	35

TABLE E	COMPOUND
Rate 64 g/ha	20
PREEMERGENCE	
Alexandergrass	95
Bermudagrass	90
Brdlf Sgnlgrass	0
Cmn Purslane	90
Cmn Ragweed	80
Cotton	0
Dallisgrass	40
Goosegrass	-
Guineagrass	0
Itchgrass	0
Johnson grass	15
Large Crabgrass	30
Peanuts	75
Pit Morninglory	65
Purple Nutsedge	0
Sourgrass	100
Surinam grass	5

## TABLE E COMPOUND

Rate 32 g/ha 20

## POSTEMERGENCE

Alexandergrass 100

Bermudagrass 80

Brdlf Sgnlgrass 75

Cmn Purslane 98

Cmn Ragweed 60

Cotton 100

Dallisgrass 80

Goosegrass 65

Guineagrass 20

Itchgrass 20

Johnson grass 25

Large Crabgrass 90

Peanuts 60

Pit Morninglory 90

Purple Nutsedge 80

Sandbur 95

Sourgrass 80

Surinam grass 65

## TABLE E COMPOUND

Rate 32 g/ha 20

## PREEMERGENCE

Alexandergrass 50

Bermudagrass 95

Brdlf Sgnlgrass 0

Cmn Purslane 90

Cmn Ragweed 30

Cotton 0

Dallisgrass 20

Goosegrass 0

Guineagrass 0

Itchgrass 0

Johnson grass 0

Large Crabgrass 30

Peanuts 40

Pit Morninglory 30

Purple Nutsedge 0

Sourgrass 98

Surinam grass 0

TABLE E COMPOUND

Rate 16 g/ha 20

## POSTEMERGENCE

Alexandergrass	100
Bermudagrass	60
Brdlf Sgnlgrass	0
Cmn Purslane	98
Cmn Ragweed	90
Cotton	80
Dallisgrass	80
Goosegrass	50
Guineagrass	5
Itchgrass	5
Johnson grass	10
Large Crabgrass	90
Peanuts	10
Pit Morninglory	75
Purple Nutsedge	30
Sandbur	70
Sourgrass	75
Surinam grass	50

TABLE E COMPOUND

Rate 16 g/ha 20

## PREEMERGENCE

Alexandergrass	0
Bermudagrass	50
Brdlf Sgnlgrass	0
Cmn Purslane	90
Cmn Ragweed	0
Cotton	0
Dallisgrass	10
Goosegrass	0
Guineagrass	0
Itchgrass	0
Johnson grass	0
Large Crabgrass	10
Peanuts	40
Pit Morninglory	80
Purple Nutsedge	0
Sourgrass	98
Surinam grass	0

TABLE E COMPOUND

Rate 8 g/ha 20

## POSTEMERGENCE

Alexandergrass	0
Bermudagrass	0
Brdlf Sgnlgrass	0
Cmn Purslane	0
Cmn Ragweed	0
Cotton	10
Dallisgrass	0
Goosegrass	0
Guineagrass	0
Itchgrass	0
Johnson grass	0
Large Crabgrass	0
Peanuts	0
Pit Morninglory	75
Purple Nutsedge	0
Sandbur	0
Sourgrass	0
Surinam grass	0

TABLE E COMPOUND

Rate 8 g/ha 20

## PREEMERGENCE

Alexandergrass	0
Bermudagrass	0
Brdlf Sgnlgrass	0
Cmn Purslane	0
Cmn Ragweed	0
Cotton	0
Dallisgrass	0
Goosegrass	0
Guineagrass	0
Itchgrass	0
Johnson grass	0
Large Crabgrass	0
Peanuts	0
Pit Morninglory	70
Purple Nutsedge	0
Sourgrass	75
Surinam grass	0

TEST F

Compounds evaluated in this test were formulated in a non-phytotoxic solvent mixture which includes a surfactant and applied to the soil surface before plant seedlings emerged (preemergence application) and to plants that were in the one-to four leaf stage (postemergence application). A sandy loam soil was used for the preemergence test while a mixture of sandy loam soil and greenhouse potting mix in a 60:40 ratio was used for the postemergence test. Test compounds were applied within approximately one day after planting seeds for the preemergence test.

Plantings of these crops and weed species were adjusted to produce plants of appropriate size for the postemergence test. All plant species were grown using normal greenhouse practices. Crop and weed species include annual bluegrass (*Poa annua*), black nightshade (*Solanum nigra*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), deadnettle (*Lamium amplexicaule*), downy brome (*Bromus tectorum*), field violet (*Viola arvensis*), galium (*Galium aparine*), green foxtail (*Setaria viridis*), jointed goatgrass (*Aegilops cylindrica*), kochia (*Kochia scoparia*), lambsquarters (*Chenopodium album*), little seed canarygrass (*Phalaris minor*), rape (*Brassica napus*),

redroot pigweed (*Amaranthus retroflexus*), ryegrass (*Lolium multiflorum*), scentless chamomile (*Matricaria inodora*), speedwell (*Veronica persica*), spring barley (*Hordeum vulgare* cv. 'Klages'), spring wheat (*Triticum aestivum* cv. 'ERA'), sugar beet (*Beta vulgaris* cv. 'US1'), sunflower (*Helianthus annuus* cv. 'Russian Giant'), wild buckwheat (5 (*Polygonum convolvulus*), wild mustard (*Sinapis arvensis*), wild oat (*Avena fatua*), windgrass (*Apera spica-venti*), winter barley (*Hordeum vulgare* cv. 'Igri') and winter wheat (*Triticum aestivum* cv. 'Talent').

Treated plants and untreated controls were maintained in a greenhouse for approximately 21 to 28 days, after which all treated plants were compared 10 to untreated controls and visually evaluated. Plant response ratings, summarized in Table F, are based upon a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash response (-) means no test result.



TABLE F            COMPOUND

Rate 750 g/ha    43

## POSTEMERGENCE

Annual Bluegrass    60

Blackgrass           -

Blk Nightshade       -

Chickweed            60

Deadnettle           50

Downy brome          50

Field violet          -

Galium                65

Green foxtail        10

Ivy Speedwell        -

Jointed Goatgr.      -

Kochia                45

Lambsquarters       100

LS Canarygrass       -

Redroot Pigweed     20

Russian Thistle      10

Ryegrass              -

Scentless Cham.      50

Spring Barley        0

Wheat (Spring)       0

Wheat (Winter)       0

Wild buckwheat       10

Wild mustard          -

Wild oat              -

Windgrass            -

Winter Barley        0

TABLE F	COMPOUND
Rate 250 g/ha	43
POSTEMERGENCE	
Annual Bluegrass	60
Blackgrass	-
Blk Nightshade	-
Chickweed	0
Deadnettle	30
Downy brome	-
Field violet	-
Galium	50
Green foxtail	10
Ivy Speedwell	-
Jointed Goatgr.	-
Kochia	30
Lambsquarters	40
LS Canarygrass	-
Redroot Pigweed	10
Russian Thistle	0
Ryegrass	-
Scentless Cham.	50
Spring Barley	0
Wheat (Spring)	0
Wheat (Winter)	0
Wild buckwheat	30
Wild mustard	-
Wild oat	-
Windgrass	-
Winter Barley	0

TABLE F	COMPOUND
Rate 125 g/ha	21 22
POSTEMERGENCE	
Annual Bluegrass	100 100
Blackgrass	30 20
Blk Nightshade	30 20
Chickweed	100 100
Deadnettle	100 100
Downy brome	100 100
Field violet	0 5
Galium	100 100
Green foxtail	60 70
Ivy Speedwell	100 100
Jointed Goatgr.	10 10
Kochia	70 100
Lambsquarters	100 100
LS Canarygrass	30 30
Redroot Pigweed	100 100
Russian Thistle	70 80
Ryegrass	5 20
Scentless Cham.	100 100
Spring Barley	20 10
Wheat (Spring)	30 25
Wheat (Winter)	30 15
Wild buckwheat	70 75
Wild mustard	100 100
Wild oat	50 70
Windgrass	20 5
Winter Barley	20 15

TABLE F	COMPOUND		
Rate 62 g/ha	5	21	22
POSTEMERGENCE			
Annual Bluegrass	60	100	100
Blackgrass	10	20	10
Blk Nightshade	15	20	10
Chickweed	75	75	100
Deadnettle	65	100	100
Downy brome	100	100	100
Field violet	10	0	0
Galium	100	100	100
Green foxtail	55	45	55
Ivy Speedwel	70	100	100
Jointed Goatgr.	15	0	10
Kochia	35	65	80
Lambsquarters	100	100	100
LS Canarygrass	10	20	20
Redroot Pigweed	70	60	100
Russian Thistle	60	100	80
Ryegrass	5	5	0
Scentless Cham.	70	70	75
Spring Barley	30	10	10
Wheat (Spring)	20	20	10
Wheat (Winter)	30	20	10
Wild buckwheat	30	60	70
Wild mustard	75	100	100
Wild oat	20	30	40
Windgrass	10	10	2
Winter Barley	30	15	10

TABLE F	COMPOUND		
Rate 31 g/ha	5	21	22
POSTEMERGENCE			
Annual Bluegrass	60	60	55
Blackgrass	20	10	2
Blk Nightshade	10	10	5
Chickweed	75	75	100
Deadnettle	60	100	75
Downy brome	75	55	70
Field violet	0	0	0
Galium	-	-	100
Green foxtail	50	35	40
Ivy Speedwell	60	100	100
Jointed Goatgr.	10	0	2
Kochia	15	55	70
Lambsquarters	75	100	100
LS Canarygrass	10	10	20
Redroot Pigweed	70	55	85
Russian Thistle	40	60	70
Ryegrass	5	0	0
Scentless Cham.	60	65	65
Spring Barley	30	10	0
Wheat (Spring)	20	10	5
Wheat (Winter)	20	5	0
Wild buckwheat	25	55	60
Wild mustard	70	65	100
Wild oat	10	10	10
Windgrass	5	10	2
Winter Barley	20	10	10

TABLE F	COMPOUND		
Rate 16 g/ha	5	21	22
POSTEMERGENCE			
Annual Bluegrass	50	60	30
Blackgrass	10	5	0
Blk Nightshade	5	10	5
Chickweed	70	65	75
Deadnettle	50	100	100
Downy brome	60	70	100
Field violet	0	0	0
Galium	70	100	100
Green foxtail	30	25	30
Ivy Speedwell	50	30	60
Jointed Goatgr.	0	0	0
Kochia	10	10	60
Lambsquarters	60	100	100
LS Canarygrass	2	10	10
Redroot Pigweed	60	50	50
Russian Thistle	30	40	50
Ryegrass	0	0	0
Scentless Cham.	60	60	50
Spring Barley	20	10	0
Wheat (Spring)	15	10	2
Wheat (Winter)	10	0	0
Wild buckwheat	0	50	60
Wild mustard	50	65	100
Wild oat	5	15	0
Windgrass	5	5	2
Winter Barley	10	5	0

TABLE F		COMPOUND
Rate	8 g/ha	5
POSTEMERGENCE		
Annual Bluegrass		40
Blackgrass		0
Blk Nightshade		0
Chickweed		50
Deadnettle		40
Downy brome		60
Field violet		0
Galium		60
Green foxtail		40
Ivy Speedwell		30
Jointed Goatgr.		0
Kochia		0
Lambsquarters		30
LS Canarygrass		0
Redroot Pigweed		50
Russian Thistle		30
Ryegrass		0
Scentless Cham.		50
Spring Barley		10
Wheat (Spring)		10
Wheat (Winter)		0
Wild buckwheat		0
Wild mustard		60
Wild oat		0
Windgrass		0
Winter Barley		10

### TEST G

Compounds evaluated in this test were formulated in a non-phytotoxic solvent mixture and applied to the surface of the water which was contained in each pot.

- 5 Individual containers of barnyardgrass (*Echinochloa oryzicola*), small flower umbrella sedge (*Cyperus difformis*), common falsepimpernel (*Lindernia procumbens*), monochoria (*Monochoria vaginalis*) and bulrush (*Scirpus juncooides*) were seeded and allowed to grow until the 1.5 to 2.5 leaf stage of development. A Sultama clay loam soil was used for this propagation. Japonica rice (*Oryza sativa*) was transplanted at 0 and 2

cm depth five days before application of the test compound to the water surface. An early and late stage of each weed species was treated, the stage of development being related to the concurrent planting of *Scirpus juncoides* which was then treated at the 1.5 (early) and the 2.5 (late) leaf stage.

- 5 Treated plants and untreated controls were maintained under greenhouse conditions for twenty to thirty days at which time treated plants were compared to untreated controls and visually evaluated. Plant response ratings, summarized in Table G, are based upon a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash response (-) indicated that no test result was recorded.

10

TABLE G	COMPOUND
Rate 1000 g/ha	37
Flood Saita soil	
barnyard early	100
barnyard late	70
C. difformis early	100
C. difformis late	60
Japoni rice 0cm	85
Japoni rice 2cm	80
L. procumben early	100
L. procumben late	100
M. vaginalis early	80
M. vaginalis late	65
S. juncoides early	80
S. juncoides late	55

TABLE G	COMPOUND
Rate 500 g/ha	18 37
Flood Saita soil	
barnyard early	75 65
barnyard late	55 60
C. difformis early	100 80
C. difformis late	80 30
Japoni rice 0cm	100 50
Japoni rice 2cm	80 50
L. procumben early	100 100
L. procumben late	100 100
M. vaginalis early	80 65
M. vaginalis late	75 70
S. juncoides early	45 60
S. juncoides late	55 50

TABLE G	COMPOUND		
Rate 250 g/ha	18	37	38
Flood Saita soil			
barnyard early	40	65	20
barnyard late	50	50	20
C. difformis early	40	65	20
C. difformis late	70	40	20
Japoni rice 0cm	85	10	25
Japoni rice 2cm	60	0	15
L. procumben early	100	100	60
L. procumben late	100	100	40
M. vaginalis early	65	75	30
M. vaginalis late	70	55	50
S. juncoides early	45	40	20
S. juncoides late	50	30	30

TABLE G	COMPOUND	
Rate 64 g/ha	18	38
Flood Saita soil		
barnyard early	30	20
barnyard late	30	10
C. difformis early	0	20
C. difformis late	10	10
Japoni rice 0cm	25	10
Japoni rice 2cm	0	0
L. procumben early	100	50
L. procumben late	100	20
M. vaginalis early	60	10
M. vaginalis late	60	30
S. juncoides early	20	30
S. juncoides late	20	20

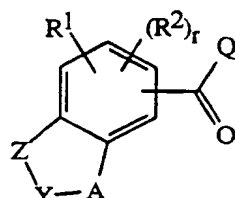
TABLE G	COMPOUND		
Rate 125 g/ha	18	37	38
Flood Saita soil			
barnyard early	35	10	20
barnyard late	35	0	30
C. difformis early	20	20	20
C. difformis late	30	10	40
Japoni rice 0cm	45	0	5
Japoni rice 2cm	5	10	15
L. procumben early	100	70	20
L. procumben late	100	100	50
M. vaginalis early	70	30	10
M. vaginalis late	55	30	40
S. juncoides early	35	10	30
S. juncoides late	40	0	40

TABLE G	COMPOUND
Rate 32 g/ha	38
Flood Saita soil	
barnyard early	10
barnyard late	20
C. difformis early	30
C. difformis late	10
Japoni rice 0cm	5
Japoni rice 2cm	5
L. procumben early	20
L. procumben late	-
M. vaginalis early	20
M. vaginalis late	40
S. juncoides early	30
S. juncoides late	30

CLAIMS

What is claimed is:

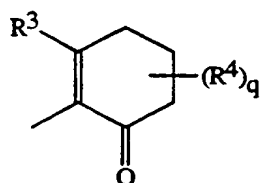
1. A compound selected from Formula I, and agriculturally suitable salts thereof,



I

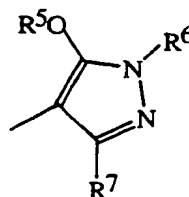
wherein

Q is



Q-1

or



Q-2

A is  $-(CH_2)_m-$ ,  $-CH=CH-$ ,  $-CH_2CH=CH-$ ,  $-CH=CHCH_2-$ ,  $-(CH_2)_n-NR^9-$ ,  $-NR^9-(CH_2)_n-$ ,  $-(CH_2)_n-O-$  or  $-(CH_2)_n-S(O)_2-$ , each group optionally substituted with one to four  $R^8$ , and the directionality of the A linkage is defined such that the moiety depicted on the left side of the linkage is bonded to Y and the moiety on the right side of the linkage is bonded to the phenyl ring;

Y is O;  $NR^9$ ; or  $CH_2$  optionally substituted with one or two groups independently selected from  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl and halogen; provided that when A is  $-NR^9-(CH_2)_n-$ , then Y is  $CH_2$ ;

Z is  $C(=X)$ , O, or  $S(O)_2$ ; provided that when Y is O or  $NR^9$ , then Z is  $C(=X)$ ;

X is O or S;

$R^1$  is H,  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  haloalkoxy, halogen, cyano, nitro,  $S(O)_2NR^{10}R^{11}$ ,  $C_1-C_6$  alkylsulfonyl,  $C_1-C_6$  haloalkylsulfonyl,  $C_3-C_6$  alkenylsulfonyl,  $C_3-C_6$  haloalkenylsulfonyl,  $C_3-C_6$  alkynylsulfonyl,  $C_3-C_6$  haloalkynylsulfonyl or  $C_3-C_6$  cycloalkylsulfonyl; or  $R^1$  is

- phenylsulfonyl optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;  
each R<sup>2</sup> is independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, halogen, cyano or nitro;
- 5 R<sup>3</sup> is OR<sup>12</sup>, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> haloalkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl or halogen;  
each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> alkylthio or halogen;  
or when two R<sup>4</sup> are attached to the same carbon atom, then said R<sup>4</sup> pair can  
be taken together to form -OCH<sub>2</sub>CH<sub>2</sub>O-, -OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-, -SCH<sub>2</sub>CH<sub>2</sub>S-  
10 or -SCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>S-, each group optionally substituted with 1-4 CH<sub>3</sub>;
- R<sup>5</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, formyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>7</sub> dialkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl; or R<sup>5</sup>  
is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl,  
15 halogen, cyano or nitro;
- R<sup>6</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl or C<sub>3</sub>-C<sub>6</sub> alkynyl; or R<sup>6</sup> is  
phenyl or benzyl, each optionally substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub>  
alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano  
or nitro;
- 20 R<sup>7</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, halogen,  
cyano or nitro;
- each R<sup>8</sup> is independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub>  
haloalkoxy, hydroxy or halogen; or two R<sup>8</sup> groups bonded to the same  
carbon atom can be taken together with the carbon to which they are  
25 attached to form C(=O) or C(=S); provided that when two R<sup>8</sup> groups are  
attached to a carbon atom which is attached to an O, NR<sup>9</sup> or S(O)<sub>2</sub>, then no  
more than one of said R<sup>8</sup> groups can be C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy,  
hydroxy or halogen;
- each R<sup>9</sup> is independently H; C<sub>1</sub>-C<sub>6</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> haloalkyl; C<sub>3</sub>-C<sub>6</sub> alkenyl; C<sub>3</sub>-C<sub>6</sub>  
30 haloalkenyl; C<sub>3</sub>-C<sub>6</sub> alkynyl; C<sub>3</sub>-C<sub>6</sub> haloalkynyl; C<sub>3</sub>-C<sub>6</sub> cycloalkyl; C<sub>1</sub>-C<sub>6</sub>  
alkoxy; C<sub>1</sub>-C<sub>6</sub> haloalkoxy; C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl; formyl; C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl;  
C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl; C<sub>3</sub>-C<sub>7</sub>  
dialkylaminocarbonyl; or phenyl, benzyl or benzoyl, each optionally  
substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub>  
35 alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;
- R<sup>10</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> haloalkenyl, C<sub>3</sub>-C<sub>6</sub>  
alkynyl, C<sub>3</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy; or R<sup>10</sup> is  
phenyl or benzyl, each optionally substituted on the phenyl ring with C<sub>1</sub>-C<sub>3</sub>



alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, 1-2 halogen, cyano or nitro;

R<sup>11</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> haloalkyl; or

R<sup>10</sup> and R<sup>11</sup> can be taken together as -CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-,

5 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, each optionally substituted with 1-4 C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>12</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, formyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>7</sub> dialkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonyl; or R<sup>12</sup> is benzoyl or phenylsulfonyl, each optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, halogen, cyano or nitro;

m is 1, 2 or 3;

n is 1 or 2;

q is 0, 1, 2, 3 or 4; and

15 r is 0, 1 or 2;

provided that

(i) when Z is C(=X) or O; A is -(CH<sub>2</sub>)<sub>m</sub>- optionally substituted with one to four R<sup>8</sup>; and m is 1 or 2; then Q is Q-2;

20 (ii) when Z is C(=X) or O; and A is -CH=CH- optionally substituted with one to two R<sup>8</sup>; then Q is Q-2;

(iii) when Z is C(=X) or O; A is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>9</sup>-, -NR<sup>9</sup>-(CH<sub>2</sub>)<sub>n</sub>- or -(CH<sub>2</sub>)<sub>n</sub>-O- each optionally substituted with one to four R<sup>8</sup>; and n is 1; then Q is Q-2;

25 (iv) when A is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>9</sup>-, -(CH<sub>2</sub>)<sub>n</sub>-O- or -(CH<sub>2</sub>)<sub>n</sub>-S(O)<sub>2</sub>- each optionally substituted with one to four R<sup>8</sup>; and Y is CH<sub>2</sub> optionally substituted with one or two groups independently selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl and halogen; then Z is O or S(O)<sub>2</sub>;

30 (v) when A is -(CH<sub>2</sub>)<sub>m</sub>- optionally substituted with one to four R<sup>8</sup>; Y is CH<sub>2</sub> optionally substituted with one or two groups independently selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl and halogen; and Z is O or S(O)<sub>2</sub>; then each R<sup>8</sup> is independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, hydroxy or halogen provided that no more than one R<sup>8</sup> is C<sub>1</sub>-C<sub>6</sub> alkoxy; and

35 (vi) when A is -(CH<sub>2</sub>)<sub>m</sub>- optionally substituted with one to four R<sup>8</sup>; Y is CH<sub>2</sub> optionally substituted with one or two groups independently selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl and halogen; Z is S(O)<sub>2</sub>; and m is 2; then Q is Q-1 and each R<sup>8</sup> is independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, hydroxy or halogen.

2. A compound of Claim 1 wherein:

the A-Y-Z moiety is selected from combinations of A, Y and Z such that

(i) when A is  $-(CH_2)_m-$  optionally substituted with one to two  $R^8$  and Y is O or  $NR^9$ , then Z is  $C(=X)$ ;

(ii) when A is  $-(CH_2)_m-$  optionally substituted with one to two  $R^8$  and Y is  $CH_2$  optionally substituted with one or two groups independently selected from  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl and halogen, then Z is O; and

(iii) when A is  $-(CH_2)_m-$  or  $-(CH_2)_n-NR^9-$  optionally substituted with one to two  $R^8$  and Y is  $CH_2$  optionally substituted with one or two groups independently selected from  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl and halogen, then Z is  $S(O)_2$ ;

X is O;

each  $R^4$  is independently  $C_1$ - $C_3$  alkyl;

$R^6$  is H,  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_6$  alkenyl;

$R^7$  is H,  $C_1$ - $C_3$  alkyl or  $C_1$ - $C_3$  haloalkyl;

$R^9$  is H,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  alkynyl or  $C_3$ - $C_6$  cycloalkyl;

$R^{12}$  is H, formyl,  $C_2$ - $C_6$  alkylcarbonyl,  $C_2$ - $C_6$  alkoxy carbonyl,  $C_2$ - $C_6$  alkylaminocarbonyl,  $C_3$ - $C_7$  dialkylaminocarbonyl,  $C_1$ - $C_6$  alkylsulfonyl or  $C_1$ - $C_6$  haloalkylsulfonyl; or  $R^{12}$  is benzoyl or phenylsulfonyl, each optionally substituted with  $C_1$ - $C_3$  alkyl, halogen, cyano or nitro;

q is 0, 1 or 2; and

r is 0 or 1.

3. A compound of Claim 2 wherein:

$R^1$  is H, methyl, halogen,  $S(O)_2NR^{10}R^{11}$ ,  $C_1$ - $C_4$  alkylsulfonyl,  $C_1$ - $C_4$  haloalkylsulfonyl or  $C_3$ - $C_5$  cycloalkylsulfonyl;

$R^2$  is methyl, halogen or nitro;

$R^3$  is  $OR^{12}$ ;

$R^5$  is H or  $C_1$ - $C_3$  alkylsulfonyl; or  $R^5$  is benzoyl or phenylsulfonyl, each optionally substituted with  $C_1$ - $C_3$  alkyl, halogen, cyano or nitro;

each  $R^8$  is independently  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  alkoxy or halogen; or two  $R^8$  groups bonded to the same carbon atom can be taken together with the carbon to which they are attached to form  $C(=O)$ ;

$R^{10}$  is H,  $C_1$ - $C_4$  alkyl, allyl or propargyl;

$R^{11}$  is H or  $C_1$ - $C_4$  alkyl; and

$R^{12}$  is H or  $C_1$ - $C_3$  alkylsulfonyl; or  $R^{12}$  is benzoyl or phenylsulfonyl, each optionally substituted with  $C_1$ - $C_3$  alkyl, halogen, cyano or nitro.

4. The compound of Claim 3 which is selected from the group:

2-(1,1-dimethylethyl)-5-[(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)carbonyl]-8-(ethylsulfonyl)-3,4-dihydro-1(2*H*)-isoquinolinone;

- (2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophen-5-yl)(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)methanone *S,S*-dioxide;  
(1-ethyl-5-hydroxy-1*H*-pyrazol-4-yl)(2,3,4,5-tetrahydro-6,9-dimethyl-1-benzothiepin-7-yl)methanone *S,S*-dioxide;  
5 4-ethyl-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2*H*-1,4-benzothiazin-3(4*H*)-one 1,1-dioxide;  
4-ethyl-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-5,8-dimethyl-2*H*-1,4-benzothiazin-3(4*H*)-one 1,1-dioxide; and  
10 (2,3-dihydro-2,4,7-trimethylbenzo[*b*]thiophen-5-yl)(5-hydroxy-1-methyl-1*H*-pyrazol-4-yl)methanone *S,S*-dioxide.
5. A herbicidal composition comprising a herbicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.
6. A method for controlling the growth of undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a  
15 compound of Claim 1.

A. CLASSIFICATION OF SUBJECT MATTER					
IPC 6	C07D335/06	A01N43/08	A01N43/10	A01N43/18	A01N43/22
	A01N43/38	A01N43/42	A01N43/84	A01N43/56	C07D279/16
	C07D333/54	C07D337/08	C07D401/06	C07D403/06	C07D405/06
According to International Patent Classification (IPC) or to both national classification and IPC					
B. FIELDS SEARCHED					
Minimum documentation searched (classification system followed by classification symbols)					
IPC 6 C07D					
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched					
Electronic data base consulted during the international search (name of data base and, where practical, search terms used)					
C. DOCUMENTS CONSIDERED TO BE RELEVANT					
Category *	Citation of document, with indication, where appropriate, of the relevant passages				Relevant to claim No.
X	EP 0 283 261 A (ICI PLC ; ICI AMERICA INC (US)) 21 September 1988 cited in the application see page 57 - page 58; claim 1 see page 62; claim 11 ---				1-6
X	WO 95 04054 A (IDEMITSU KOSAN CO ; NAKAMURA KAZUFUMI (JP); KOIKE KAZUYOSHI (JP); S) 9 February 1995 cited in the application				1-6
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<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex.					
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Date of the actual completion of the international search			Date of mailing of the international search report		
29 November 1996			5.12.96		
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+ 31-70) 340-3016			Authorized officer  Fink, D		

## INTERNATIONAL SEARCH REPORT

International Application No  
PC 96/13347A. CLASSIFICATION OF SUBJECT MATTER  
IPC 6 C07D409/06 C07D417/06

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

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X	WO 93 18031 A (IDEMITSU KOSAN CO) 16 September 1993	1-6
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☐ Further documents are listed in the continuation of box C.☐ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \* 'A' document defining the general state of the art which is not considered to be of particular relevance
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Date of the actual completion of the international search

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